



# STIC Search Report

## Biotech-Chem Library

STIC Database Tracking Number: 171461

**TO:** Tamthom Truong  
**Location:** REM/5B19/5C18  
**Art Unit:** 1624  
**Wednesday, November 16, 2005**

**Case Serial Number:** 09/582442

**From:** Paul Schulwitz  
**Location:** Biotech-Chem Library  
**REM-1A65**  
**Phone:** 571-272-2527

**Paul.schulwitz@uspto.gov**

### Search Notes

Examiner Truong,

Please review the attached search results.

If you have any questions or if you would like to refine the search query, please feel free to contact me at any time.

Thank you for using STIC search services!

Paul Schulwitz  
Technical Information Specialist  
REM-1A65  
571-272-2527

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# STIC SEARCH RESULTS FEEDBACK FORM

## Biotech-Chem Library

Questions about the scope or the results of the search? Contact **the searcher or contact:**

**Mary Hale, Information Branch Supervisor**  
**Remsen Bldg. 01 D86**  
**571-272-2507**

## Voluntary Results Feedback Form

- *I am an examiner in Workgroup:*  *Example: 1610*
- *Relevant prior art found, search results used as follows:*
- 102 rejection
  - 103 rejection
  - Cited as being of interest.
  - Helped examiner better understand the invention.
  - Helped examiner better understand the state of the art in their technology.

*Types of relevant prior art found:*

- Foreign Patent(s)
- Non-Patent Literature  
(journal articles, conference proceedings, new product announcements etc.)

➤ *Relevant prior art not found:*

- Results verified the lack of relevant prior art (helped determine patentability).
- Results were not useful in determining patentability or understanding the invention.

**Comments:**

Drop off or send completed forms to STIC-Biotech-Chem Library Remsen Bldg.

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(FILE 'HOME' ENTERED AT 18:24:31 ON 16 NOV 2005)

FILE 'REGISTRY' ENTERED AT 18:24:35 ON 16 NOV 2005

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L3      925977 SEA ABB=ON PLU=ON NC2NC2/ESS
L4      6 SEA SUB=L3 SSS SAM L1
L5      STR
L6      STR L1
L7      0 SEA SSS SAM L5 AND L6
L8      308 SEA SSS FUL L5 AND L6
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FILE 'HCAPLUS' ENTERED AT 18:29:37 ON 16 NOV 2005

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E WO98-JP6002/APPS
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L9      1 SEA ABB=ON PLU=ON (WO98-JP6002/AP OR WO98-JP6002/PRN)
SEL RN
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      D IALL HITSTR
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FILE 'HCAPLUS' ENTERED AT 18:31:46 ON 16 NOV 2005

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L13     23 SEA ABB=ON PLU=ON L8
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**FILE HOME****FILE REGISTRY**

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 NOV 2005 HIGHEST RN 868125-94-4  
DICTIONARY FILE UPDATES: 15 NOV 2005 HIGHEST RN 868125-94-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

**FILE HCAPLUS**

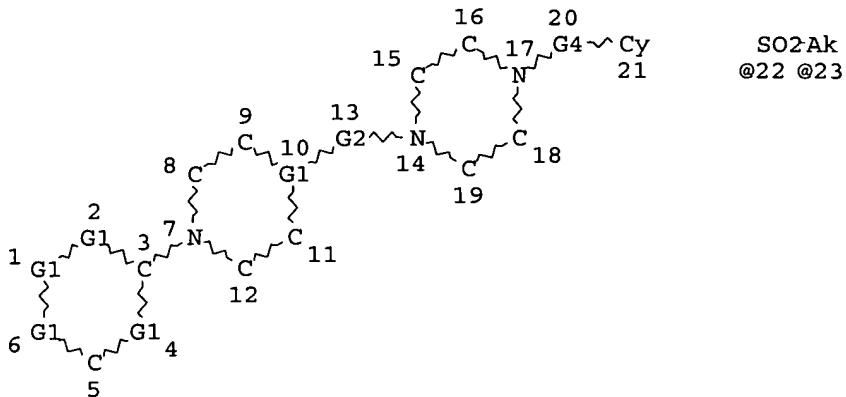
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FILE COVERS 1907 - 16 Nov 2005 VOL 143 ISS 21  
FILE LAST UPDATED: 15 Nov 2005 (20051115/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que stat l13  
L5 STR



VAR G1=C/N  
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 VAR G4=SO2/AK/22-17 23-21  
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE  
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REP G2=(0-5) CH2  
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 DEFAULT ECLEVEL IS LIMITED  
 ECOUNT IS M1 N AT 1  
 ECOUNT IS M1 N AT 2  
 ECOUNT IS M2 N AT 4

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE  
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 L13 23 SEA FILE=HCAPLUS ABB=ON PLU=ON L8

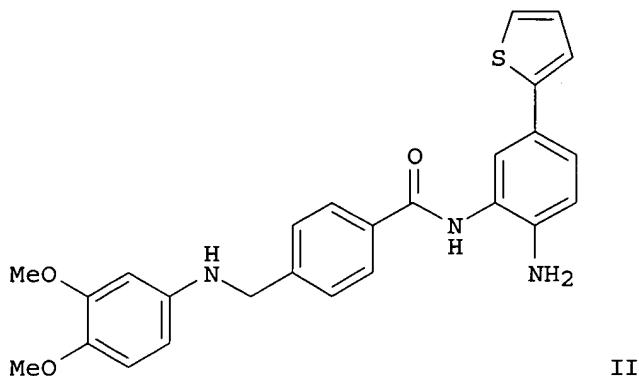
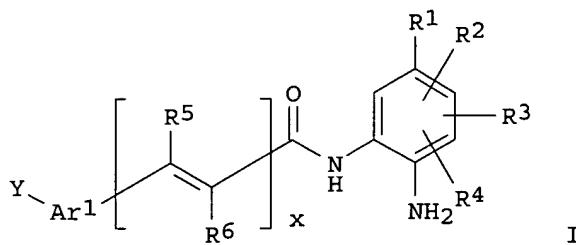
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L13 ANSWER 1 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2005:300395 HCAPLUS  
 DOCUMENT NUMBER: 142:355054

TITLE: Preparation of amide derivatives as inhibitors of histone deacetylase  
 INVENTOR(S): Moradei, Oscar; Paquin, Isabelle; Leit, Silvana;  
 Frechette, Sylvie; Vaisburg, Arkadii; Besterman,  
 Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.  
 PATENT ASSIGNEE(S): Methylgene, Inc., Can.  
 SOURCE: PCT Int. Appl., 559 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030705	A1	20050407	WO 2004-US31591	20040924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-505884P	P 20030924
			US 2003-532973P	P 20031229
			US 2004-561082P	P 20040409

OTHER SOURCE(S): MARPAT 142:355054  
 GI



**AB** Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction. The inhibitory

capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl]-2,5-diphenyltetrazolium bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC<sub>50</sub> values in the range of below 1 up to 20 μM. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

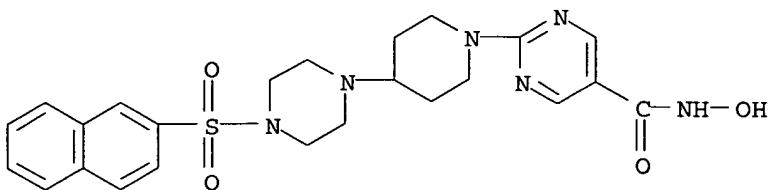
**IT** 603985-84-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amide derivs. as inhibitors of histone deacetylase)

**RN** 603985-84-8 HCPLUS

**CN** 5-Pyrimidinecarboxamide, N-hydroxy-2-[4-[4-(2-naphthalenylsulfonyl)-1-piperazinyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

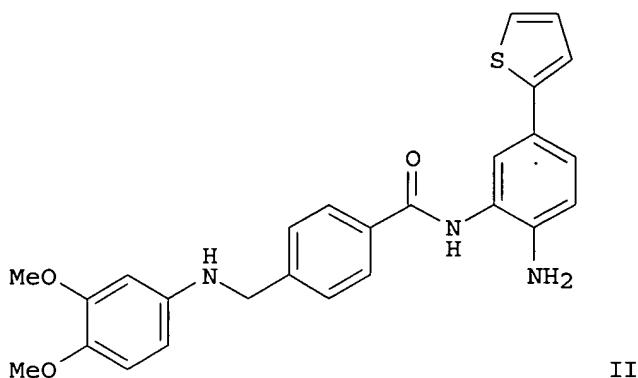
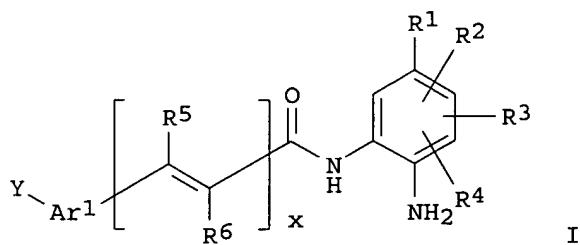


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 23 HCPLUS COPYRIGHT 2005 ACS on STM  
 ACCESSION NUMBER: 2005:300394 HCPLUS  
 DOCUMENT NUMBER: 142:373563  
 TITLE: Preparation of amide derivatives as inhibitors of histone deacetylase  
 INVENTOR(S): Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.  
 PATENT ASSIGNEE(S): Methylgene, Inc., Can.  
 SOURCE: PCT Int. Appl., 389 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030704	A1	20050407	WO 2004-US31590	20040924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-505884P	P 20030924
			US 2003-532973P	P 20031229
			US 2004-561082P	P 20040409

OTHER SOURCE(S): MARPAT 142:373563  
 GI



**AB** Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction. The inhibitory

capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl]-2,5-diphenyltetrazolium bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC<sub>50</sub> values in the range of below 1 up to 20  $\mu$ M. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

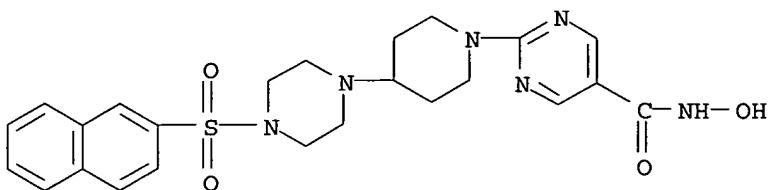
**IT** 603985-84-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amide derivs. as inhibitors of histone deacetylase)

**RN** 603985-84-8 HCPLUS

**CN** 5-Pyrimidinecarboxamide, N-hydroxy-2-[4-[4-(2-naphthalenylsulfonyl)-1-piperazinyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:336987 HCPLUS

DOCUMENT NUMBER: 141:54296

TITLE: Synthesis and evaluation of 1-arylsulfonyl-3-piperazinone derivatives as factor Xa inhibitors III. Effect of ring opening of piperazinone moiety on inhibition

AUTHOR(S): Nishida, Hidemitsu; Miyazaki, Yutaka; Mukaihira, Takafumi; Shimada, Hiroyasu; Suzuki, Kazuhiro; Saitoh, Fumihiro; Mizuno, Masashi; Matsusue, Tomokazu; Okamoto, Atsushi; Hosaka, Yoshitaka; Matsumoto, Miwa; Ohnishi, Shuhei; Mochizuki, Hidenori

CORPORATE SOURCE: Discovery Research Center, Mochida Pharmaceutical Co., Ltd., Shizuoka, 412-8524, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (2004), 52(4), 459-462

CODEN: CPBTAL; ISSN: 0009-2363

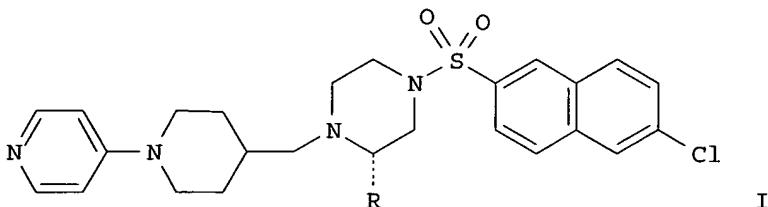
PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:54296

GI



I

AB Compds. containing an ethylenediamine structure in place of the piperazine ring of M55113 (I, R = H) and M55551 (I, R = CO<sub>2</sub>H) were synthesized to investigate the effects of the piperazine moiety and evaluated for activity as factor Xa (FXa) inhibitors. Most such compds., however, exhibited lower activity (1/10-1/100) than that of M55113 and M55551 as FXa inhibitors.

IT 493026-74-7

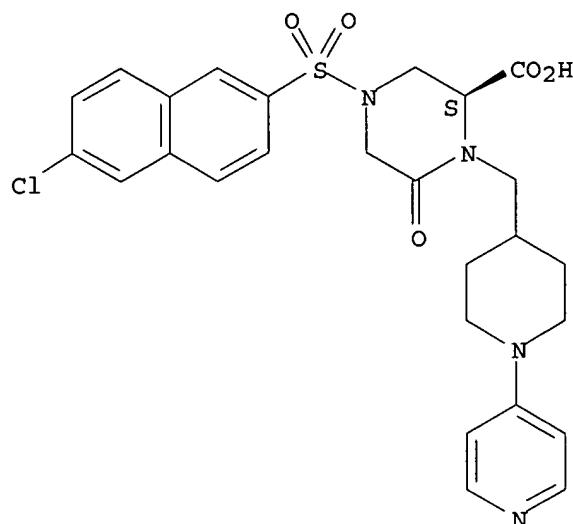
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(preparation of ring-opened analogs of naphthalenylpiperazinones M55113 and M55551 as FXa inhibitors)

RN 493026-74-7 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-

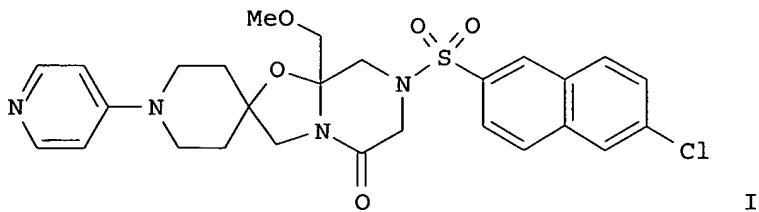
[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:336974 HCAPLUS  
 DOCUMENT NUMBER: 141:54295  
 TITLE: Synthesis and evaluation of 1-arylsulfonyl-3-piperazinone derivatives as factor Xa inhibitors IV. A series of new derivatives containing a spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one skeleton  
 AUTHOR(S): Nishida, Hidemitsu; Mukaihira, Takafumi; Saitoh, Fumihiro; Harada, Kousuke; Fukui, Miyuki; Matsusue, Tomokazu; Okamoto, Atsushi; Hosaka, Yoshitaka; Matsumoto, Miwa; Shiromizu, Ikuya; Ohnishi, Shuhei; Mochizuki, Hidenori  
 CORPORATE SOURCE: Discovery Research Center, Mochida Pharmaceutical Co., Ltd., Shizuoka, 412-8524, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (2004), 52(4), 406-412  
 PUBLISHER: CODEN: CPBTAL; ISSN: 0009-2363  
 DOCUMENT TYPE: Pharmaceutical Society of Japan  
 LANGUAGE: Journal  
 English  
 OTHER SOURCE(S): CASREACT 141:54295  
 GI



**AB** In the course of development of factor Xa (FXa) inhibitors the title compds. were developed. Among such compds., (-)-7-[(6-chloro-2-naphthalenyl)sulfonyl]tetrahydro-8a-(methoxymethyl)-1'-(4-pyridinyl)-spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one (I, M55529) had IC<sub>50</sub> 2 nM, with high selectivity for FXa over thrombin and trypsin.

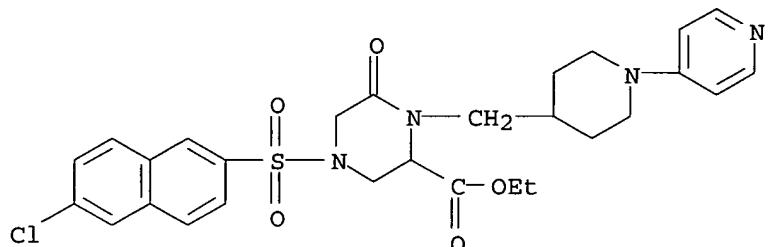
**IT** 229646-51-9 229646-52-0 229646-53-1

229646-54-2 229646-64-4

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(preparation of spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-ones as factor Xa inhibitors)

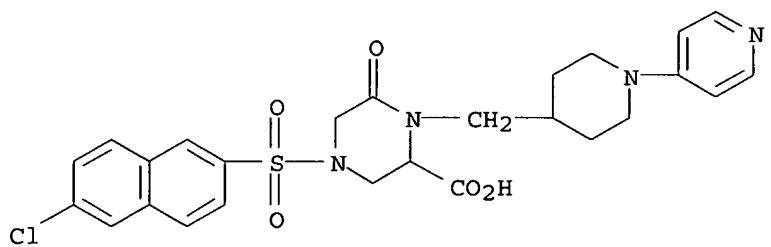
**RN** 229646-51-9 HCAPLUS

**CN** 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



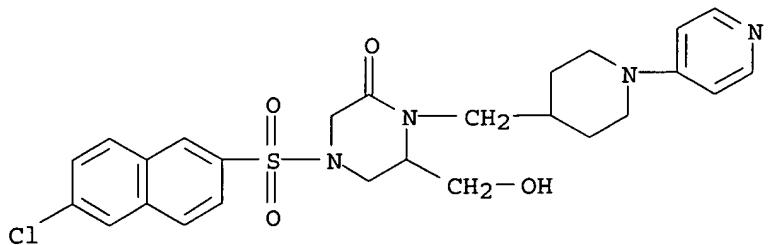
**RN** 229646-52-0 HCAPLUS

**CN** 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



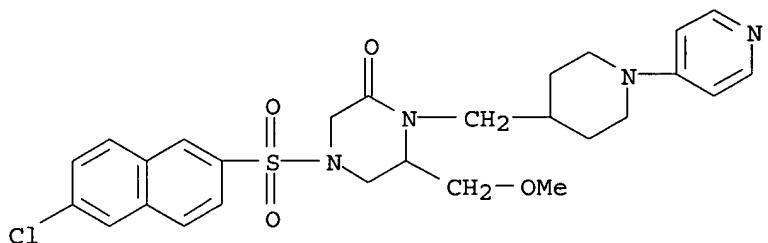
**RN** 229646-53-1 HCAPLUS

**CN** Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(hydroxymethyl)-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



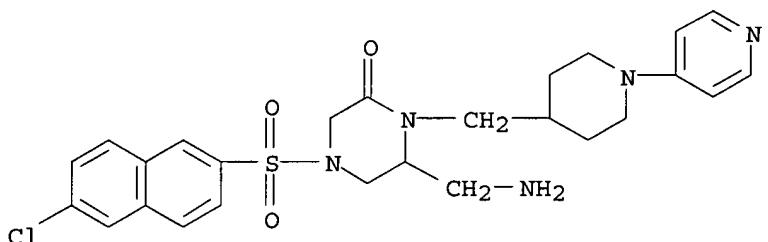
RN 229646-54-2 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(methoxymethyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 229646-64-4 HCPLUS

CN Piperazinone, 6-(aminomethyl)-4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:737723 HCPLUS

DOCUMENT NUMBER: 139:261309

TITLE: Preparation of N-hydroxy-5-piperazino(piperidino or diazepino)-2-pyrimidinecarboxamides and N-hydroxy-4-piperazino(piperidino or diazepino)benzamides as new inhibitors of histone deacetylase

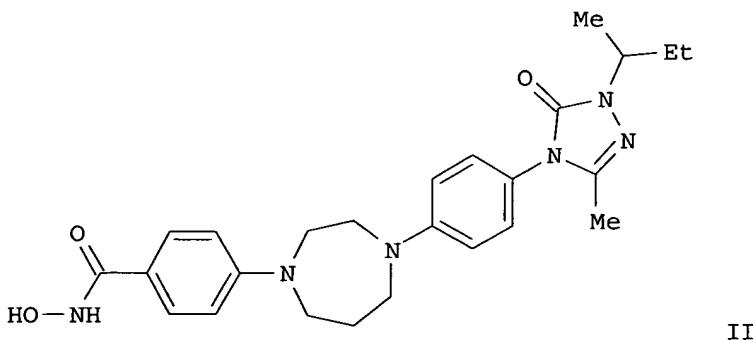
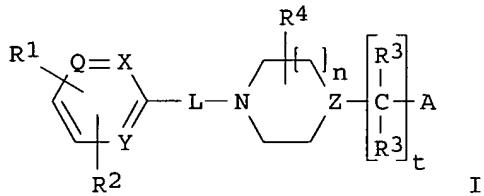
INVENTOR(S): Angibaud, Patrick Rene; Pilatte, Isabelle Noelle Constance; Van Brandt, Sven Franciscus Anna; Roux, Bruno; Ten Holte, Peter; Verdonck, Marc Gustaaf Celine; Meerpael, Lieven; Dyatkin, Alexey Borisovich Janssen Pharmaceutica N.V., Belg.

PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 72 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076400	A1	20030918	WO 2003-EP2514	20030311
W: AE, AG, AL, AM, AT, AU, AZ, CO, CR, CU, CZ, DE, DK, DM, GM, HR, HU, ID, IL, IN, IS, LS, LT, LU, LV, MA, MD, MG, PL, PT, RO, RU, SC, SD, SE, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		BA, BB, BG, BR, BY, BZ, CA, CH, CN, DZ, EC, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR, MW, MX, MZ, NO, NZ, OM, PH, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,		
RW: GH, GM, KE, LS, MW, MZ, SD, RG, KG, KZ, MD, RU, TJ, TM, AT, FI, FR, GB, GR, HU, IE, IT, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BE, BG, CH, CY, CZ, DE, DK, EE, ES, MC, NL, PT, RO, SE, SI, SK, TR,		
CA 2475764	AA	20030918	CA 2003-2475764	20030311
EP 1485353	A1	20041215	EP 2003-711980	20030311
R: AT, BE, CH, DE, DK, ES, FR, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		GB, GR, IT, LI, LU, NL, SE, MC, PT,		
BR 2003008081	A	20041221	BR 2003-8081	20030311
US 2005107384	A1	20050519	US 2003-506998	20030311
NZ 534834	A	20050729	NZ 2003-534834	20030311
JP 2005526067	T2	20050902	JP 2003-574621	20030311
NO 2004004194	A	20041001	NO 2004-4194	20041001
PRIORITY APPLN. INFO.:			US 2002-363799P	P 20020313
			WO 2003-EP2514	W 20030311

OTHER SOURCE(S) : MARPAT 139:261309  
 GI



AB The title compds. [I; n = 0-3; t = 0-4; Q, X, Y = N, C; Z = N, CH; R1 = CONR7R8, NHCOR9, CO(alkanediyl)SR9, etc. (wherein R7, R8 = H, OH, alkyl, etc.; R9 = H, alkyl, alkylcarbonyl, etc.); R2 = H, halo, OH, etc.; L = a bond, alkanediyl, alkanediyoxy, NH, CO, NHCO; each R3 = H and one H atom can be replaced by aryl; R4 = H, OH, NH<sub>2</sub>, etc.; A = (un)substituted Ph, cyclohexyl, pyridyl, etc.], having histone deacetylase inhibiting enzymic activity, were prepared and formulated. E.g., a multi-step synthesis of II which showed pIC<sub>50</sub> of 5.121 against HDAC, was given.

IT **603985-85-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazino(piperidino or diazepino) substituted 2-pyrimidinecarbohydroxamic acids and N-hydroxybenzamides as new inhibitors of histone deacetylase)

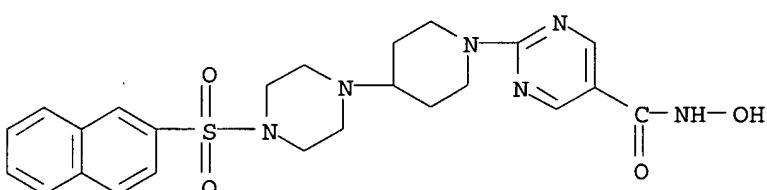
RN 603985-85-9 HCPLUS

CN 5-Pyrimidinecarboxamide, N-hydroxy-2-[4-[4-(2-naphthalenylsulfonyl)-1-piperazinyl]-1-piperidinyl]-, trifluoroacetate (10:9) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 603985-84-8

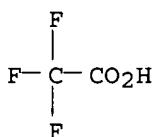
CMF C24 H28 N6 O4 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



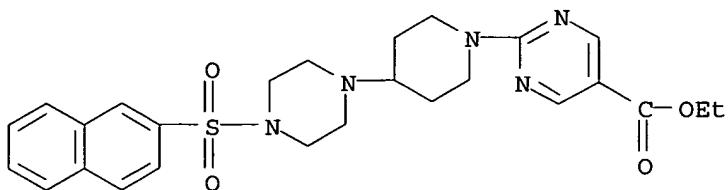
IT **603986-88-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperazino(piperidino or diazepino) substituted 2-pyrimidinecarbohydroxamic acids and N-hydroxybenzamides as new inhibitors of histone deacetylase)

RN 603986-88-5 HCPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-[4-(2-naphthalenylsulfonyl)-1-piperazinyl]-1-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:591178 HCPLUS

DOCUMENT NUMBER: 139:149653

TITLE: Preparation of quinoxaline derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors for treatment of rheumatoid arthritis

INVENTOR(S): Takayama, Kazuhisa; Masuda, Naoyuki; Hondo, Takeshi; Hirabayashi, Ryoji; Seki, Norio; Koga, Yuji; Naito, Ryo; Okamoto, Yoshinori; Kaizawa, Hiroyuki; Okuda, Takao; Okada, Youhei; Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

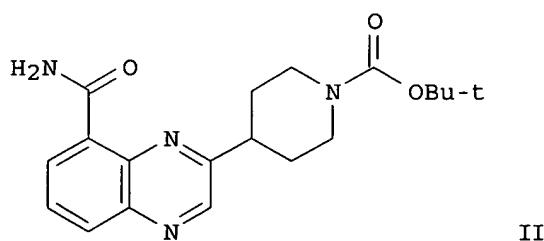
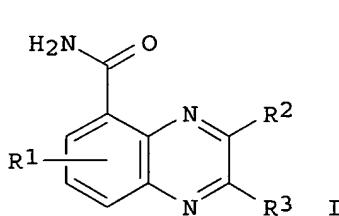
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062234	A1	20030731	WO 2003-JP545	20030122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 2002-14121	A 20020123
OTHER SOURCE(S):		MARPAT 139:149653		
GI				



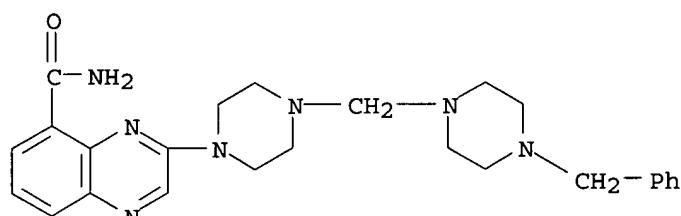
**AB** The title quinoxaline derivs. with general formula of I [wherein wherein R1 = H, alkoxy, halo, or (un)substituted alkyl; R2 = halo, (un)substituted OH, SH, or amino, etc.; R3 = H, OH, halo, (un)substituted cycloalkyl, cycloalkenyl, heterocyclyl, or alkyl, etc.; with exclusions] and pharmaceutically acceptable salts thereof are prepared as poly(ADP-ribose) polymerase (PARP) inhibitors for the treatment of rheumatoid arthritis. For example, the quinoxalinecarboxamide II was prepared in a four-step synthesis starting from N-(tert-butoxycarbonyl)isonipecotic acid comprising ring formation reaction. Some of compds. I showed IC<sub>50</sub> of 3.8-72 nM against human PARP.

**IT** 569666-94-0P 569666-95-1P 569666-96-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of quinoxaline derivs. as PARP inhibitors for treatment of rheumatoid arthritis)

**RN** 569666-94-0 HCPLUS

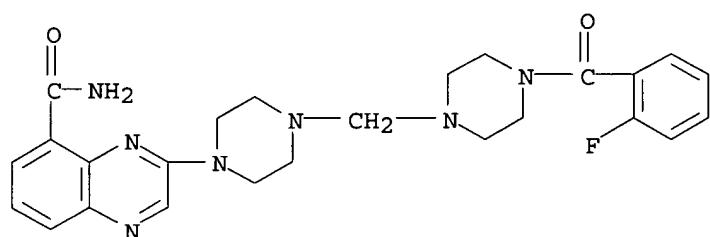
**CN** 5-Quinoxalinecarboxamide, 3-[4-[[4-(phenylmethyl)-1-piperazinyl]methyl]-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

**RN** 569666-95-1 HCPLUS

**CN** 5-Quinoxalinecarboxamide, 3-[4-[[4-(2-fluorobenzoyl)-1-piperazinyl]methyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

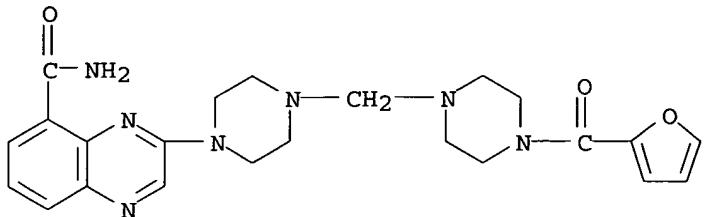


● HCl

**RN** 569666-96-2 HCPLUS

**CN** 5-Quinoxalinecarboxamide, 3-[4-[[4-(2-furanylcarbonyl)-1-piperazinyl]methyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

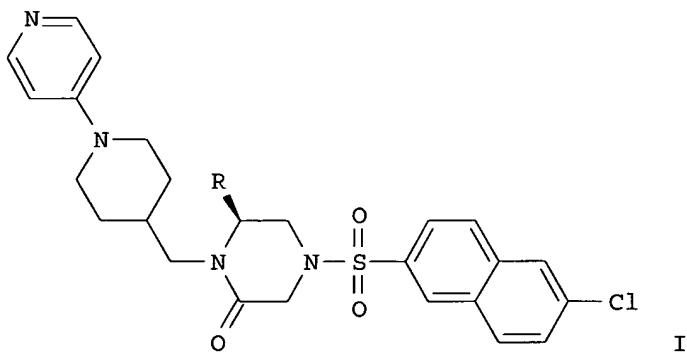
NAME)



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:723418 HCPLUS  
 DOCUMENT NUMBER: 138:137268  
 TITLE: Synthesis and evaluation of 1-arylsulfonyl-3-piperazinone derivatives as factor Xa inhibitors II. Substituent effect on biological activities  
 AUTHOR(S): Nishida, Hidemitsu; Miyazaki, Yutaka; Mukaihira, Takafumi; Saitoh, Fumihiro; Fukui, Miyuki; Harada, Kousuke; Itoh, Manabu; Muraoka, Aki; Matsusue, Tomokazu; Okamoto, Atsushi; Hosaka, Yoshitaka; Matsumoto, Miwa; Ohnishi, Shuhei; Mochizuki, Hidenori  
 CORPORATE SOURCE: Chemistry Laboratory, Research Center, Mochida Pharmaceutical Co., Ltd., Shizuoka, 412-8524, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (2002), 50(9), 1187-1194  
 PUBLISHER: CODEN: CPBTAL; ISSN: 0009-2363  
 DOCUMENT TYPE: Pharmaceutical Society of Japan  
 LANGUAGE: Journal  
 OTHER SOURCE(S): English  
 GI: CASREACT 138:137268



AB Intravascular clot formation is an important event in a number of cardiovascular diseases. The prevention of blood coagulation has become a major target for new therapeutic agents. Factor Xa (FXa) is a trypsin-like serine protease that plays a key role in the blood coagulation cascade and represents an attractive target for anticoagulant drug development. We have investigated substituents in the central part of a lead compound (I, R = H: M55113), and discovered that compound I (R = CO<sub>2</sub>H: M55551 (R)-4-[(6-Chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-2-piperazinecarboxylic acid) is a potent inhibitor of FXa (IC<sub>50</sub>=0.006 μM), with high selectivity for FXa over trypsin and thrombin. The activity of this compound is ten times more powerful than the lead compound

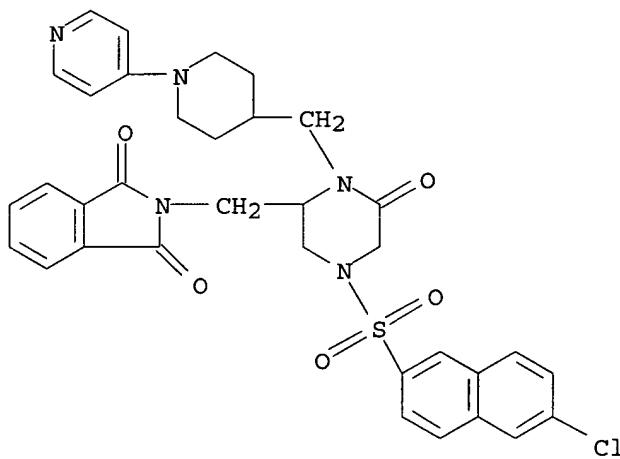
IT 493026-70-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, factor Xa inhibition, and structure-activity relationships of piperazinones via hydride reduction of piperazinonecarboxylate followed by addition of phthalimide and release of free amine)

RN 493026-70-3 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl] - (9CI) (CA INDEX NAME)



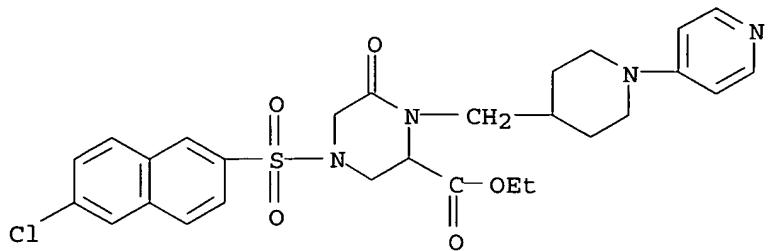
IT 229646-51-9P 229646-52-0P 229646-53-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation, factor Xa inhibition, and structure-activity relationships of piperazinones via modifications on piperazinonecarboxylate)

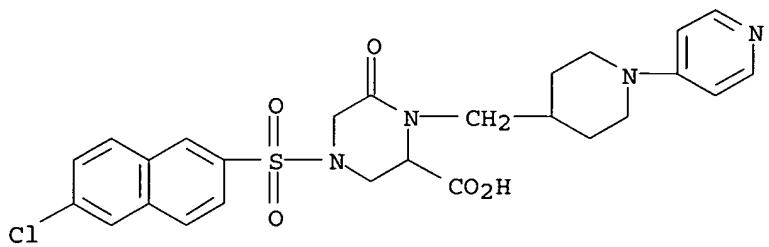
RN 229646-51-9 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



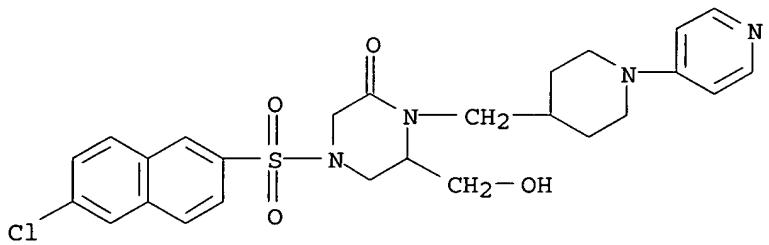
RN 229646-52-0 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 229646-53-1 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(hydroxymethyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



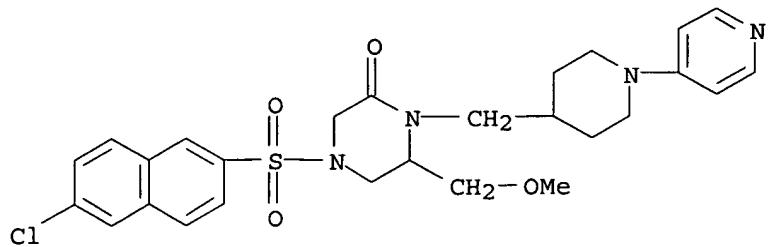
IT 229646-54-2P 229646-58-6P 229646-59-7P

229646-64-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation)(preparation, factor Xa inhibition, and structure-activity relationships of  
piperazinones via modifications on piperazinonecarboxylate)

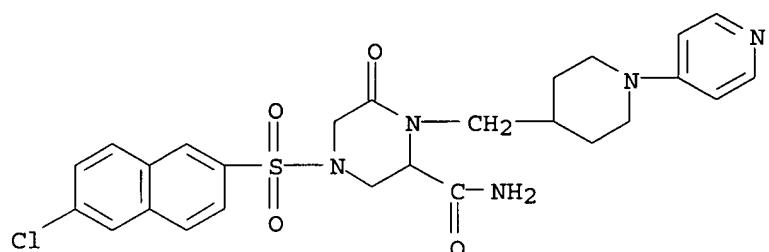
RN 229646-54-2 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(methoxymethyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



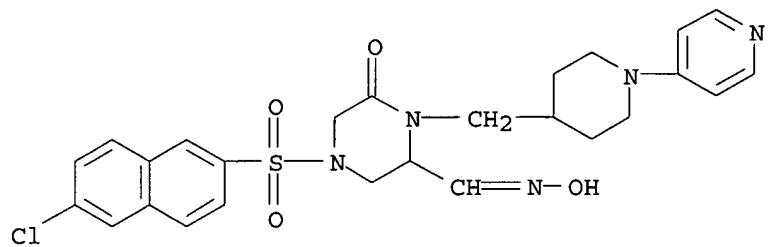
RN 229646-58-6 HCPLUS

CN 2-Piperazinecarboxamide, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



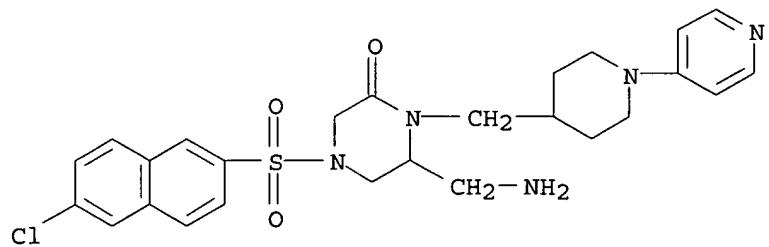
RN 229646-59-7 HCPLUS

CN 2-Piperazinecarboxaldehyde, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, 2-oxime (9CI) (CA INDEX NAME)



RN 229646-64-4 HCPLUS

CN Piperazinone, 6-(aminomethyl)-4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

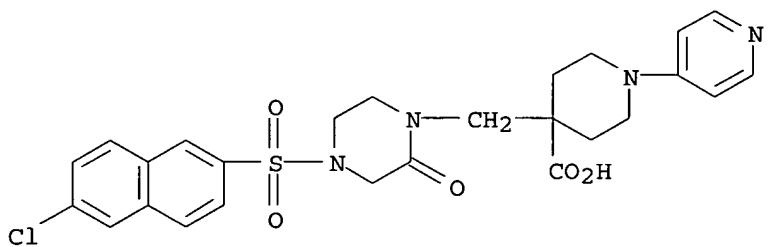


IT 239071-65-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation, factor Xa inhibition, and structure-activity relationships of piperazinones via oxidation of (hydroxymethylpiperidinyl)methylpiperazinone followed by oxime formation from aldehyde or esterification of carboxylic acid)

RN 239071-65-9 HCPLUS

CN 4-Piperidinecarboxylic acid, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)- (9CI) (CA INDEX NAME)

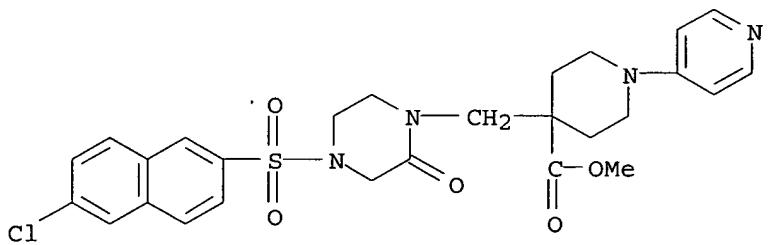


IT 239073-27-9P 493026-56-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation, factor Xa inhibition, and structure-activity relationships of piperazinones via oxidation of (hydroxymethylpiperidinyl)methylpiperazinone followed by oxime formation from aldehyde or esterification of carboxylic acid)

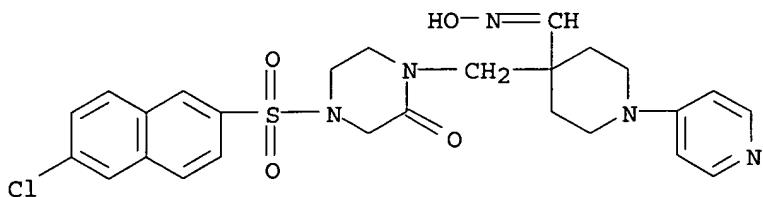
RN 239073-27-9 HCPLUS

CN 4-Piperidinecarboxylic acid, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 493026-56-5 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[4-[(hydroxyimino)methyl]-1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



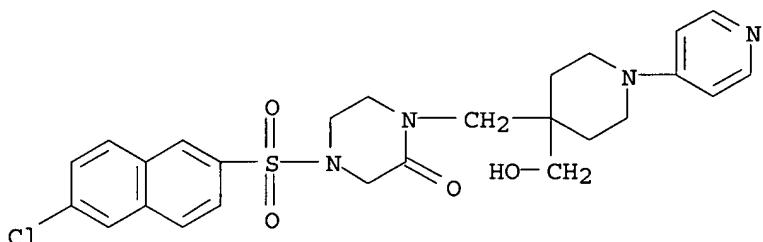
IT 239071-64-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation, factor Xa inhibition, and structure-activity relationships of piperazinones via reduction of (Boc-aminomethyl)piperidinylpyridine oxide alcs. followed by deprotection and cyclocondensation with N-(oxoethyl)glycine)

RN 239071-64-8 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[(4-(hydroxymethyl)-1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



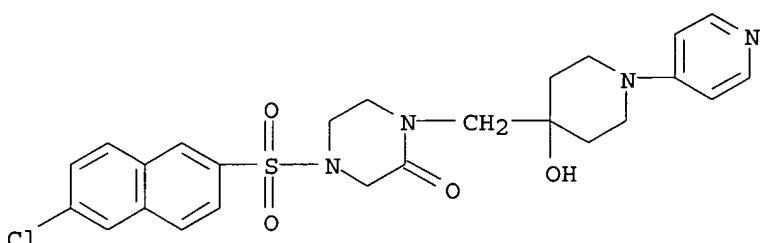
IT 239074-62-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, factor Xa inhibition, and structure-activity relationships of piperazinones via reduction of (Boc-aminomethyl)piperidinylpyridine oxide alcs. followed by deprotection and cyclocondensation with N-(oxoethyl)glycine)

RN 239074-62-5 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[(4-hydroxy-1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



IT 229646-72-4P 229646-73-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant

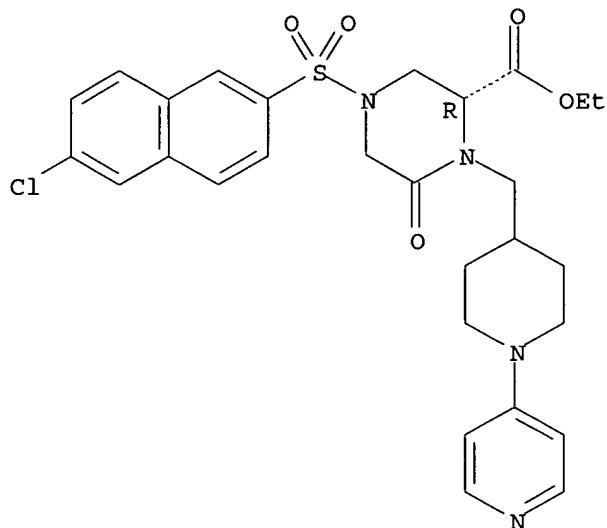
or reagent)

(stereoselective preparation, factor Xa inhibition, and structure-activity relationships of piperazinones via use of chiral Boc-aminoalanines as building blocks)

RN 229646-72-4 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[{1-(4-pyridinyl)-4-piperidinyl}methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

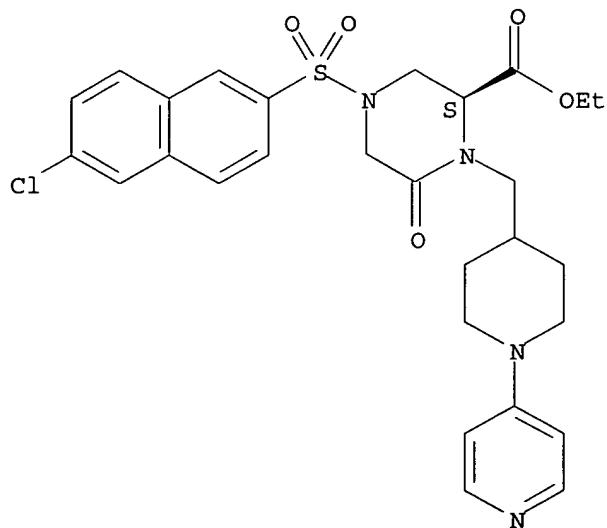
## Absolute stereochemistry. Rotation (-).



RN 229646-73-5 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

### Absolute stereochemistry. Rotation (+).



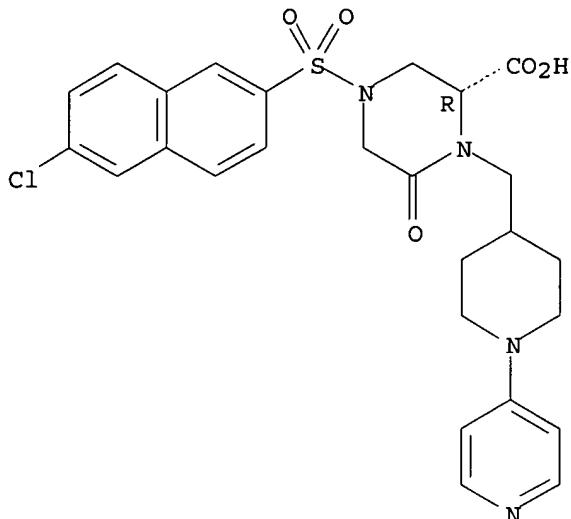
IT 229646-76-8P 493026-74-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (stereoselective preparation, factor Xa inhibition, and structure-activity relationships of piperazinones via use of chiral Boc-aminoalanines as building blocks)

RN 229646-76-8 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

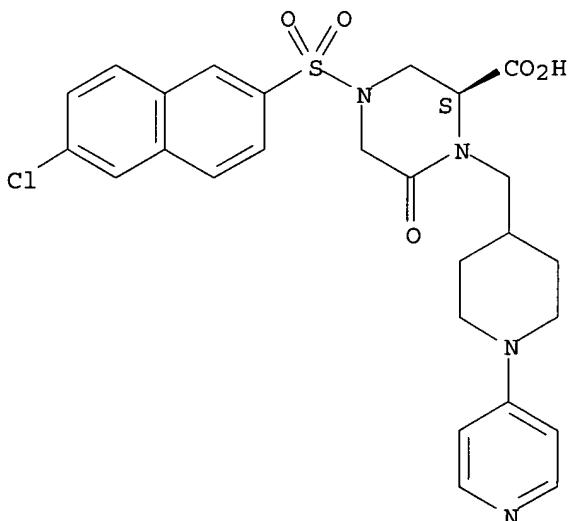
Absolute stereochemistry. Rotation (-).



RN 493026-74-7 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 493026-75-8P 493026-76-9P

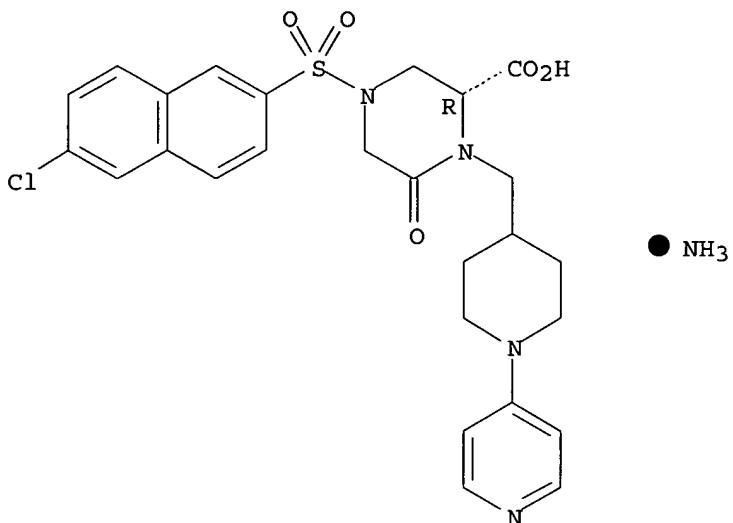
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective preparation, factor Xa inhibition, and structure-activity relationships of piperazinones via use of chiral Boc-aminoalanines as building blocks)

RN 493026-75-8 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ammonium salt, (2R)- (9CI) (CA INDEX NAME)

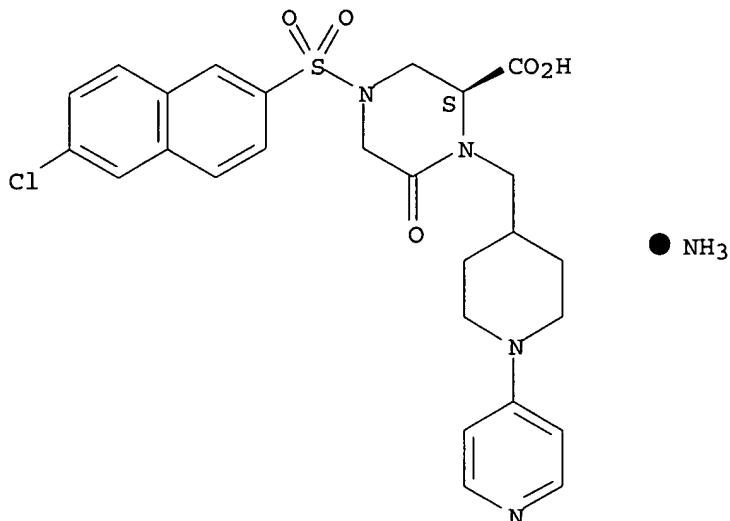
Absolute stereochemistry.



RN 493026-76-9 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ammonium salt, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:368468 HCAPLUS  
 DOCUMENT NUMBER: 136:386135  
 TITLE: Preparation of carbamate derivatives as inhibitors of activated blood coagulation factor X  
 INVENTOR(S): Itoh, Fumio; Banno, Hiroshi; Kawamura, Masaki;  
 Kitamura, Shuji  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 111 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

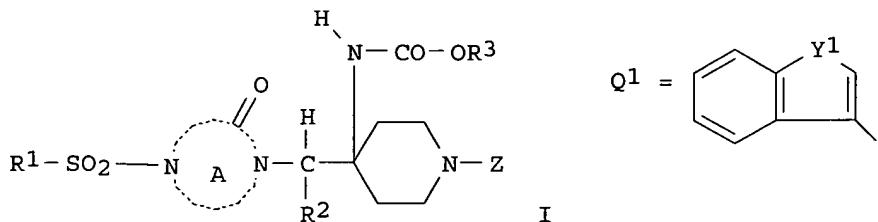
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038560	A1	20020516	WO 2001-JP9759	20011108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2428123	AA	20020516	CA 2001-2428123	20011108
AU 2002014266	A5	20020521	AU 2002-14266	20011108
JP 2002220385	A2	20020809	JP 2001-343474	20011108
EP 1340753	A1	20030903	EP 2001-982745	20011108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004038986	A1	20040226	US 2003-416240	20030506
PRIORITY APPLN. INFO.:			JP 2000-341067	A 20001108

OTHER SOURCE(S) :  
GI

MARPAT 136:386135

WO 2001-JP9759

W 20011108



**AB** The title compds. I [R1 represents an optionally substituted group represented by Q1, etc.; Y1 represents CH:CH, etc.; the ring A represents an oxo-substituted nitrogen-containing heterocycle optionally further substituted; R2 represents hydrogen, optionally substituted C1-4 alkyl, etc.; R3 represents optionally substituted C1-4 alkyl, etc.; and Z represents an optionally substituted nitrogen containing heterocyclic group, etc.] are prepared. The process for preparing I is disclosed.  
 4-(6-Chloronaphthalene-2-sulfonyl)-1-[4-ethoxycarbonylamino-1-(4-pyridyl)-4-piperidylmethyl]-2-piperazinone showed IC50 of 0.0046  $\mu$ M against blood-coagulation factor Xa. Formulations are given.

**IT**

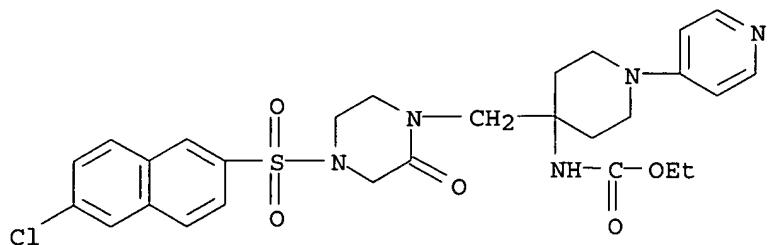
426263-58-3P 426263-59-4P 426263-60-7P  
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 426263-67-4P 426263-68-5P 426263-69-6P  
 426263-70-9P 426263-71-0P 426263-72-1P  
 426263-73-2P 426263-74-3P 426263-75-4P  
 426263-76-5P 426263-77-6P 426263-78-7P  
 426263-80-1P 426263-81-2P 426263-82-3P  
 426263-83-4P 426263-84-5P 426263-85-6P  
 426263-86-7P 426263-87-8P 426263-89-0P  
 426263-90-3P

**RL:** IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carbamate derivs. as inhibitors of activated blood coagulation factor X)

**RN** 426263-58-3 HCPLUS

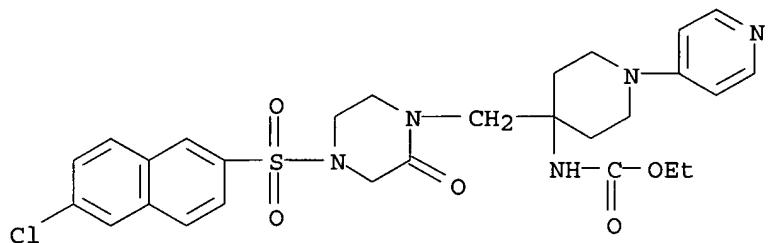
**CN** Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

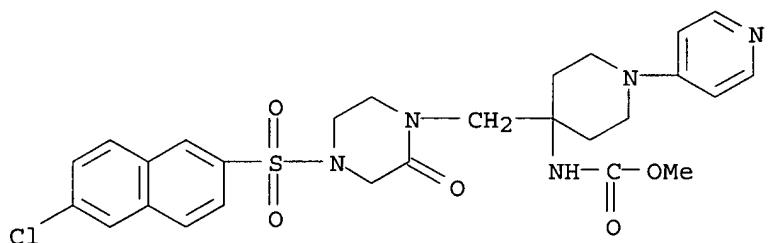
RN 426263-59-4 HCAPLUS

CN Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI)  
(CA INDEX NAME)



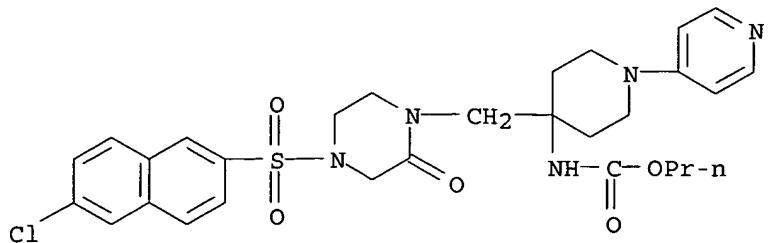
RN 426263-60-7 HCAPLUS

CN Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, methyl ester (9CI)  
(CA INDEX NAME)



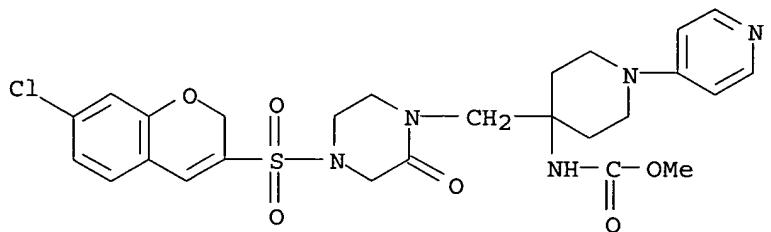
RN 426263-61-8 HCAPLUS

CN Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, propyl ester (9CI)  
(CA INDEX NAME)



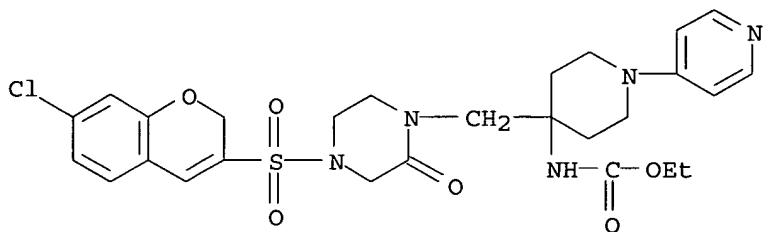
RN 426263-62-9 HCAPLUS

CN Carbamic acid, [4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, methyl ester (9CI)  
(CA INDEX NAME)



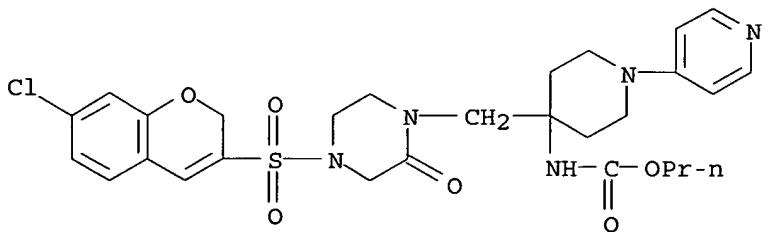
RN 426263-63-0 HCAPLUS

CN Carbamic acid, [4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI)  
(CA INDEX NAME)



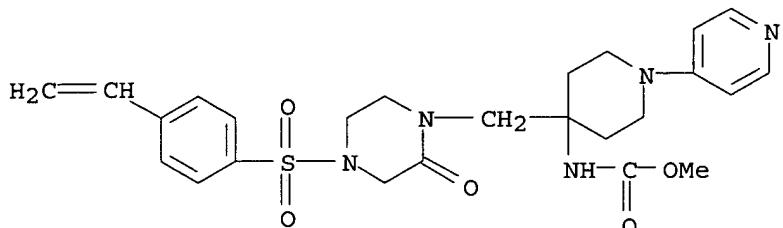
RN 426263-64-1 HCAPLUS

CN Carbamic acid, [4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, propyl ester (9CI)  
(CA INDEX NAME)



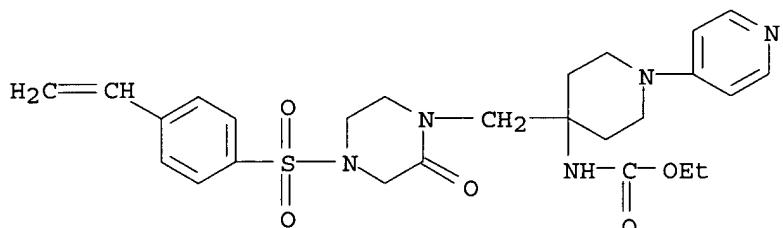
RN 426263-65-2 HCAPLUS

CN Carbamic acid, [4-[[4-[(4-ethenylphenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, methyl ester (9CI)  
(CA INDEX NAME)



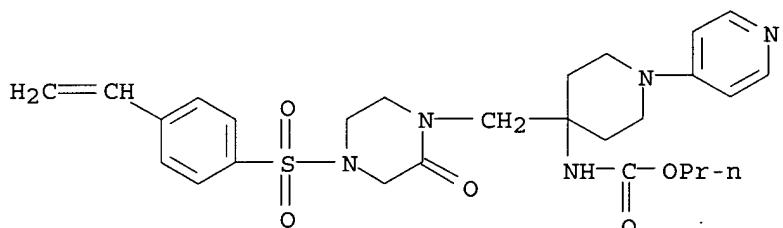
RN 426263-66-3 HCAPLUS

CN Carbamic acid, [4-[[4-[(4-ethenylphenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI)  
(CA INDEX NAME)



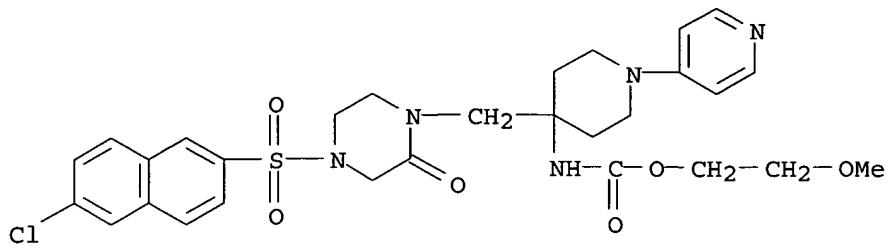
RN 426263-67-4 HCAPLUS

CN Carbamic acid, [4-[[4-[(4-ethenylphenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, propyl ester (9CI)  
(CA INDEX NAME)



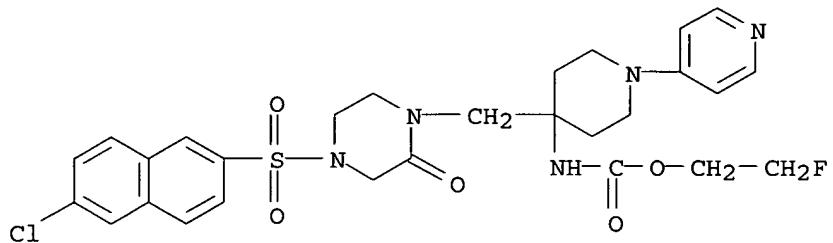
RN 426263-68-5 HCAPLUS

CN Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, 2-methoxyethyl ester  
(9CI) (CA INDEX NAME)



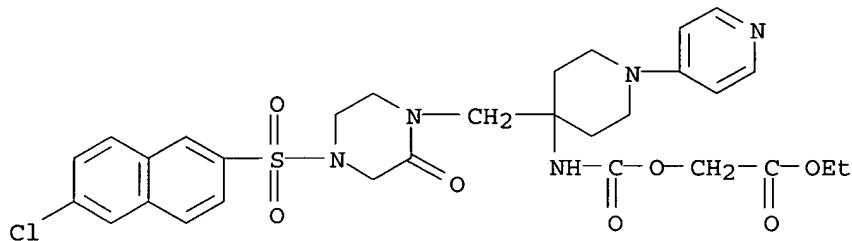
RN 426263-69-6 HCAPLUS

CN Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, 2-fluoroethyl ester (9CI) (CA INDEX NAME)



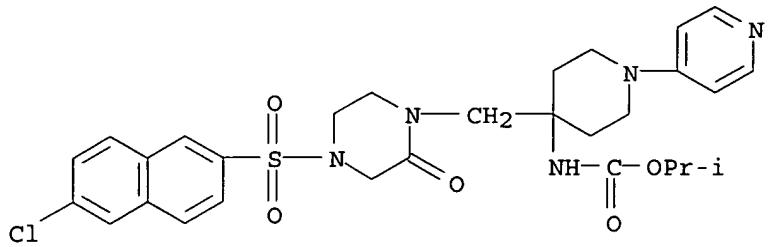
RN 426263-70-9 HCAPLUS

CN Acetic acid, [[[4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]amino]carbonyloxy] - , ethyl ester (9CI) (CA INDEX NAME)



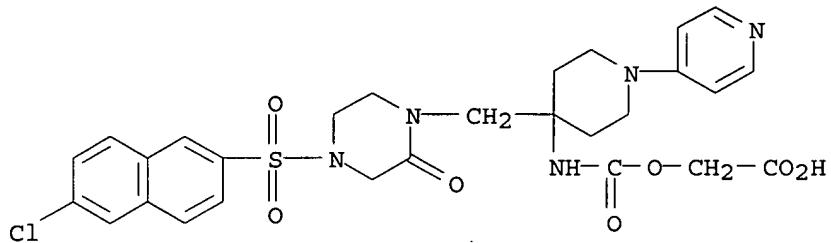
RN 426263-71-0 HCAPLUS

CN Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 426263-72-1 HCPLUS

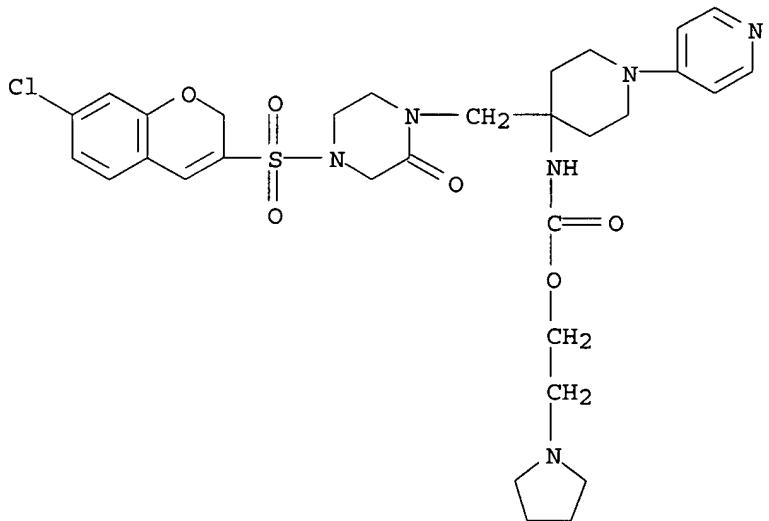
CN Acetic acid, [[[4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]amino]carbonyl]oxy]-(9CI) (CA INDEX NAME)



RN 426263-73-2 HCAPLUS

CN Carbamic acid, [4-[(4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl)methyl]-1-(4-pyridinyl)-4-piperidinyl]-, 2-(1-pyrrolidinyl)ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

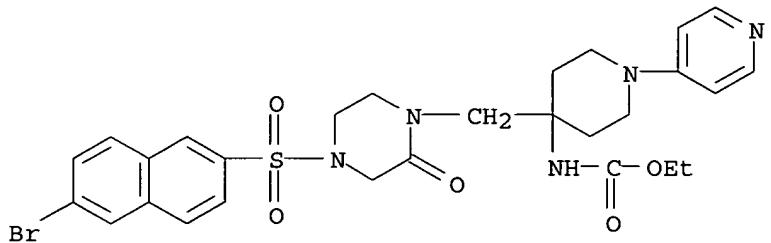


PAGE 2-A

● 2 HCl

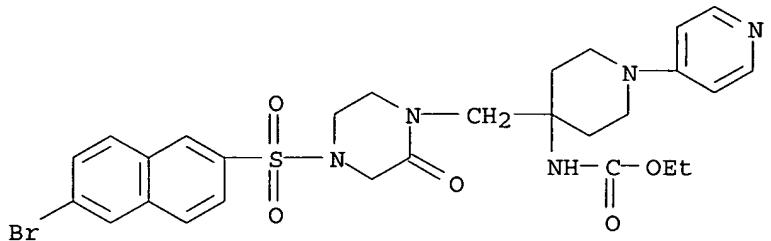
RN 426263-74-3 HCPLUS

CN Carbamic acid, [4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 426263-75-4 HCPLUS

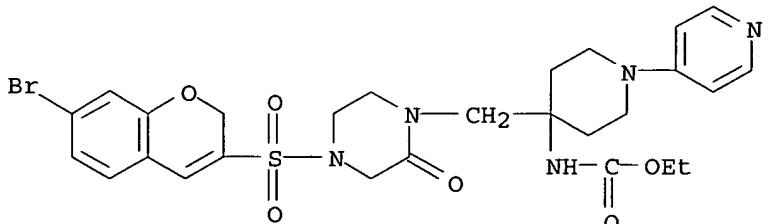
CN Carbamic acid, [4-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



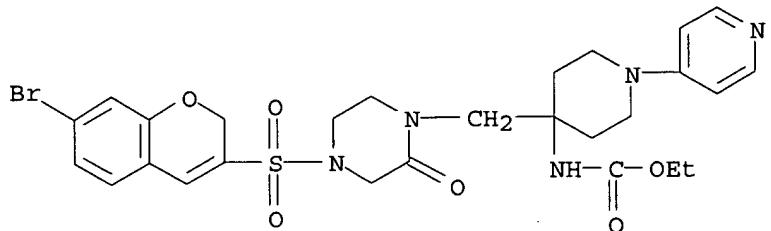
●x HCl

RN 426263-76-5 HCPLUS

CN Carbamic acid, [4-[[4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

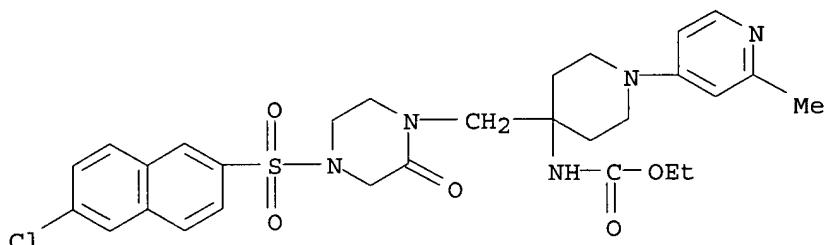


RN 426263-77-6 HCPLUS  
 CN Carbamic acid, [4-[[4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

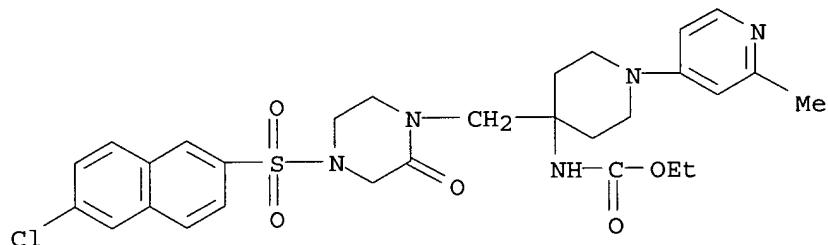


●x HCl

RN 426263-78-7 HCPLUS  
 CN Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(2-methyl-4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



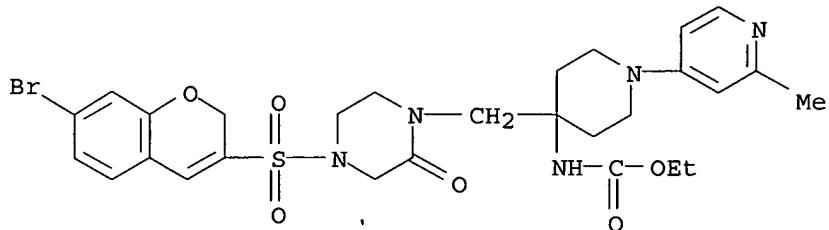
RN 426263-80-1 HCPLUS  
 CN Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(2-methyl-4-pyridinyl)-4-piperidinyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

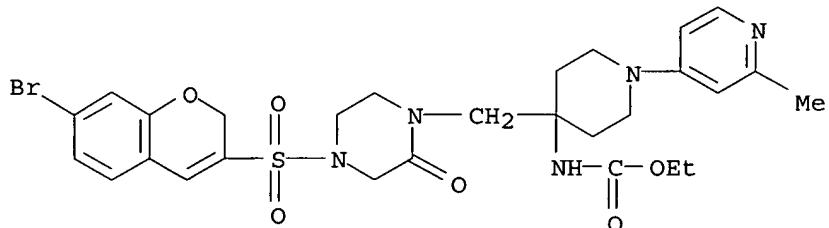
RN 426263-81-2 HCAPLUS

CN Carbamic acid, [4-[[4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(2-methyl-4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 426263-82-3 HCAPLUS

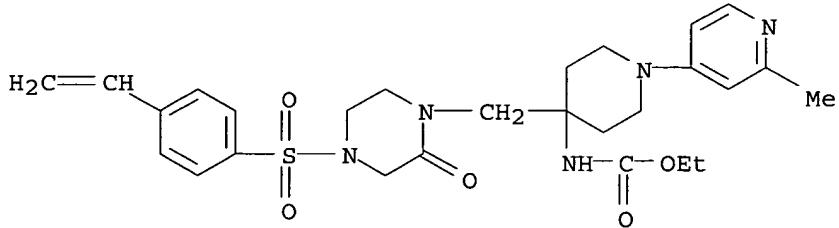
CN Carbamic acid, [4-[[4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(2-methyl-4-pyridinyl)-4-piperidinyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

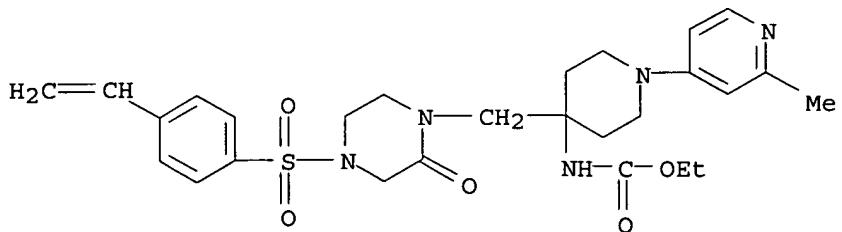
RN 426263-83-4 HCAPLUS

CN Carbamic acid, [4-[[4-[(4-ethenylphenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(2-methyl-4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 426263-84-5 HCAPLUS

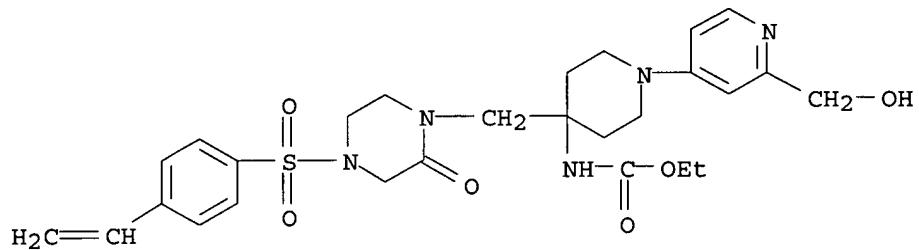
CN Carbamic acid, [4-[[4-[(4-ethenylphenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(2-methyl-4-pyridinyl)-4-piperidinyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

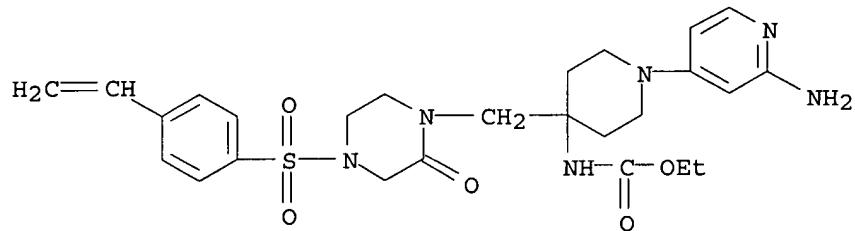
RN 426263-85-6 HCAPLUS

CN Carbamic acid, [4-[(4-ethenylphenyl)sulfonyl]-2-oxo-1-piperazinylmethyl]-1-[2-(hydroxymethyl)-4-pyridinyl]-4-piperidinyl-, ethyl ester (9CI) (CA INDEX NAME)



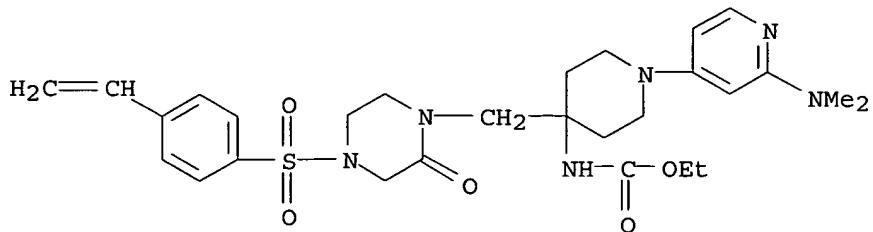
RN 426263-86-7 HCAPLUS

CN Carbamic acid, [1-(2-amino-4-pyridinyl)-4-[(4-ethenylphenyl)sulfonyl]-2-oxo-1-piperazinylmethyl]-4-piperidinyl-, ethyl ester (9CI) (CA INDEX NAME)

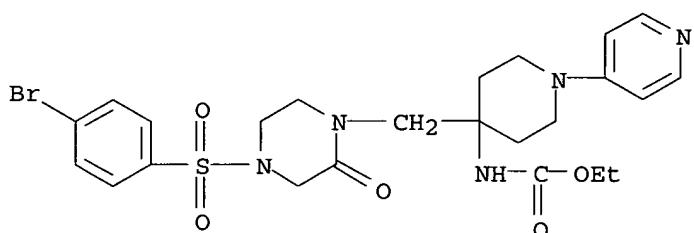


RN 426263-87-8 HCAPLUS

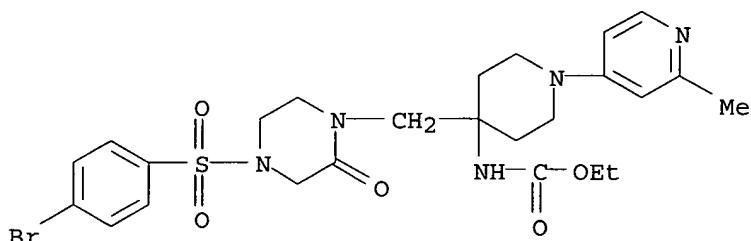
CN Carbamic acid, [1-[2-(dimethylamino)-4-pyridinyl]-4-[(4-ethenylphenyl)sulfonyl]-2-oxo-1-piperazinylmethyl]-4-piperidinyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 426263-89-0 HCAPLUS

CN Carbamic acid, [4-[(4-[(4-bromophenyl)sulfonyl]-2-oxo-1-piperazinyl)methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI)  
(CA INDEX NAME)

RN 426263-90-3 HCAPLUS

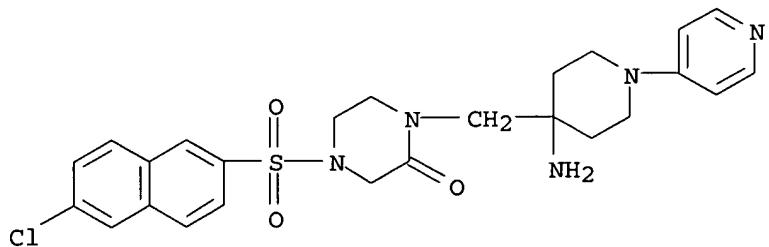
CN Carbamic acid, [4-[(4-[(4-bromophenyl)sulfonyl]-2-oxo-1-piperazinyl)methyl]-1-(2-methyl-4-pyridinyl)-4-piperidinyl]-, ethyl ester  
(9CI) (CA INDEX NAME)

IT 426264-12-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of carbamate derivs. as inhibitors of activated blood coagulation factor X)

RN 426264-12-2 HCAPLUS

CN Piperazinone, 1-[(4-amino-1-(4-pyridinyl)-4-piperidinyl)methyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)

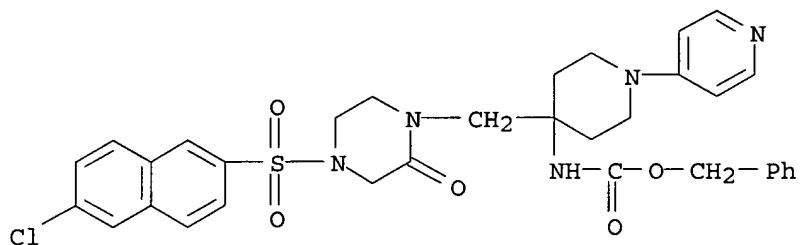


IT 239072-69-6P 426263-96-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of carbamate derivs. as inhibitors of activated blood coagulation factor X)

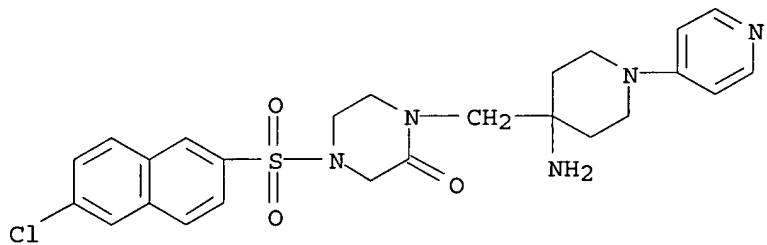
RN 239072-69-6 HCAPLUS

CN Carbamic acid, [4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 426263-96-9 HCAPLUS

CN Piperazinone, 1-[[4-amino-1-(4-pyridinyl)-4-piperidinyl]methyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:724733 HCAPLUS

DOCUMENT NUMBER: 136:102355

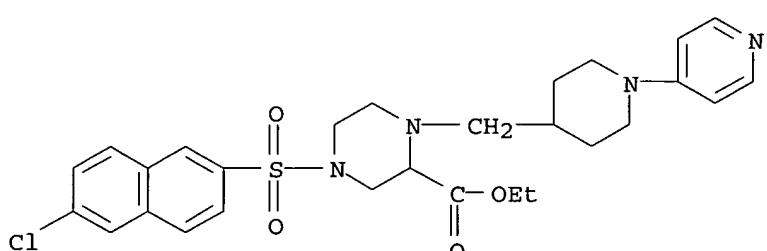
TITLE: Synthesis and evaluation of 1-arylsulfonyl-3-piperazinone derivatives as factor Xa inhibitor  
 AUTHOR(S): Nishida, Hidemitsu; Miyazaki, Yutaka; Kitamura, Yoshihiro; Ohashi, Masayuki; Matsusue, Tomokazu; Okamoto, Atsushi; Hosaka, Yoshitaka; Ohnishi, Shuhei; Mochizuki, Hidenori  
 CORPORATE SOURCE: Chemistry Laboratory, Research Center, Mochida Pharmaceutical Co., Ltd., Shizuoka, 412-8524, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(10), 1237-1244  
 CODEN: CPBTAL; ISSN: 0009-2363  
 PUBLISHER: Pharmaceutical Society of Japan  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:102355

**AB** Intravascular clot formation is an important factor in a number of cardiovascular diseases. Therefore, the prevention of blood coagulation has become a major target for new therapeutic agents. One attractive approach is the inhibition of factor Xa (FXa), which is a key enzyme in coagulation cascade responsible for the generation of thrombin by limited proteolysis of its zymogen, prothrombin. We have investigated 1-arylsulfonyl-3-piperazinone derivs. containing a 4-(piperidino)pyridine group in place of guanidino and/or amidino groups, and discovered compound M55113, (4-[(6-Chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]-methyl]piperazinone), as a potent inhibitor of FXa (IC<sub>50</sub>=0.06 μM) with high selectivity for FXa over trypsin and thrombin.

**IT** 229646-43-9P 229646-45-1P 229646-46-2P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis and evaluation of 1-arylsulfonyl-3-piperazinone derivs. as factor Xa inhibitor)

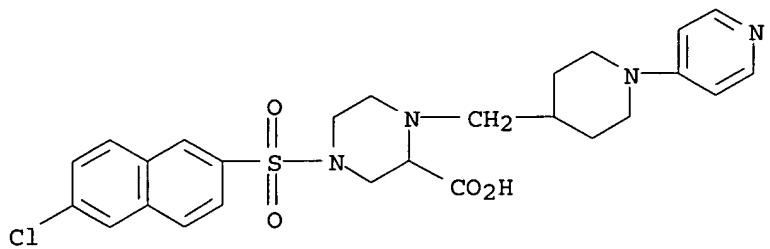
**RN** 229646-43-9 HCPLUS

**CN** 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



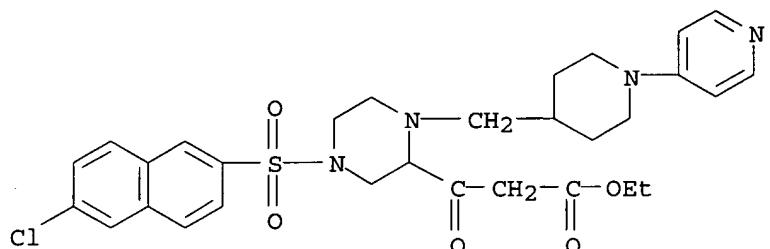
**RN** 229646-45-1 HCPLUS

**CN** 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 229646-46-2 HCAPLUS

CN 2-Piperazinepropanoic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-β-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 229646-14-4P 229646-15-5P 229646-16-6P

229646-17-7P 229646-18-8P 229646-19-9P

229646-20-2P 229646-22-4P 229646-24-6P

229646-25-7P 229646-26-8P 229646-29-1P

229646-36-0P 229646-37-1P 229646-39-3P

229646-40-6P 229646-41-7P 229646-44-0P

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389084-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

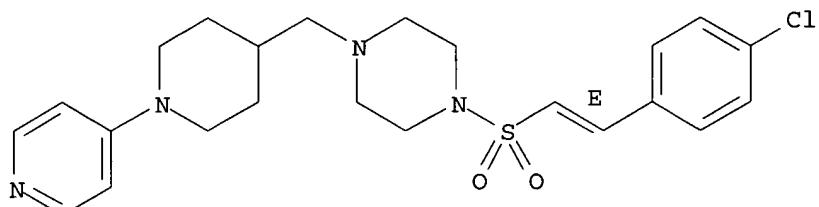
(Biological study); PREP (Preparation)

(synthesis and evaluation of 1-arylsulfonyl-3-piperazinone derivs. as factor Xa inhibitor)

RN 229646-14-4 HCAPLUS

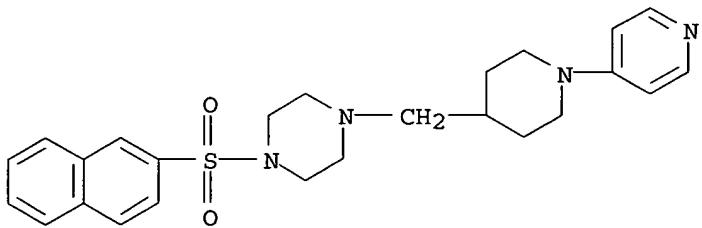
CN Piperazine, 1-[(1E)-2-(4-chlorophenyl)ethenylsulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



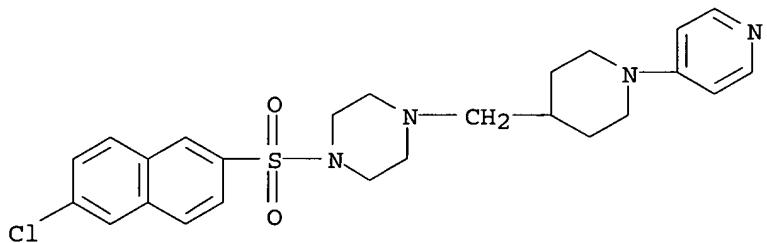
RN 229646-15-5 HCAPLUS

CN Piperazine, 1-(2-naphthalenylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



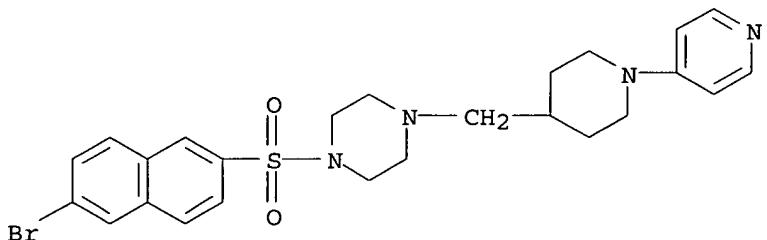
RN 229646-16-6 HCAPLUS

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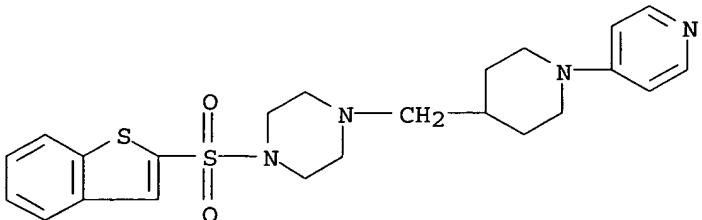
RN 229646-17-7 HCAPLUS

CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



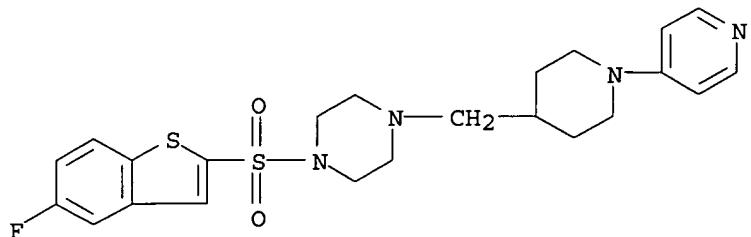
RN 229646-18-8 HCAPLUS

CN Piperazine, 1-(benzo[b]thien-2-ylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



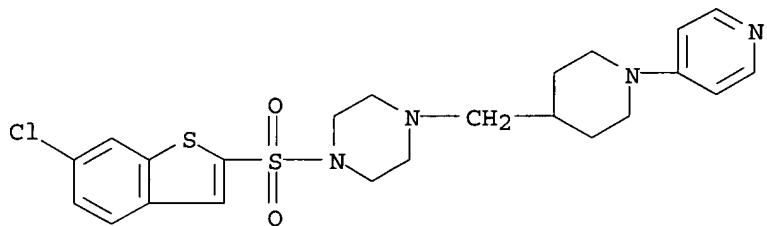
RN 229646-19-9 HCAPLUS

CN Piperazine, 1-[(5-fluorobenzo[b]thien-2-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



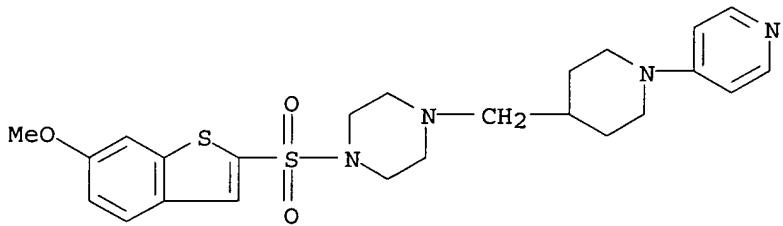
RN 229646-20-2 HCAPLUS

CN Piperazine, 1-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



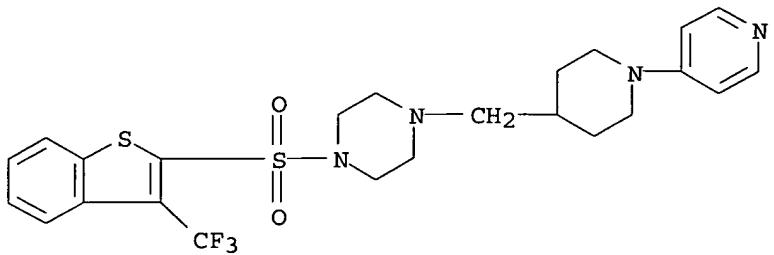
RN 229646-22-4 HCAPLUS

CN Piperazine, 1-[(6-methoxybenzo[b]thien-2-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



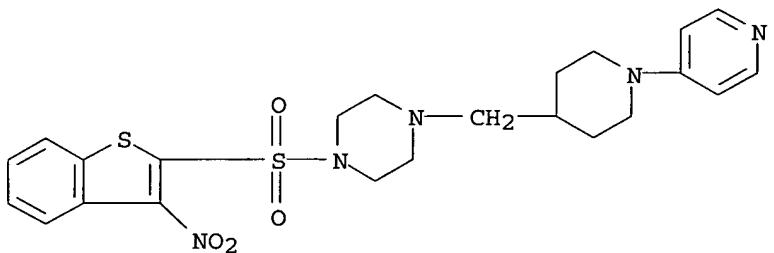
RN 229646-24-6 HCAPLUS

CN Piperazine, 1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-4-[[3-(trifluoromethyl)benzo[b]thien-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)



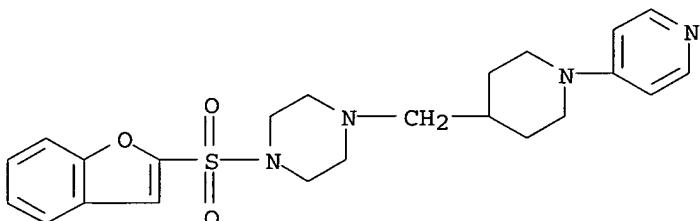
RN 229646-25-7 HCAPLUS

CN Piperazine, 1-[(3-nitrobenzo[b]thien-2-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl] - (9CI) (CA INDEX NAME)



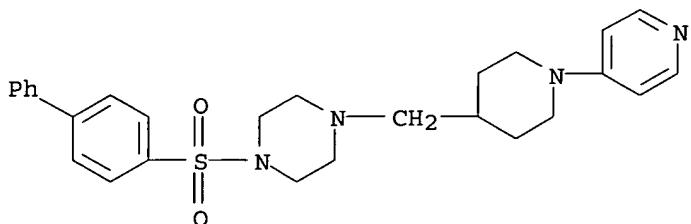
RN 229646-26-8 HCAPLUS

CN Piperazine, 1-[(2-nitrobenzofuran-3-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl] - (9CI) (CA INDEX NAME)



RN 229646-29-1 HCAPLUS

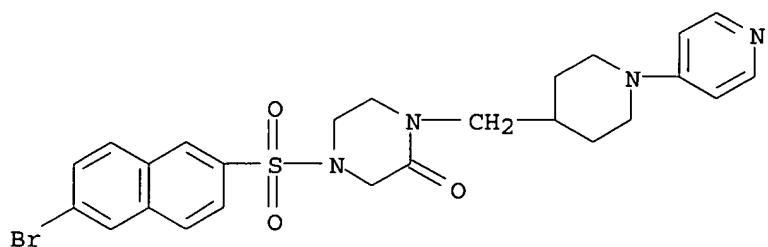
CN Piperazine, 1-[(1,1'-biphenyl)-4-ylsulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl] - (9CI) (CA INDEX NAME)



RN 229646-36-0 HCAPLUS

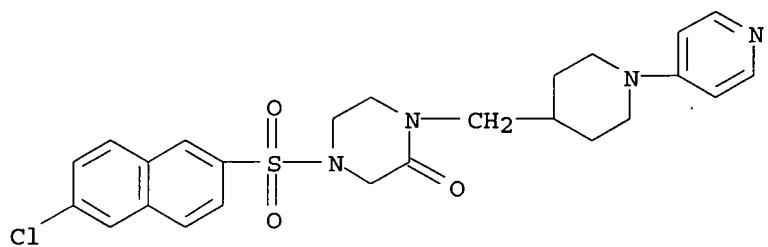
CN Piperazinone, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-

piperidinyl]methyl] - (9CI) (CA INDEX NAME)



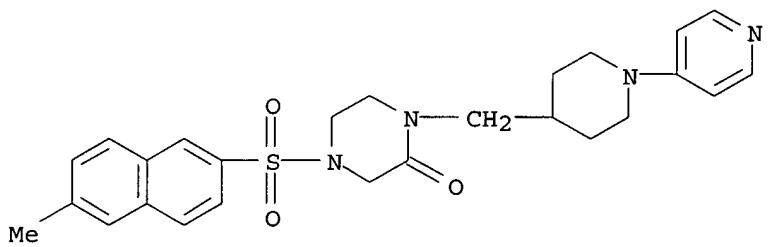
RN 229646-37-1 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl] - (9CI) (CA INDEX NAME)



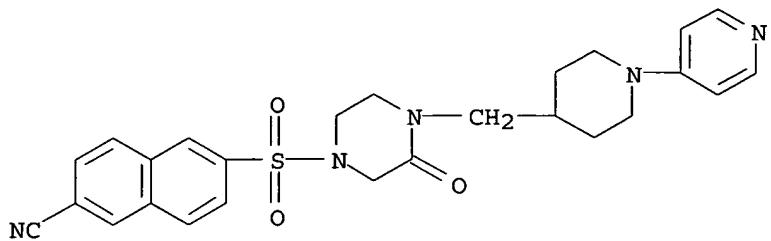
RN 229646-39-3 HCPLUS

CN Piperazinone, 4-[(6-methyl-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl] - (9CI) (CA INDEX NAME)



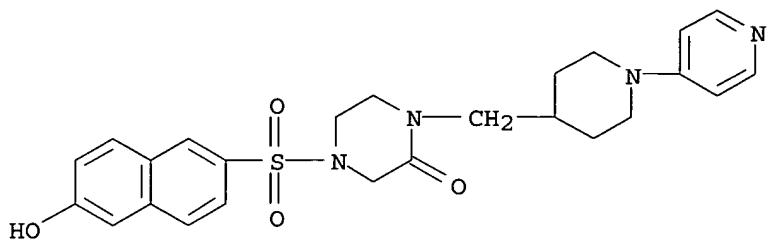
RN 229646-40-6 HCPLUS

CN Piperazinone, 4-[(6-cyano-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl] - (9CI) (CA INDEX NAME)



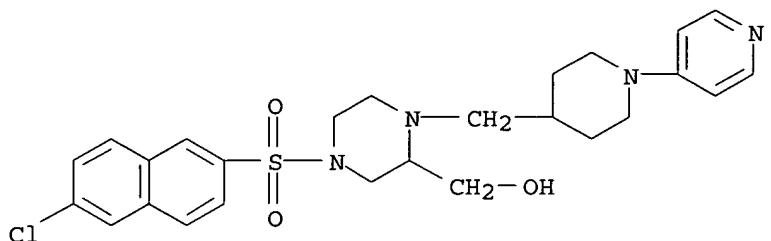
RN 229646-41-7 HCAPLUS

CN Piperazinone, 4-[(6-hydroxy-2-naphthalenyl)sulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



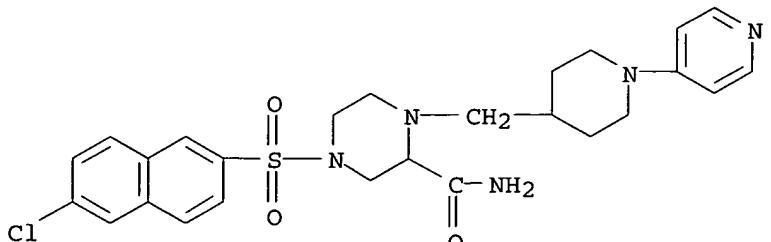
RN 229646-44-0 HCAPLUS

CN 2-Piperazinemethanol, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



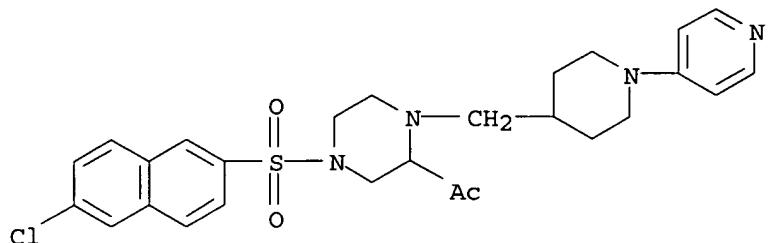
RN 229646-47-3 HCAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



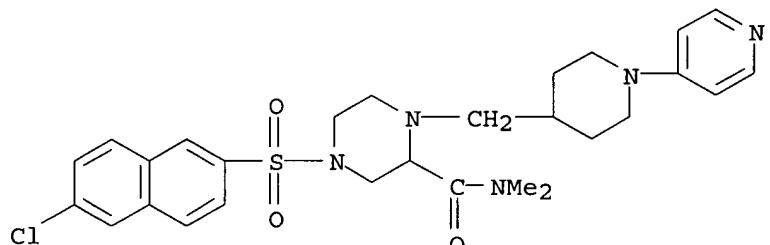
RN 229646-49-5 HCAPLUS

CN Piperazine, 2-acetyl-4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



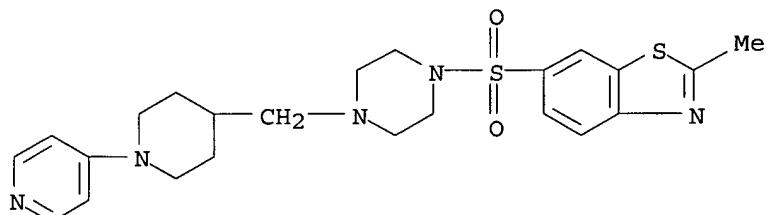
RN 229646-50-8 HCAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-N,N-dimethyl-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 389084-16-6 HCAPLUS

CN Piperazine, 1-[(2-methyl-6-benzothiazolyl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

27

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:78383 HCAPLUS

DOCUMENT NUMBER: 134:163059

TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors

INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred

P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen;  
 Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA  
 SOURCE: PCT Int. Appl., 460 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English

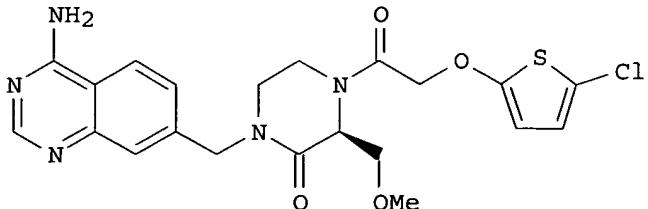
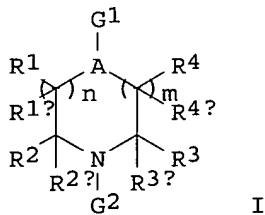
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007436	A2	20010201	WO 2000-IB1156	20000726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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BR 2000013179	A	20020402	BR 2000-13179	20000726
EP 1208097	A2	20020529	EP 2000-951781	20000726
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JP 2003508353	T2	20030304	JP 2001-512520	20000726
EE 200200045	A	20030616	EE 2002-45	20000726
AU 773227	B2	20040520	AU 2000-64628	20000726
NO 2002000214	A	20020402	NO 2002-214	20020115
BG 106340	A	20021031	BG 2002-106340	20020122
ZA 2002000543	A	20030623	ZA 2002-543	20020122
PRIORITY APPLN. INFO.:			US 1999-363196	A 19990728
			WO 2000-IB1156	W 20000726

OTHER SOURCE(S): MARPAT 134:163059

GI



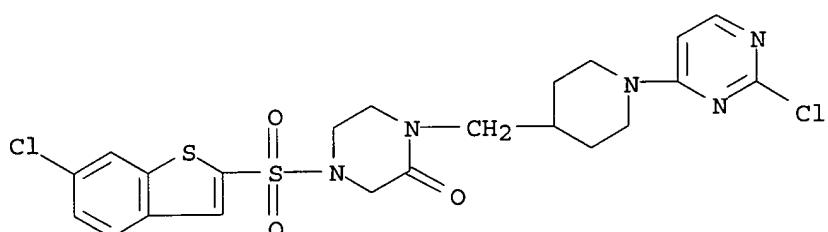
II

**AB** The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH or N; G1 and G2 = L1Cy1 or L2Cy2; Cy1 and Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.; L1 = null, O, S, SO, SO<sub>2</sub>, or (un)substituted sulfamoyl, methylene, (alkyl)keto(alkyl), carbamoyl, etc.; L2 = null or linking group; R1, R1a, R2, R2a, R3, R3a, R4, R4a = independently H, carboxy, alkoxy carbonyl, alkyl, (hetero)aryl, aralkyl, heteroarylalkyl, etc.; m and n = independently 0-2]. The compds. inhibit factor Xa (no data) and factor IIa, and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 1600 invention compds. and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (preps. given), using DIPEA and TBTU in DMF, gave II.

**IT** 323590-18-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

**RN** 323590-18-7 HCPLUS

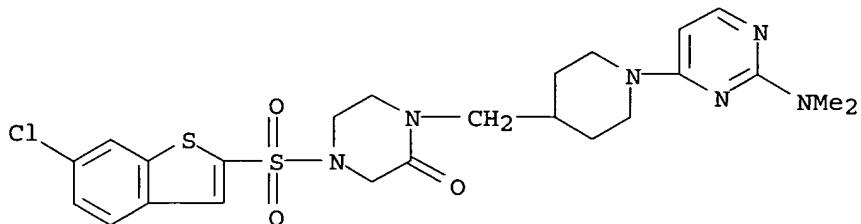
**CN** Piperazinone, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[[1-(2-chloro-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



**IT** 323590-20-1P 323590-22-3P 323590-23-4P  
 323590-26-7P 323590-28-9P 323590-30-3P  
 323590-32-5P 323590-34-7P 323590-42-7P  
 323591-98-6P 323592-00-3P 323592-02-5P  
 323592-12-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

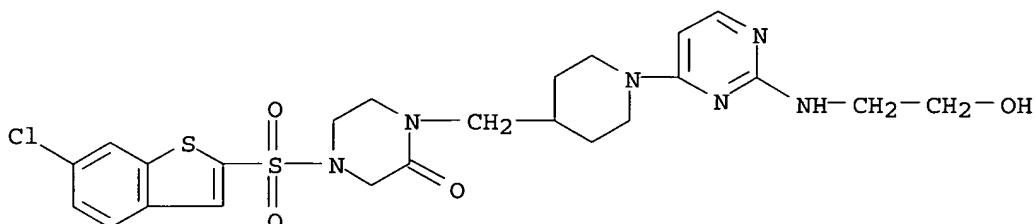
**RN** 323590-20-1 HCPLUS

**CN** Piperazinone, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[[1-[2-(dimethylamino)-4-pyrimidinyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



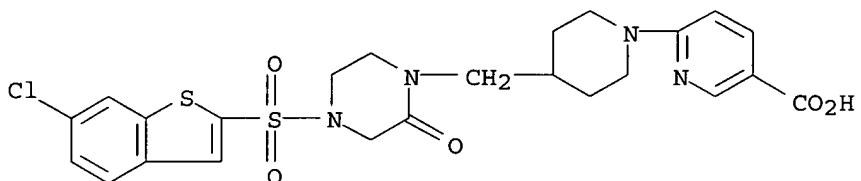
RN 323590-22-3 HCAPLUS

CN Piperazinone, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[[1-[2-[(2-hydroxyethyl)amino]-4-pyrimidinyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



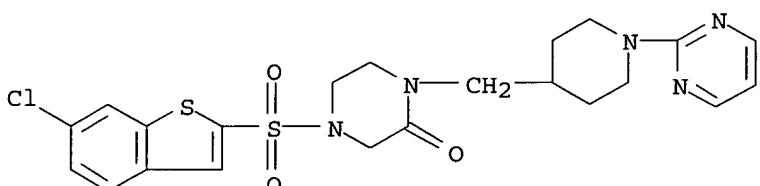
RN 323590-23-4 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-piperidinyl- (9CI) (CA INDEX NAME)



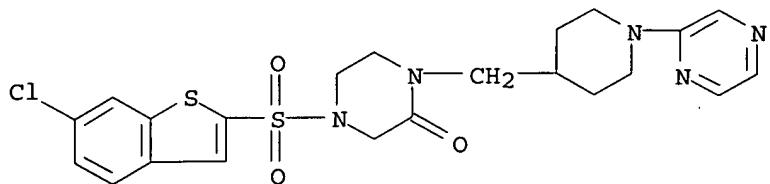
RN 323590-26-7 HCAPLUS

CN Piperazinone, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[(2-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

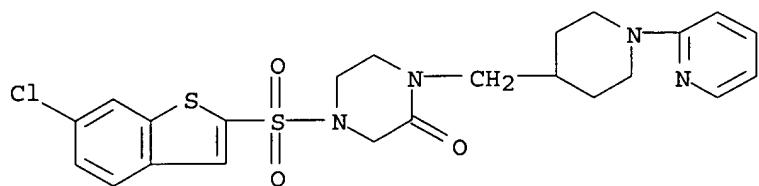


RN 323590-28-9 HCAPLUS

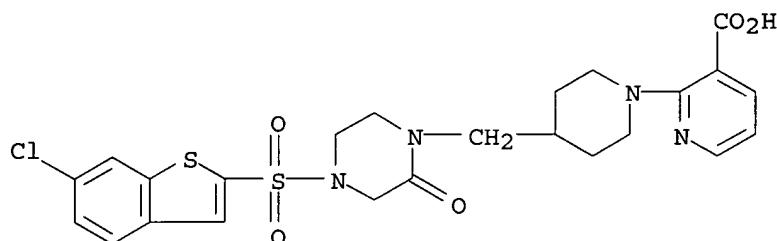
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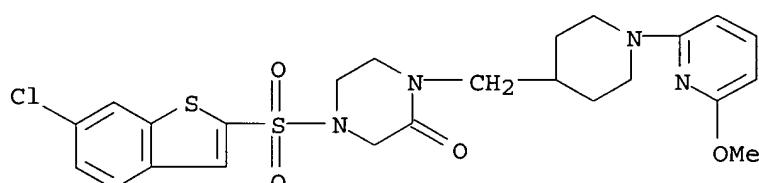
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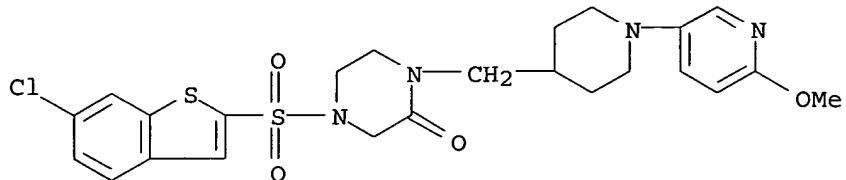
RN 323590-32-5 HCAPLUS  
 CN 3-Pyridinecarboxylic acid, 2-[4-[[4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 323590-34-7 HCAPLUS  
 CN Piperazinone, 4-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-1-[[1-(6-methoxy-2-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

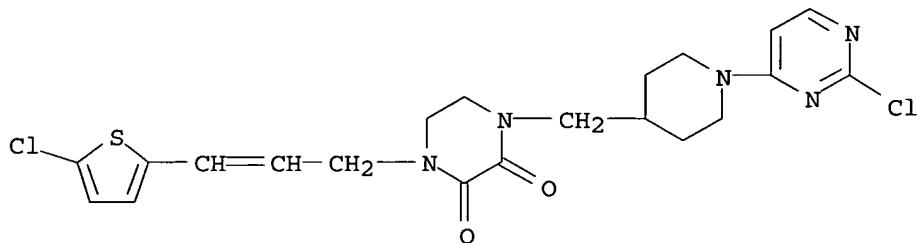


RN 323590-42-7 HCAPLUS  
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RN 323591-98-6 HCAPLUS

CN 2,3-Piperazinedione, 1-[[1-(2-chloro-4-pyrimidinyl)-4-piperidinyl]methyl]-4-[3-(5-chloro-2-thienyl)-2-propenyl]- (9CI) (CA INDEX NAME)

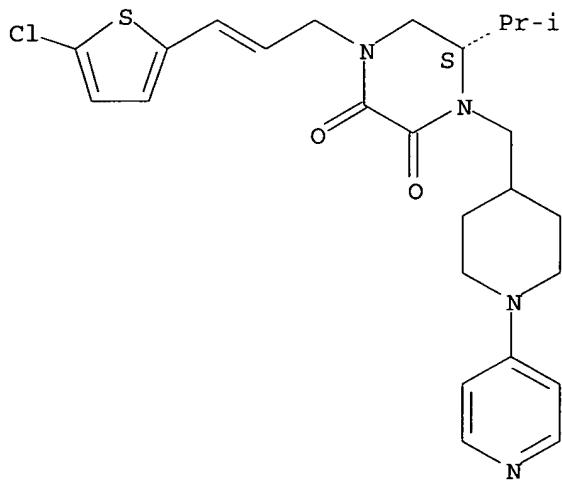


RN 323592-00-3 HCAPLUS

CN 2,3-Piperazinedione, 1-[3-(5-chloro-2-thienyl)-2-propenyl]-5-(1-methylethyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (5S)- (9CI) (CA INDEX NAME)

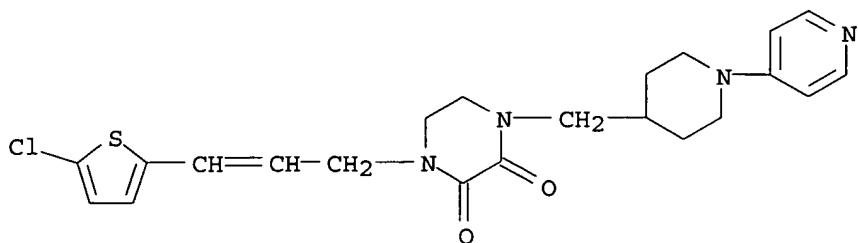
Absolute stereochemistry.

Double bond geometry unknown.



RN 323592-02-5 HCAPLUS

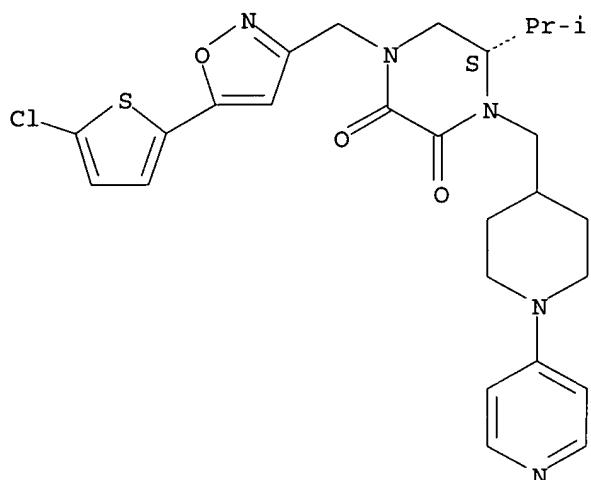
CN 2,3-Piperazinedione, 1-[3-(5-chloro-2-thienyl)-2-propenyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 323592-12-7 HCPLUS

CN 2,3-Piperazinedione, 1-[(5-(5-chloro-2-thienyl)-3-isoxazolyl)methyl]-5-(1-methylethyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 11 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:12449 HCPLUS

DOCUMENT NUMBER: 134:71610

TITLE: Preparation of piperazine derivatives as cholesterol biosynthesis inhibitors

INVENTOR(S): Nishida, Hidemitsu; Hosaka, Yoshitaka

PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 130 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000616	A1	20010104	WO 2000-JP4183	20000626
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,				

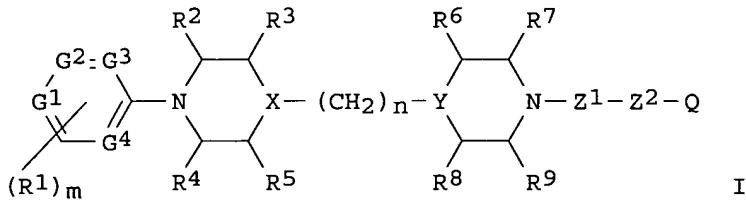
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-180879 A 19990625

OTHER SOURCE(S): MARPAT 134:71610

GI



AB The title compds. I [G1, G2, G3 and G4 are each independently CH or N, with the proviso that at least one of them is N; X and Y are each independently CH or N; Z1 is SO<sub>2</sub>, CO or CH<sub>2</sub>; Z2 is a single bond, lower alkylene, lower alkenylene or lower alkynylene; Q is optionally substituted aryl or optionally substituted heteroaryl; and n is an integer of 1 to 3; R1 = H, halo, carbamoyl, etc.; R2 - R5 = H, or CR<sub>2</sub>, CR<sub>3</sub>, CR<sub>4</sub>, CR<sub>5</sub> = CO; R6 - R9 = H, alkoxy carbonyl, etc.; m = 0 - 3] are prepared I are useful as cholesterol biosynthesis inhibitors, particularly as 2,3-oxidosqualene cyclase inhibitors. In an in vitro test using cells, (R)-4-(4-bromobenzenesulfonyl)-6-ethoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one at 0.01 µg/mL gave 37% inhibition of cholesterol biosynthesis. Formulations are given.

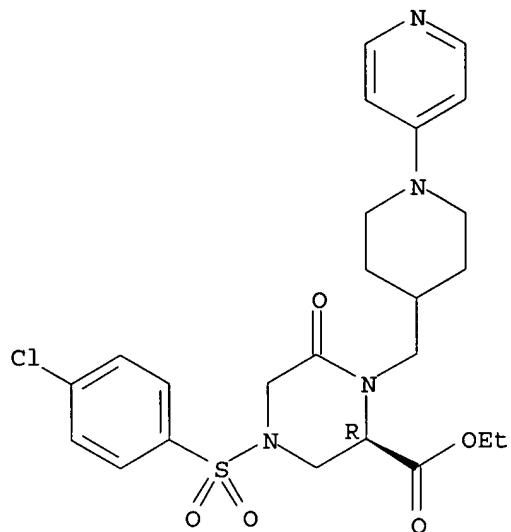
IT 314757-12-5P 314757-13-6P 314757-14-7P  
314757-15-8P 314757-16-9P 314757-17-0P  
314757-18-1P 314757-19-2P 314757-20-5P  
314757-21-6P 314757-22-7P 314757-23-8P  
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314757-27-2P 314757-28-3P 314757-29-4P  
314757-30-7P 314757-31-8P 314757-32-9P  
314757-33-0P 314757-34-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of piperazine derivs. as cholesterol biosynthesis inhibitors)

RN 314757-12-5 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-chlorophenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

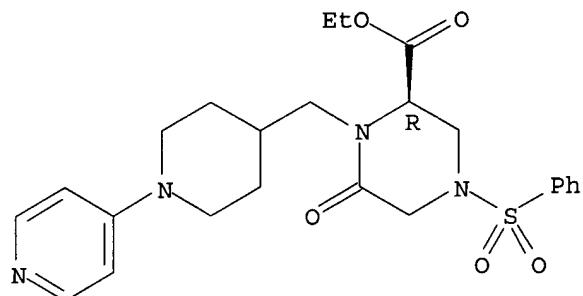
Absolute stereochemistry.



RN 314757-13-6 HCAPLUS

CN 2-Piperazinecarboxylic acid, 6-oxo-4-(phenylsulfonyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

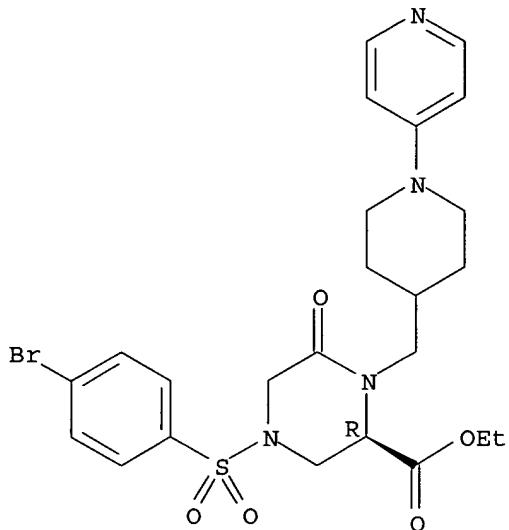
Absolute stereochemistry.



RN 314757-14-7 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-bromophenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

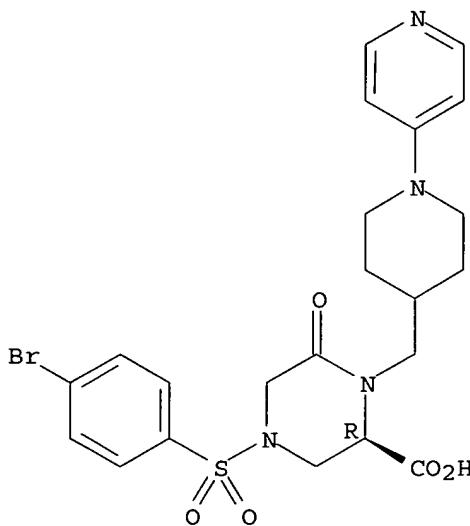
Absolute stereochemistry.



RN 314757-15-8 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-bromophenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

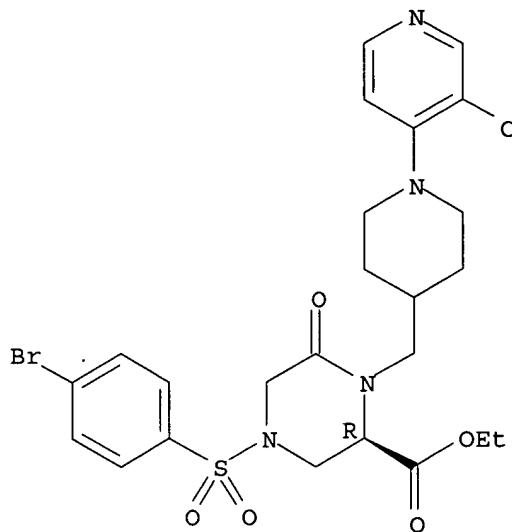
Absolute stereochemistry.



RN 314757-16-9 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-bromophenyl)sulfonyl]-1-[[1-(3-chloro-4-pyridinyl)-4-piperidinyl]methyl]-6-oxo-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

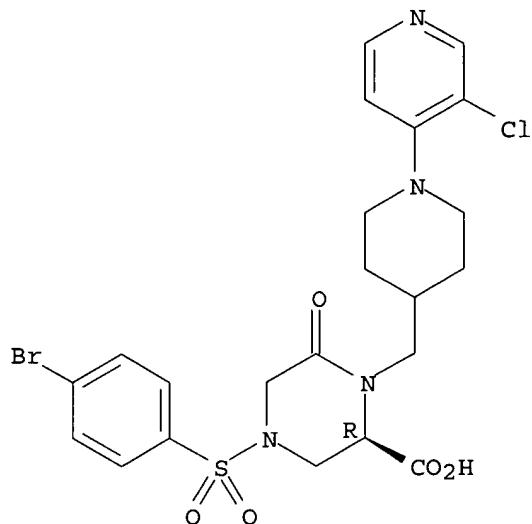
Absolute stereochemistry.



RN 314757-17-0 HCAPLUS

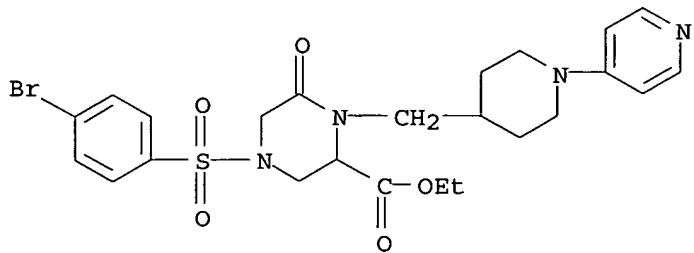
CN 2-Piperazinecarboxylic acid, 4-[(4-bromophenyl)sulfonyl]-1-[[1-(3-chloro-4-pyridinyl)-4-piperidinyl]methyl]-6-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



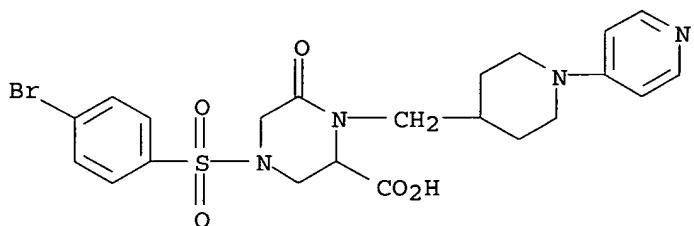
RN 314757-18-1 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-bromophenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



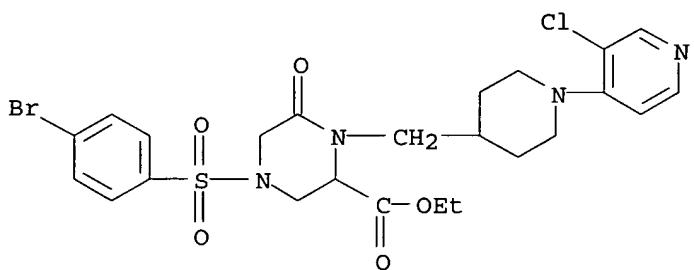
RN 314757-19-2 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-bromophenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



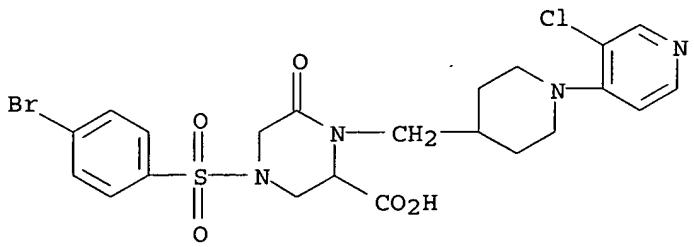
RN 314757-20-5 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-bromophenyl)sulfonyl]-1-[[1-(3-chloro-4-pyridinyl)-4-piperidinyl]methyl]-6-oxo-, ethyl ester (9CI) (CA INDEX NAME)



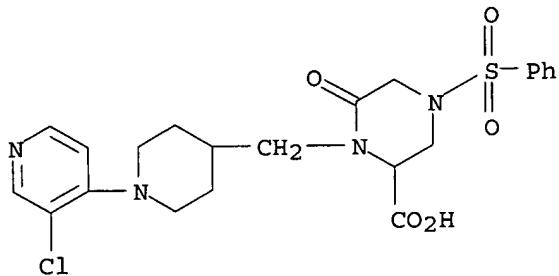
RN 314757-21-6 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-bromophenyl)sulfonyl]-1-[[1-(3-chloro-4-pyridinyl)-4-piperidinyl]methyl]-6-oxo- (9CI) (CA INDEX NAME)



RN 314757-22-7 HCAPLUS

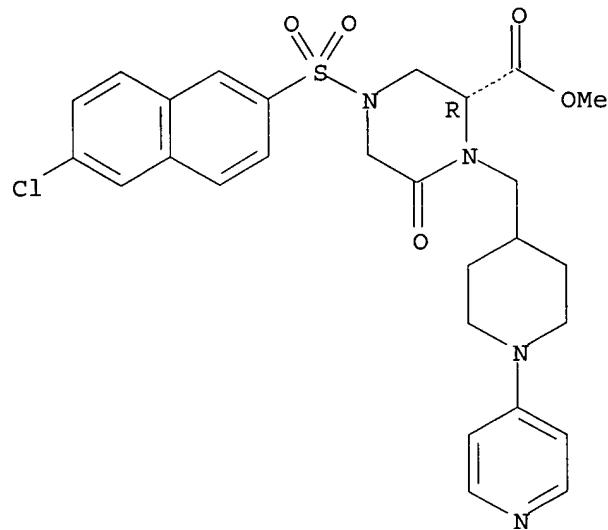
CN 2-Piperazinecarboxylic acid, 1-[[1-(3-chloro-4-pyridinyl)-4-piperidinyl]methyl]-6-oxo-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 314757-23-8 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

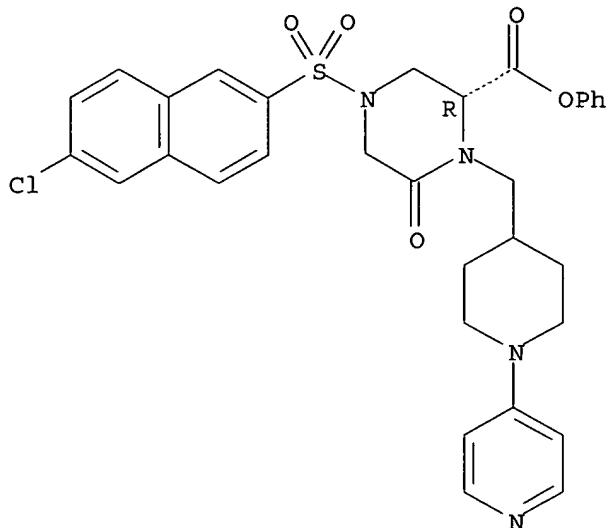
Absolute stereochemistry.



RN 314757-24-9 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, phenyl ester, (2R)- (9CI) (CA INDEX NAME)

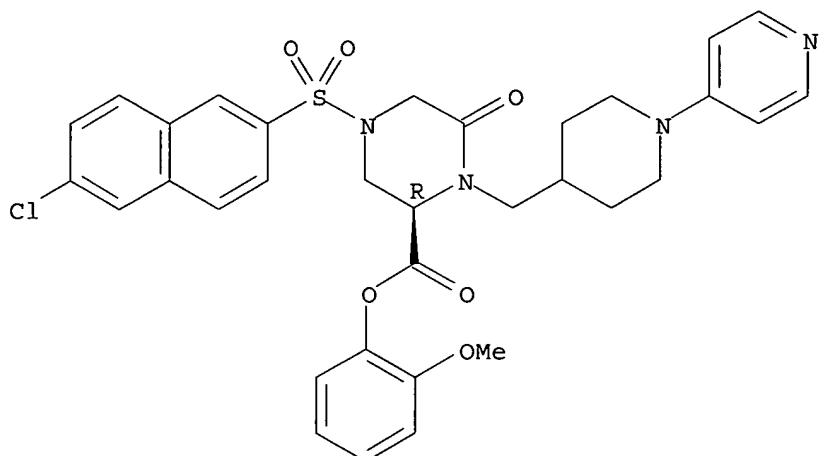
Absolute stereochemistry.



RN 314757-25-0 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, 2-methoxyphenyl ester, (2R)- (9CI) (CA INDEX NAME)

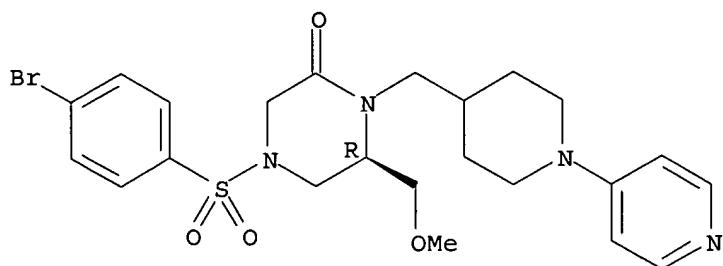
Absolute stereochemistry.



RN 314757-26-1 HCAPLUS

CN Piperazinone, 4-[(4-bromophenyl)sulfonyl]-6-(methoxymethyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (6R)- (9CI) (CA INDEX NAME)

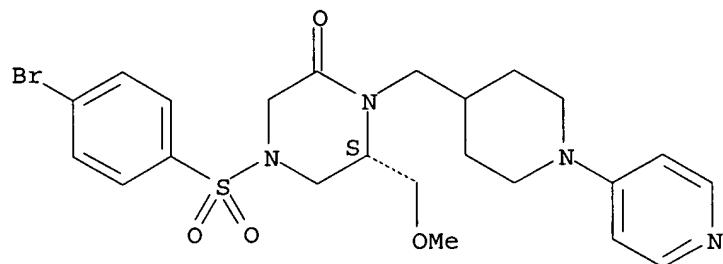
Absolute stereochemistry.



RN 314757-27-2 HCPLUS

CN Piperazinone, 4-[(4-bromophenyl)sulfonyl]-6-(methoxymethyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (6S)- (9CI) (CA INDEX NAME)

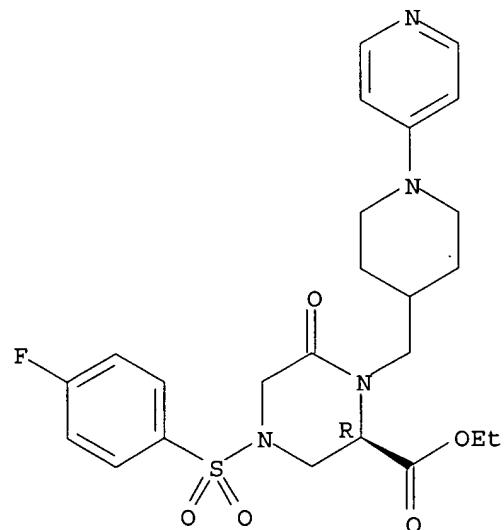
Absolute stereochemistry.



RN 314757-28-3 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-fluorophenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

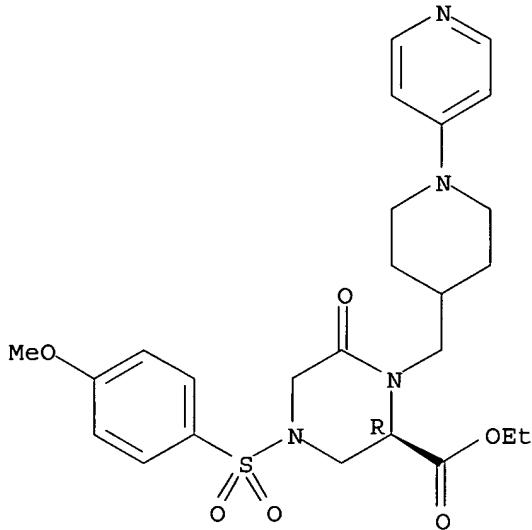
Absolute stereochemistry.



RN 314757-29-4 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-methoxyphenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

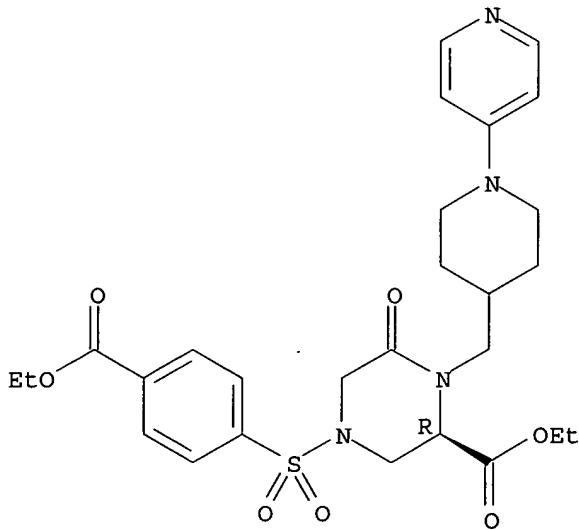
Absolute stereochemistry.



RN 314757-30-7 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[[4-(ethoxycarbonyl)phenyl]sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

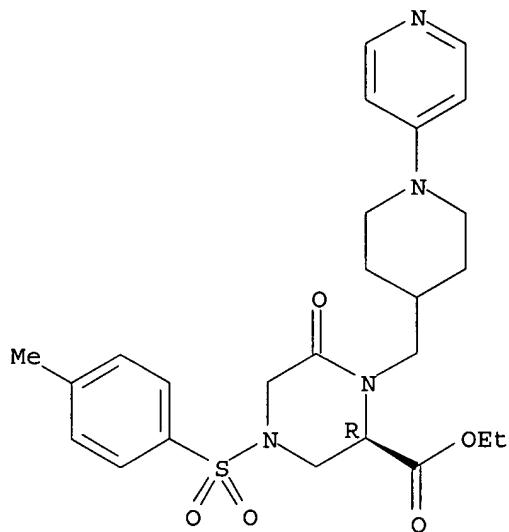
Absolute stereochemistry.



RN 314757-31-8 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(4-methylphenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

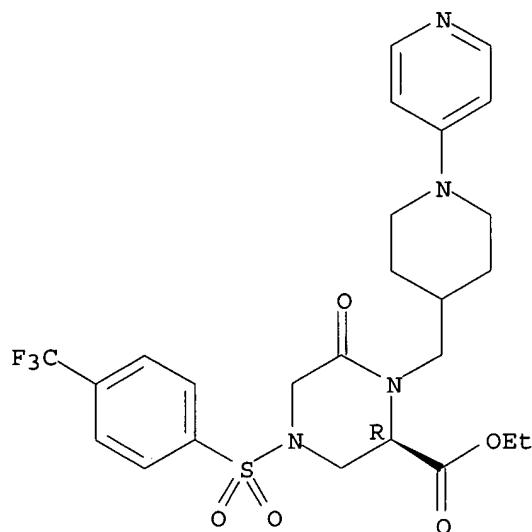
Absolute stereochemistry.



RN 314757-32-9 HCAPLUS

CN 2-Piperazinecarboxylic acid, 6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-4-[[4-(trifluoromethyl)phenyl]sulfonyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

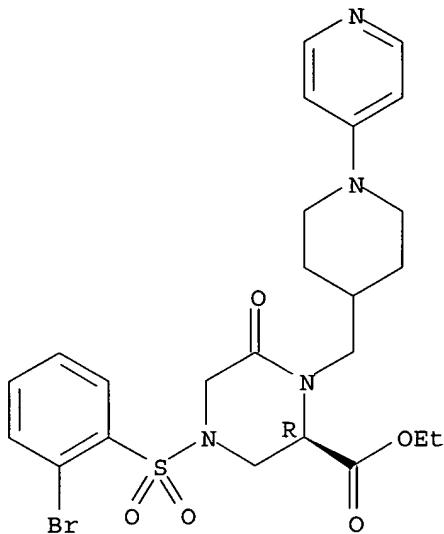
Absolute stereochemistry.



RN 314757-33-0 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(2-bromophenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

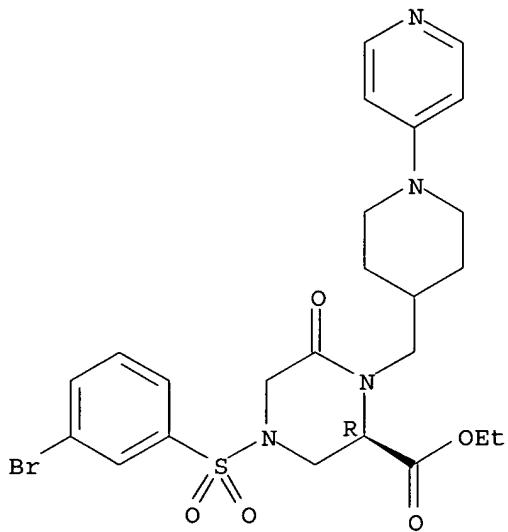
Absolute stereochemistry.



RN 314757-34-1 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(3-bromophenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



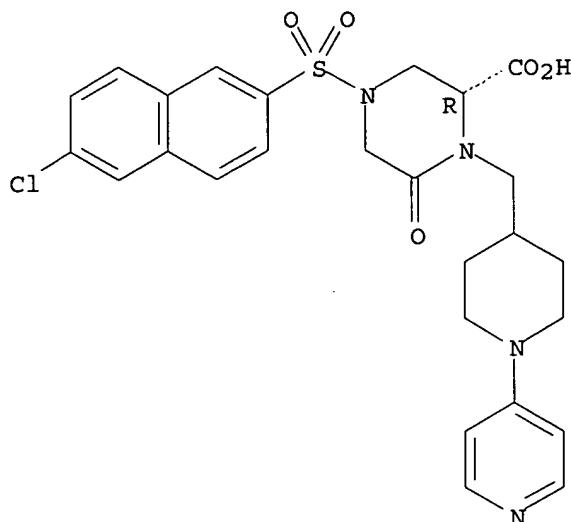
IT 229646-76-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of piperazine derivs. as cholesterol biosynthesis inhibitors)

RN 229646-76-8 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:511143 HCPLUS  
 DOCUMENT NUMBER: 131:170361  
 TITLE: Preparation of sulfonamides as inhibitors of activated blood coagulation factor X  
 INVENTOR(S): Tawada, Hiroyuki; Itoh, Fumio; Banno, Hiroshi;  
 Terashita, Zenichi  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 187 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940075	A1	19990812	WO 1999-JP470	19990204
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2317017	AA	19990812	CA 1999-2317017	19990204
AU 9922988	A1	19990823	AU 1999-22988	19990204
JP 2000204081	A2	20000725	JP 1999-27053	19990204
EP 1054005	A1	20001122	EP 1999-902829	19990204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6403595	B1	20020611	US 2000-601660	20000803
US 2002193382	A1	20021219	US 2002-128809	20020424
US 6680312	B2	20040120		
PRIORITY APPLN. INFO.:			JP 1998-24833	A 19980205

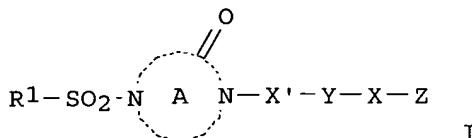
*Inference*

JP 1998-317205	A 19981109
WO 1999-JP470	W 19990204
US 2000-601660	A3 20000803

OTHER SOURCE(S) :

MARPAT 131:170361

GI



**AB** The title compds. I [ R1 represents a hydrocarbyl or heterocyclic group each optionally substituted; the ring A represents a divalent nitrogen-containing heterocycle group optionally further substituted; X' represents optionally substituted alkylene; Y represents an optionally substituted divalent cyclic group; X represents a bond or optionally substituted alkylene; and Z represents optionally substituted amino, optionally substituted imidoyl, or an optionally substituted nitrogen-containing heterocyclic group] are prepared. Formulations containing a compound of this invention are given. In a test for inhibiting activity of title compds. against activated blood coagulation factor X, 1-(4-amidinobenzyl)-4-(6-chloronaphthalene-2-sulfonyl)-2-piperazinone hydrochloride showed IC<sub>50</sub> of 0.05 μM.

**IT**

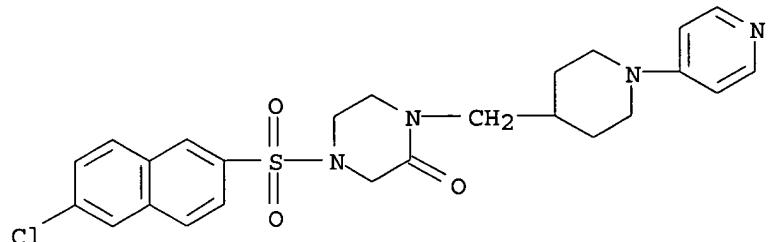
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 239072-69-6P 239074-62-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as inhibitors of activated blood coagulation factor X)

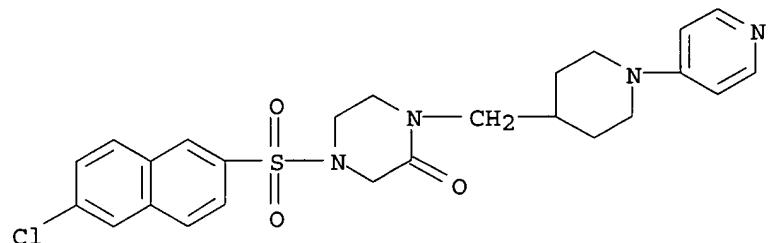
RN 229646-37-1 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239071-44-4 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

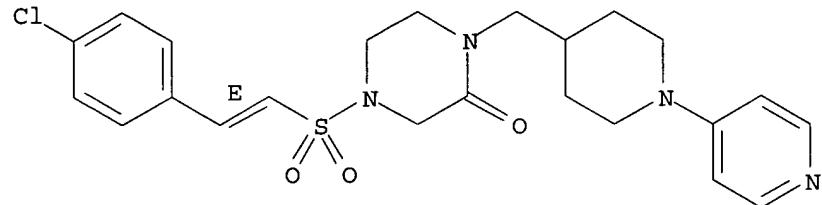


● HCl

RN 239071-46-6 HCPLUS

CN Piperazinone, 4-[[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

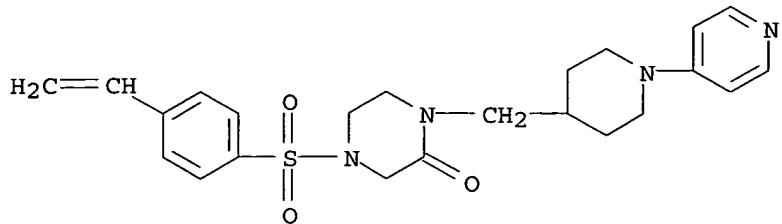


● HCl

RN 239071-47-7 HCPLUS

CN Piperazinone, 4-[(4-ethenylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-

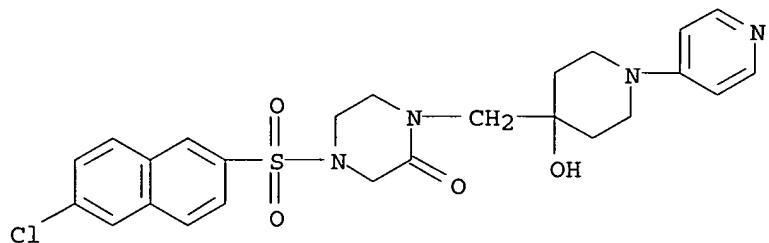
piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239071-48-8 HCPLUS

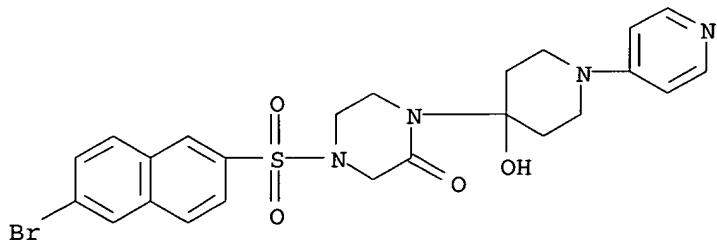
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

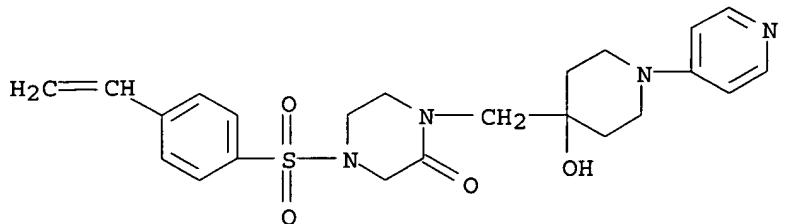
RN 239071-49-9 HCPLUS

CN Piperazinone, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



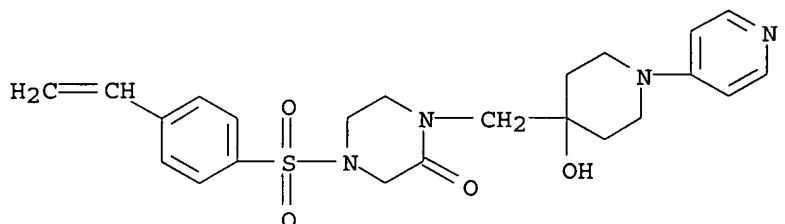
RN 239071-50-2 HCPLUS

CN Piperazinone, 4-[(4-ethenylphenyl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239071-51-3 HCPLUS

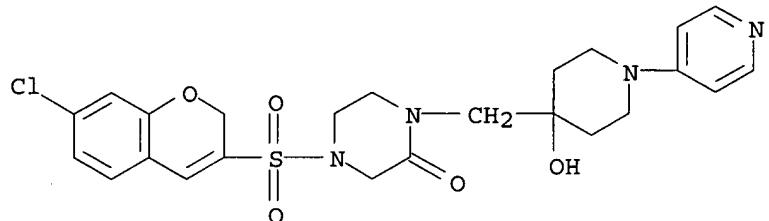
CN Piperazinone, 4-[(4-ethenylphenyl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

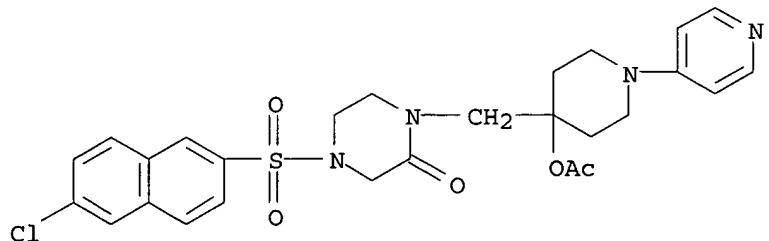
RN 239071-52-4 HCPLUS

CN Piperazinone, 4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



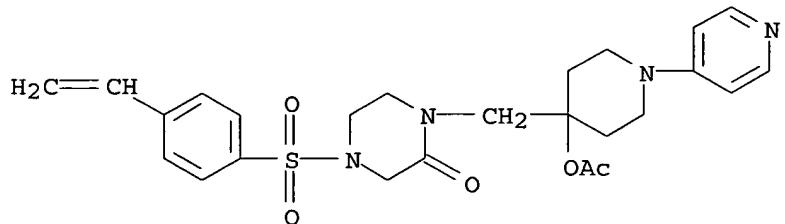
RN 239071-53-5 HCPLUS

CN Piperazinone, 1-[[4-(acetoxy)-1-(4-pyridinyl)-4-piperidinyl]methyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)



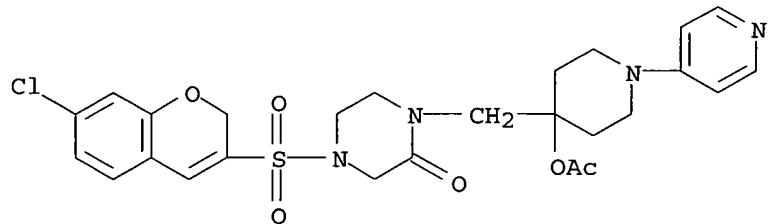
RN 239071-54-6 HCAPLUS

CN Piperazinone, 1-[[4-(acetyloxy)-1-(4-pyridinyl)-4-piperidinyl]methyl]-4-[(4-ethenylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



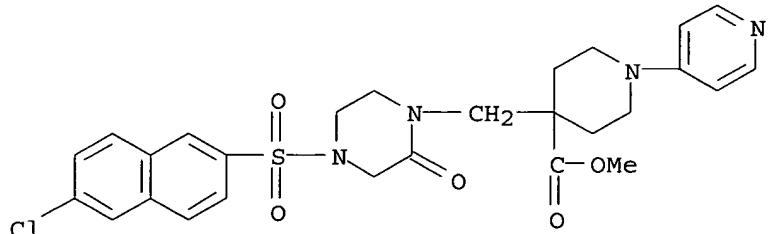
RN 239071-55-7 HCAPLUS

CN Piperazinone, 1-[[4-(acetyloxy)-1-(4-pyridinyl)-4-piperidinyl]methyl]-4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 239071-62-6 HCAPLUS

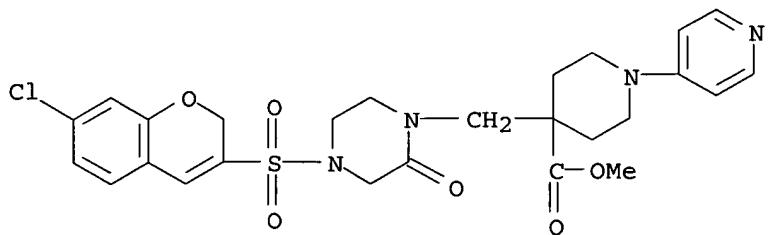
CN 4-Piperidinecarboxylic acid, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



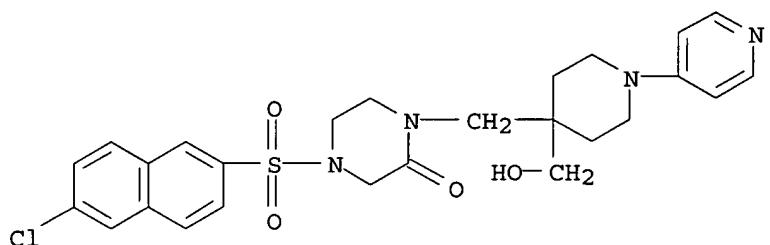
● HCl

RN 239071-63-7 HCAPLUS

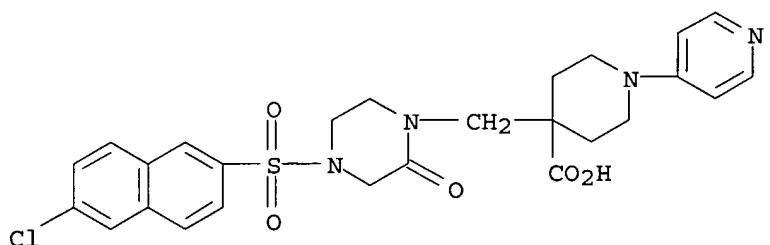
CN 4-Piperidinecarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



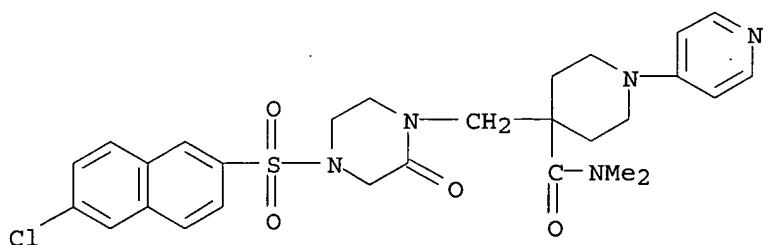
RN 239071-64-8 HCAPLUS  
 CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[(4-hydroxymethyl)-1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239071-65-9 HCAPLUS  
 CN 4-Piperidinecarboxylic acid, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)- (9CI) (CA INDEX NAME)

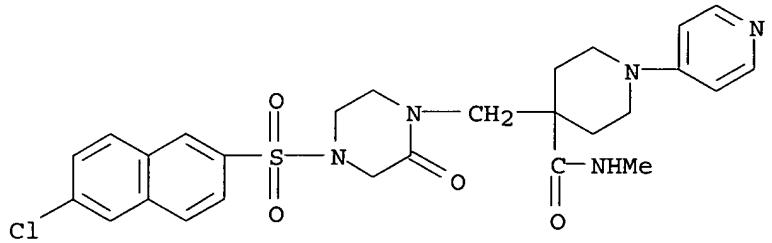


RN 239071-66-0 HCAPLUS  
 CN 4-Piperidinecarboxamide, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-N,N-dimethyl-1-(4-pyridinyl)- (9CI) (CA INDEX NAME)



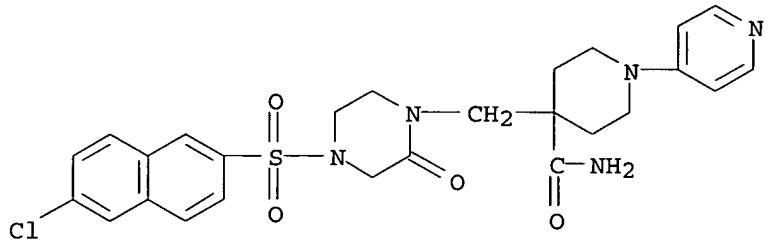
RN 239071-67-1 HCAPLUS

CN 4-Piperidinecarboxamide, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-N-methyl-1-(4-pyridinyl)- (9CI) (CA INDEX NAME)



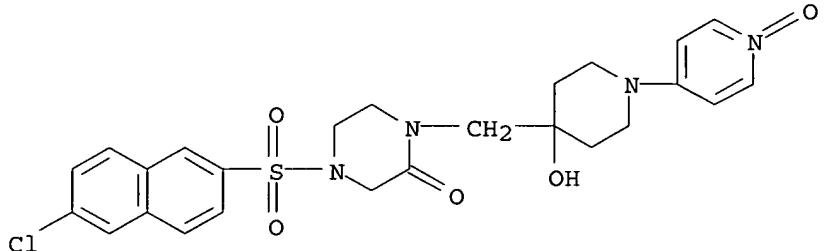
RN 239071-68-2 HCAPLUS

CN 4-Piperidinecarboxamide, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)- (9CI) (CA INDEX NAME)



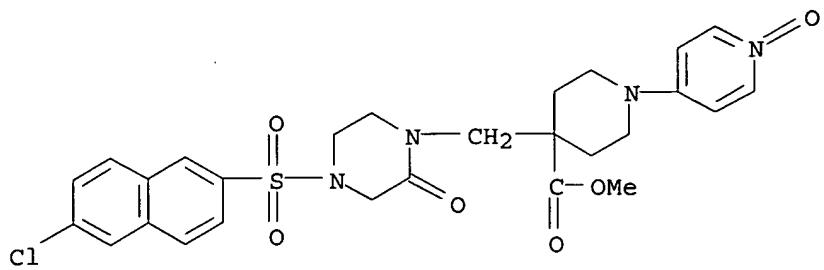
RN 239071-69-3 HCAPLUS

CN Piperazineone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[4-hydroxy-1-(1-oxido-4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



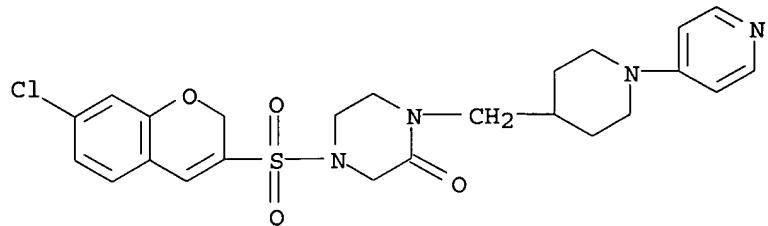
RN 239071-70-6 HCAPLUS

CN 4-Piperidinecarboxylic acid, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(1-oxido-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 239071-79-5 HCPLUS

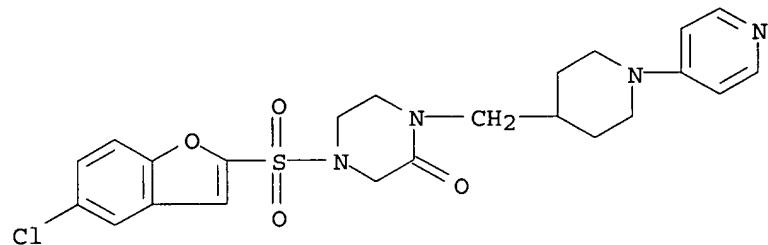
CN Piperazinone, 4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239071-81-9 HCPLUS

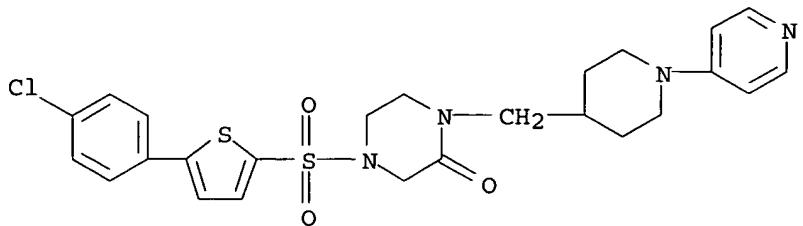
CN Piperazinone, 4-[(5-chloro-2-benzofuranyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

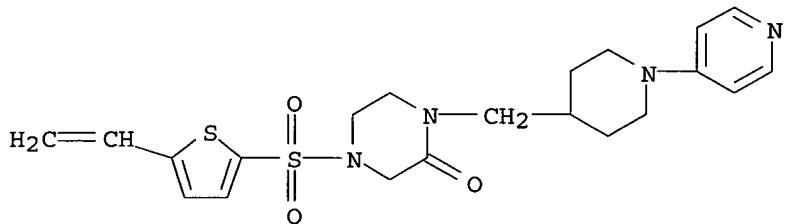
RN 239071-82-0 HCPLUS

CN Piperazinone, 4-[[5-(4-chlorophenyl)-2-thienyl]sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239071-83-1 HCAPLUS

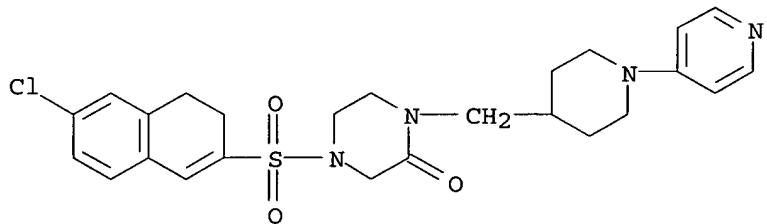
CN Piperazinone, 4-[(5-ethenyl-2-thienyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

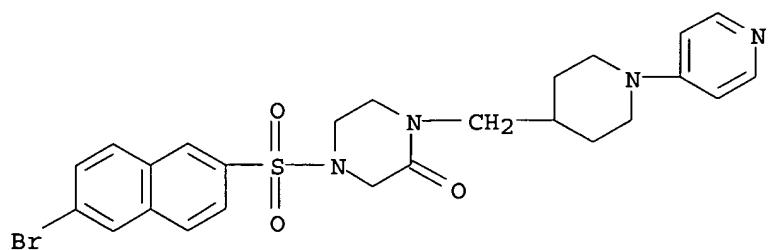
RN 239071-85-3 HCAPLUS

CN Piperazinone, 4-[(6-chloro-3,4-dihydro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239071-86-4 HCAPLUS

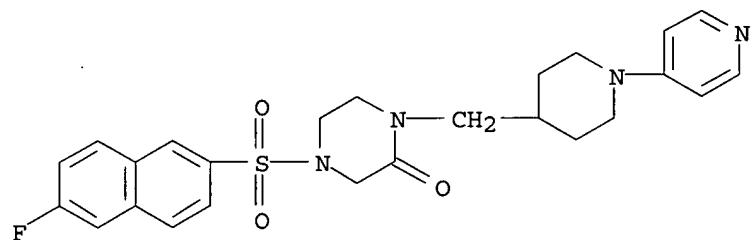
CN Piperazinone, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239071-87-5 HCAPLUS

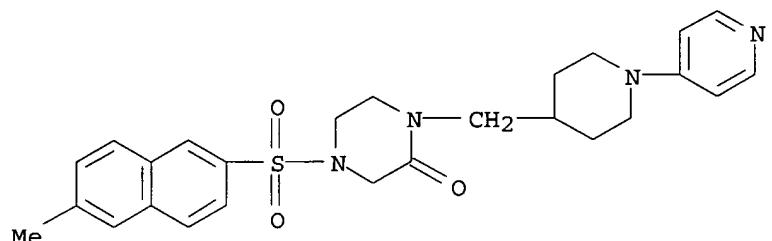
CN Piperazinone, 4-[(6-fluoro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239071-88-6 HCAPLUS

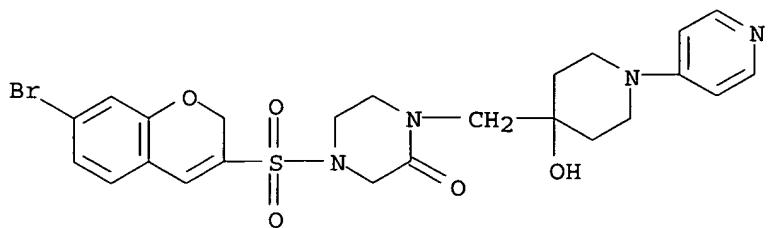
CN Piperazinone, 4-[(6-methyl-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239071-92-2 HCAPLUS

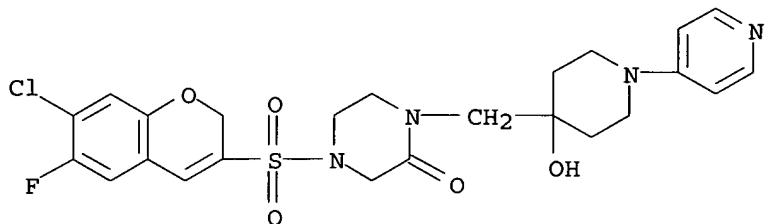
CN Piperazinone, 4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239071-93-3 HCPLUS

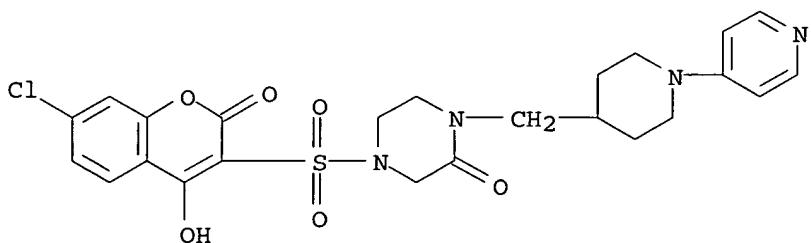
CN Piperazinone, 4-[(7-chloro-6-fluoro-2H-1-benzopyran-3-yl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

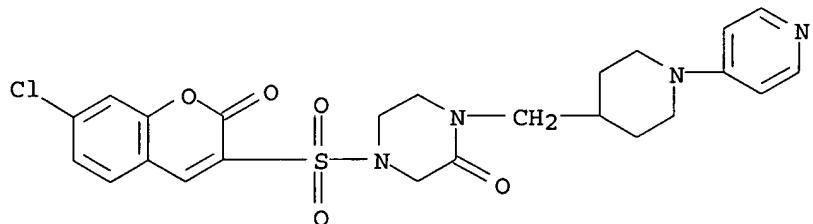
RN 239071-94-4 HCPLUS

CN Piperazinone, 4-[(7-chloro-4-hydroxy-2-oxo-2H-1-benzopyran-3-yl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



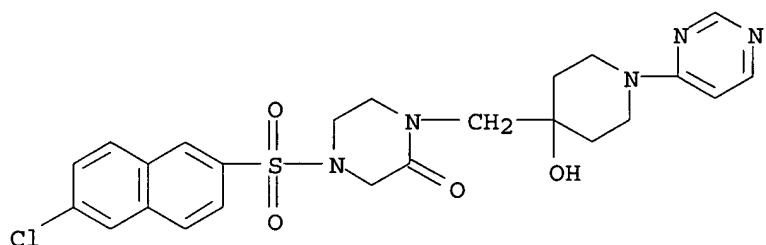
RN 239071-97-7 HCPLUS

CN Piperazinone, 4-[(7-chloro-2-oxo-2H-1-benzopyran-3-yl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



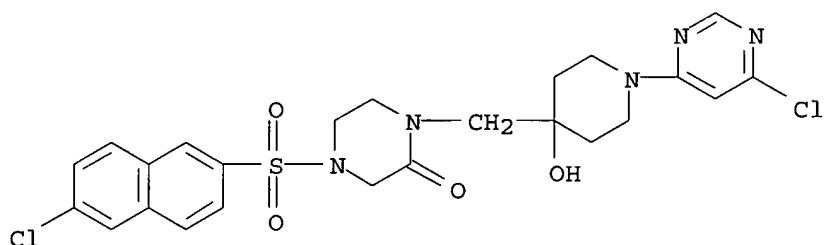
RN 239071-99-9 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[4-hydroxy-1-(4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



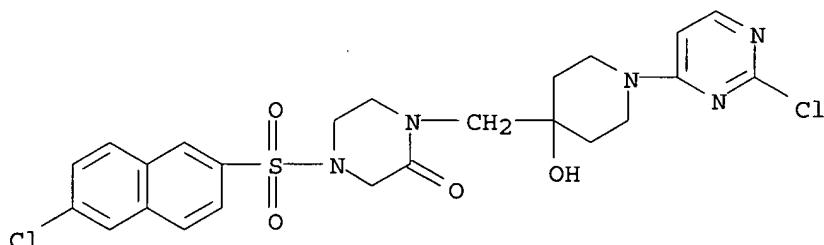
RN 239072-00-5 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(6-chloro-4-pyrimidinyl)-4-hydroxy-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



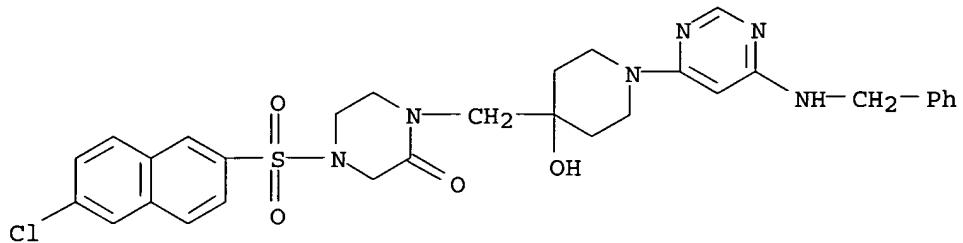
RN 239072-01-6 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(2-chloro-4-pyrimidinyl)-4-hydroxy-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



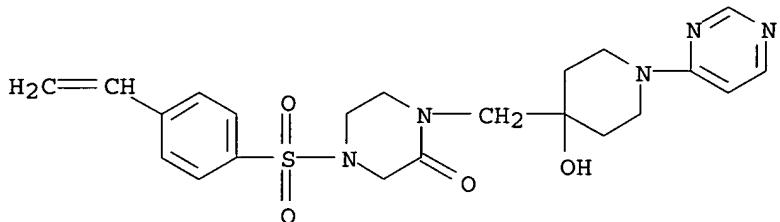
RN 239072-02-7 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[4-hydroxy-1-[(phenylmethyl)amino]-4-pyrimidinyl]-4-piperidinyl]methyl]-(9CI) (CA INDEX NAME)



RN 239072-03-8 HCAPLUS

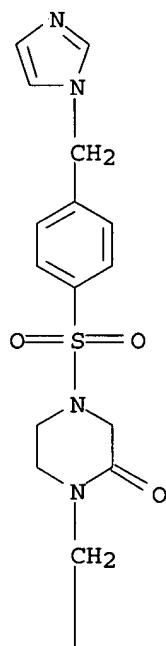
CN Piperazinone, 4-[(4-ethenylphenyl)sulfonyl]-1-[[4-hydroxy-1-(4-pyrimidinyl)-4-piperidinyl]methyl]-(9CI) (CA INDEX NAME)



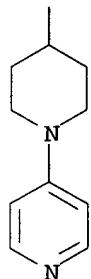
RN 239072-05-0 HCAPLUS

CN Piperazinone, 4-[[4-(1H-imidazol-1-ylmethyl)phenyl]sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



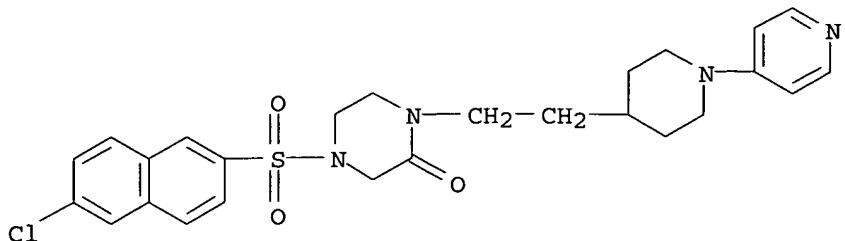
PAGE 2-A



●2 HCl

RN 239072-23-2 HCPLUS

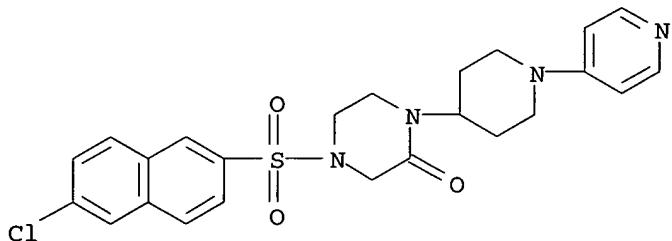
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[2-[1-(4-pyridinyl)-4-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

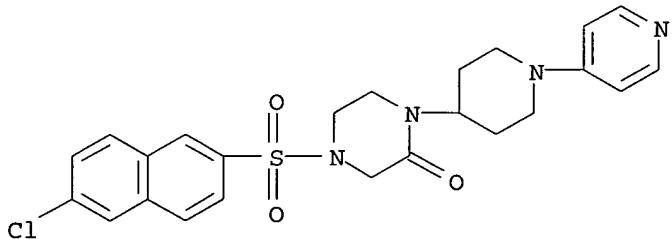
RN 239072-24-3 HCPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[1-(4-pyridinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 239072-25-4 HCPLUS

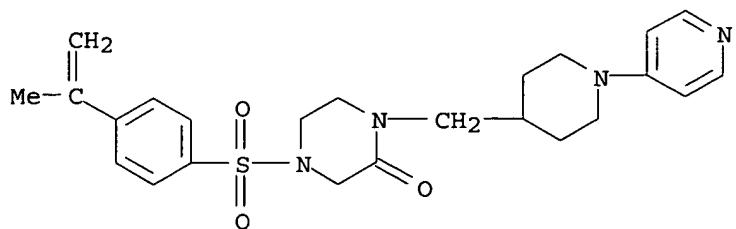
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[1-(4-pyridinyl)-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

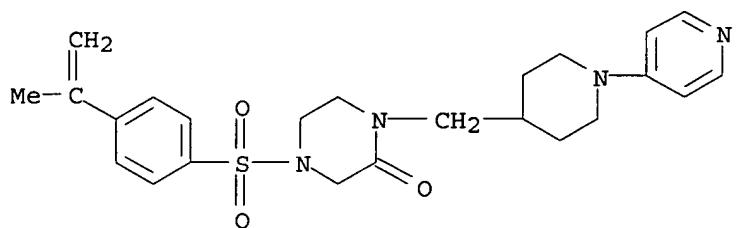
RN 239072-29-8 HCPLUS

CN Piperazinone, 4-[(4-(1-methylethenyl)phenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239072-30-1 HCAPLUS

CN Piperazinone, 4-[4-(1-methylethenyl)phenylsulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

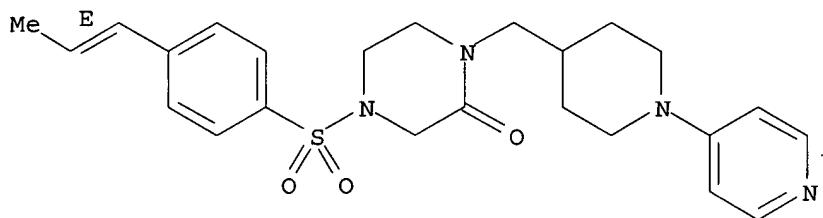


● HCl

RN 239072-31-2 HCAPLUS

CN Piperazinone, 4-[4-(1E)-1-propenylphenylsulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

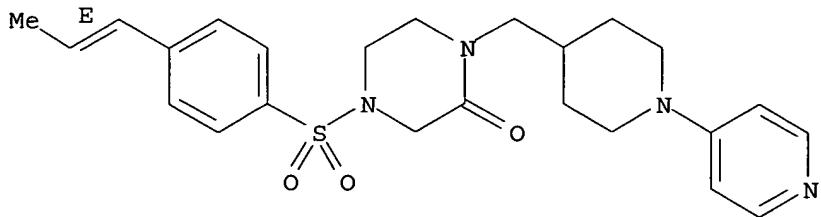
Double bond geometry as shown.



RN 239072-32-3 HCAPLUS

CN Piperazinone, 4-[4-(1E)-1-propenylphenylsulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

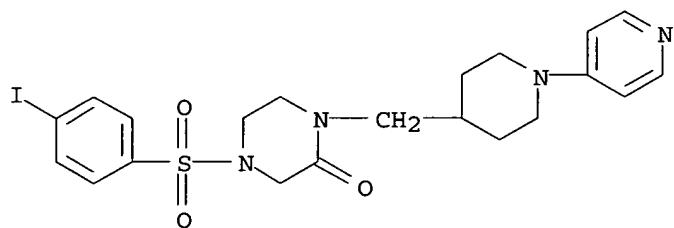
Double bond geometry as shown.



● HCl

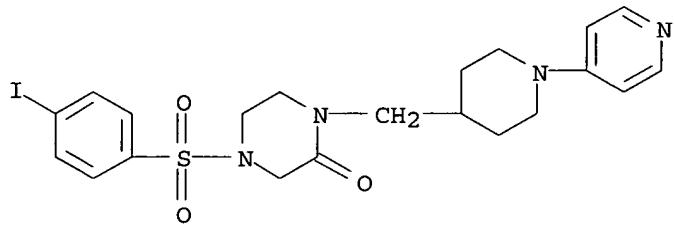
RN 239072-33-4 HCPLUS

CN Piperazinone, 4-[(4-iodophenyl)sulfonyl]-1-[1-(4-pyridinyl)-4-piperidinyl]methyl- (9CI) (CA INDEX NAME)



RN 239072-34-5 HCPLUS

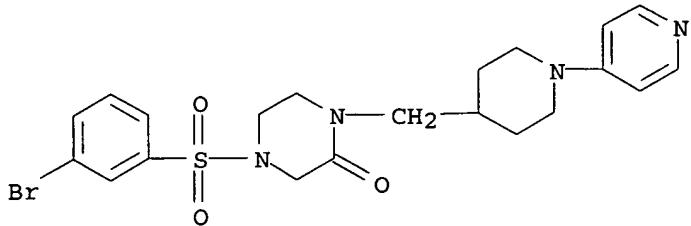
CN Piperazinone, 4-[(4-iodophenyl)sulfonyl]-1-[1-(4-pyridinyl)-4-piperidinyl]methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

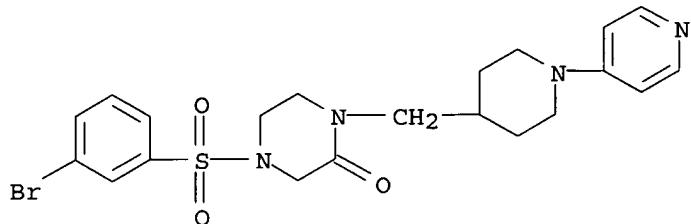
RN 239072-35-6 HCPLUS

CN Piperazinone, 4-[(3-bromophenyl)sulfonyl]-1-[1-(4-pyridinyl)-4-piperidinyl]methyl- (9CI) (CA INDEX NAME)



RN 239072-36-7 HCPLUS

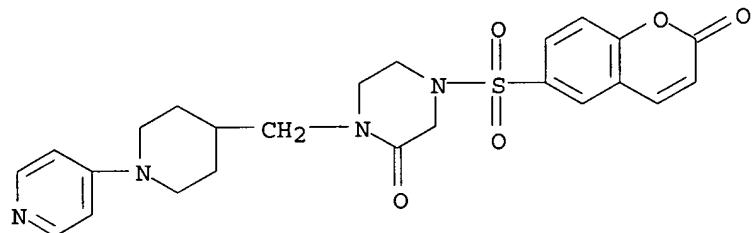
CN Piperazinone, 4-[(3-bromophenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

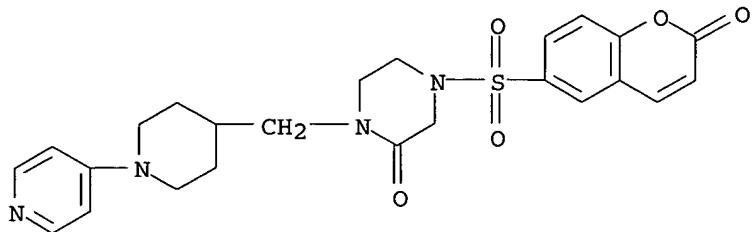
RN 239072-37-8 HCPLUS

CN Piperazinone, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239072-38-9 HCPLUS

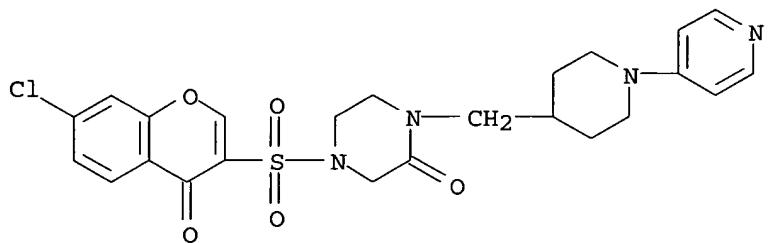
CN Piperazinone, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

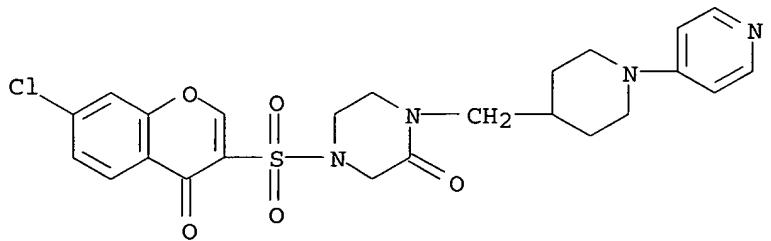
RN 239072-39-0 HCPLUS

CN Piperazinone, 4-[(7-chloro-4-oxo-4H-1-benzopyran-3-yl)sulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 239072-40-3 HCPLUS

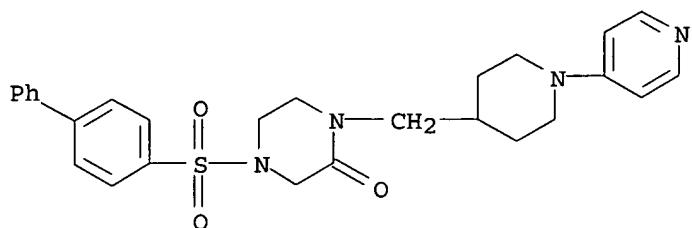
CN Piperazinone, 4-[(7-chloro-4-oxo-4H-1-benzopyran-3-yl)sulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

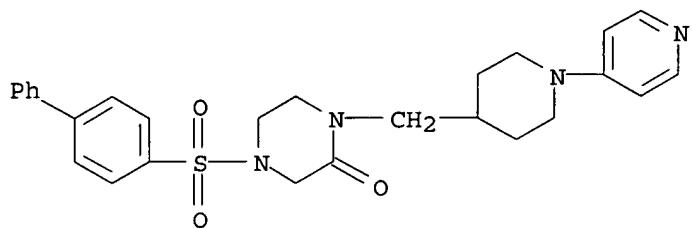
RN 239072-41-4 HCPLUS

CN Piperazinone, 4-[(1,1'-biphenyl)-4-ylsulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 239072-42-5 HCAPLUS

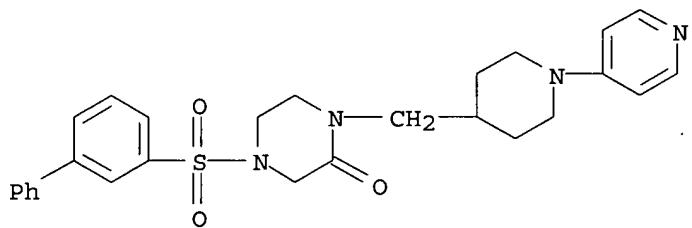
CN Piperazinone, 4-((1,1'-biphenyl)-4-ylsulfonyl)-1-((1-(4-pyridinyl)-4-piperidinyl)methyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239072-43-6 HCAPLUS

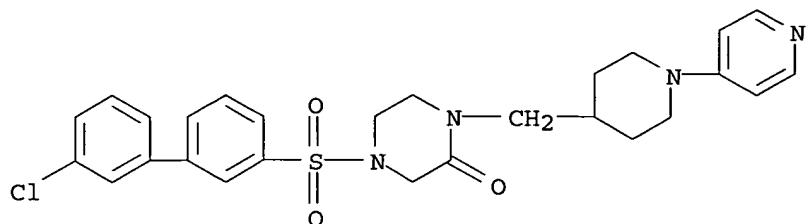
CN Piperazinone, 4-((1,1'-biphenyl)-3-ylsulfonyl)-1-((1-(4-pyridinyl)-4-piperidinyl)methyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239072-44-7 HCAPLUS

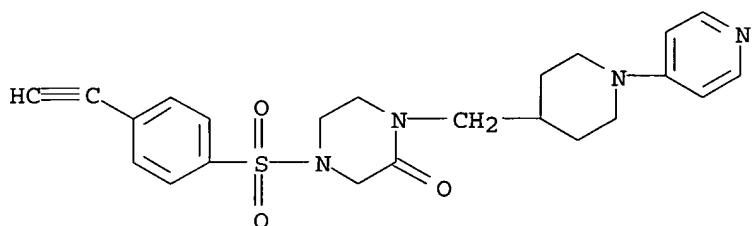
CN Piperazinone, 4-((3-chloro-1,1'-biphenyl)-3-ylsulfonyl)-1-((1-(4-pyridinyl)-4-piperidinyl)methyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

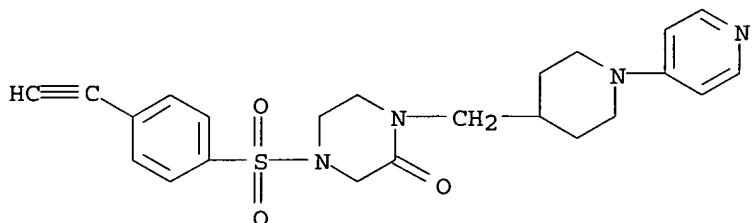
RN 239072-45-8 HCPLUS

CN Piperazinone, 4-[(4-ethynylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239072-46-9 HCPLUS

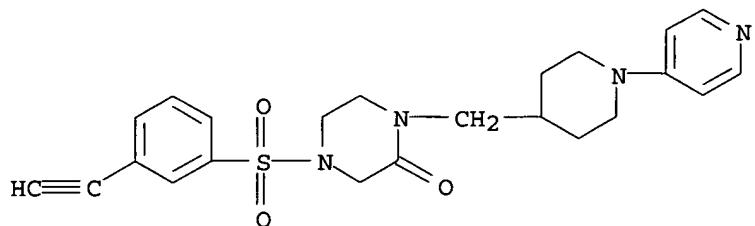
CN Piperazinone, 4-[(4-ethynylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

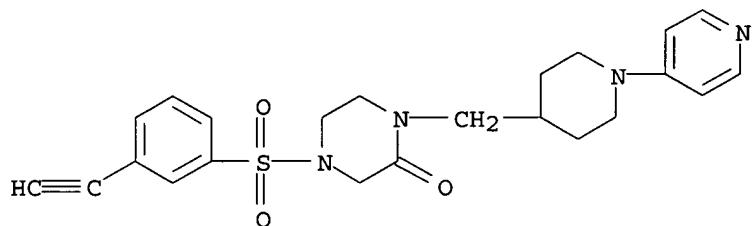
RN 239072-47-0 HCPLUS

CN Piperazinone, 4-[(3-ethynylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239072-48-1 HCAPLUS

CN Piperazinone, 4-[(3-ethynylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

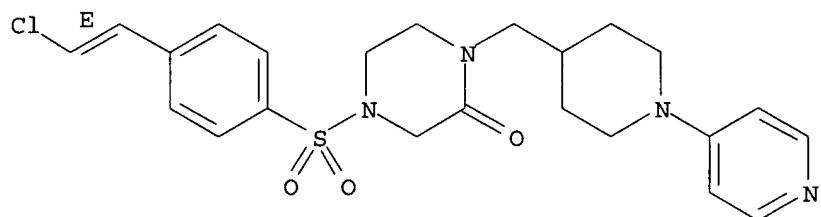


● HCl

RN 239072-49-2 HCAPLUS

CN Piperazinone, 4-[(4-[(1E)-2-chloroethenyl]phenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

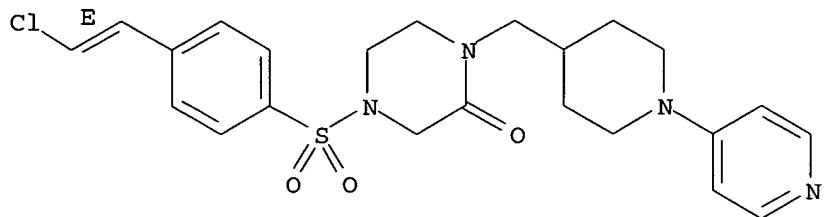
Double bond geometry as shown.



RN 239072-50-5 HCAPLUS

CN Piperazinone, 4-[(4-[(1E)-2-chloroethenyl]phenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

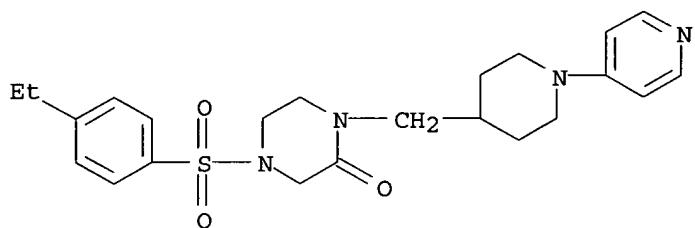
Double bond geometry as shown.



● HCl

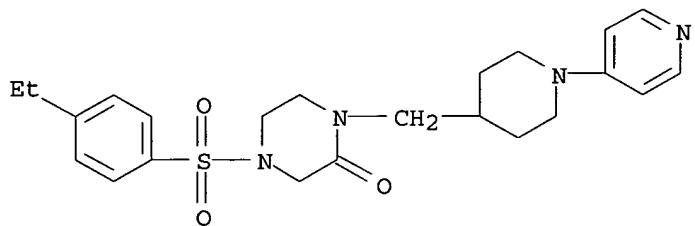
RN 239072-51-6 HCPLUS

CN Piperazinone, 4-[(4-ethylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239072-52-7 HCPLUS

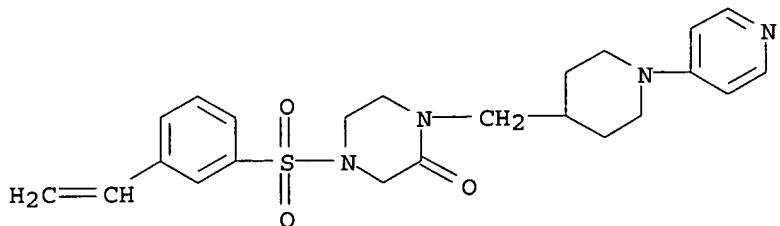
CN Piperazinone, 4-[(4-ethylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

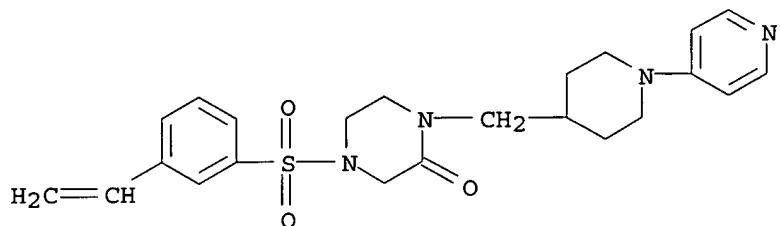
RN 239072-53-8 HCPLUS

CN Piperazinone, 4-[(3-ethenylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239072-54-9 HCAPLUS

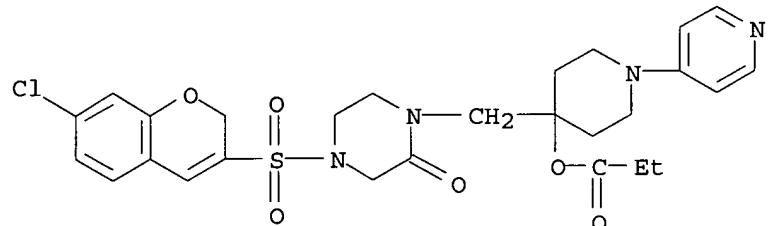
CN Piperazinone, 4-[(3-ethenylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

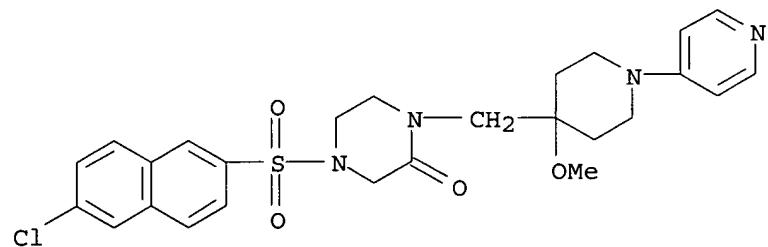
RN 239072-57-2 HCAPLUS

CN Piperazinone, 4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-1-[[4-(1-oxopropoxy)-1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



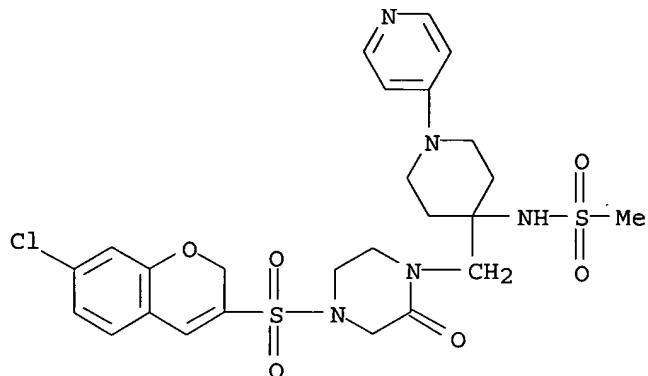
RN 239072-58-3 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[4-methoxy-1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



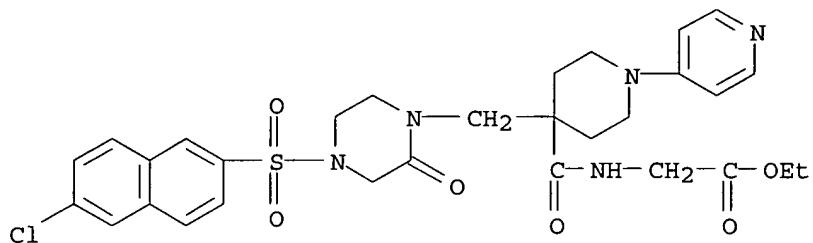
RN 239072-60-7 HCAPLUS

CN Methanesulfonamide, N-[4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



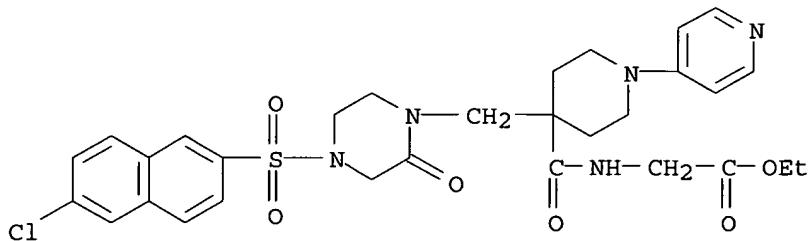
RN 239072-62-9 HCAPLUS

CN Glycine, N-[[4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 239072-63-0 HCAPLUS

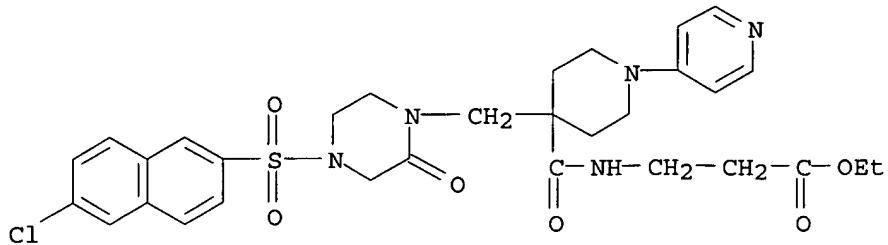
CN Glycine, N-[[4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

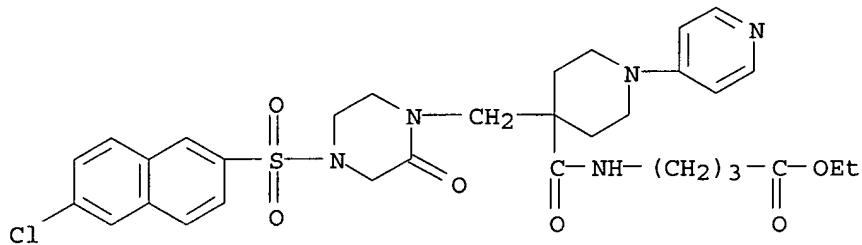
RN 239072-64-1 HCAPLUS

CN  $\beta$ -Alanine, N-[4-[(4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl)methyl]-1-(4-pyridinyl)-4-piperidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



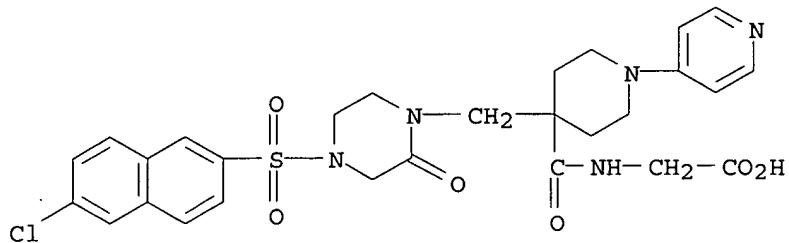
RN 239072-65-2 HCAPLUS

CN Butanoic acid, 4-[[[4-[(4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl)methyl]-1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



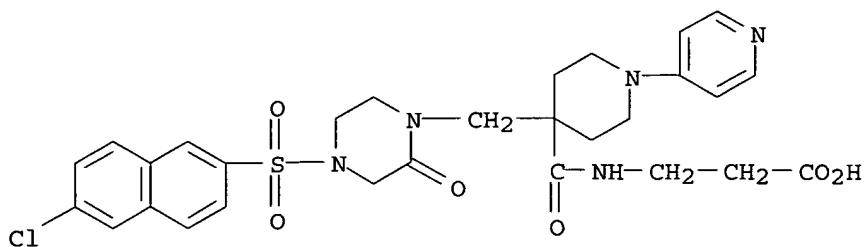
RN 239072-66-3 HCAPLUS

CN Glycine, N-[4-[(4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl)methyl]-1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

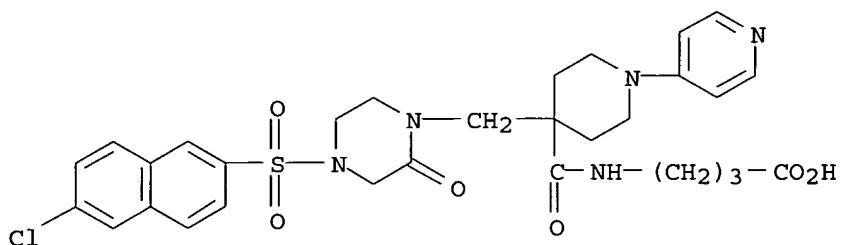


RN 239072-67-4 HCAPLUS

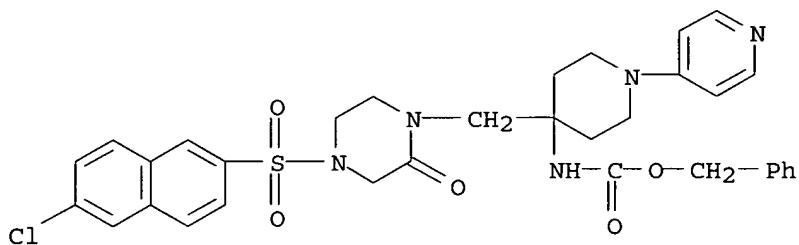
CN  $\beta$ -Alanine, N-[4-[(4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl)methyl]-1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 239072-68-5 HCAPLUS

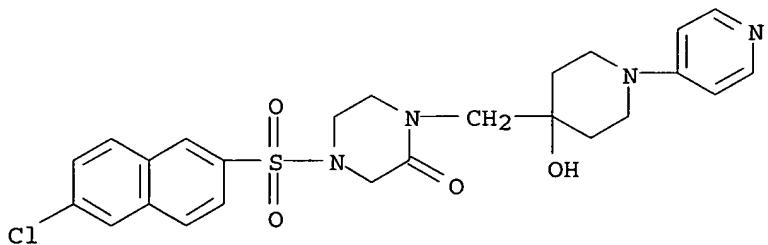
CN Butanoic acid, 4-[[4-[(4-chloro-2-naphthalenyl)sulfonyl]-1-(4-pyridinyl)-4-piperidinyl]carbonyl]amino]- (9CI)  
(CA INDEX NAME)

RN 239072-69-6 HCAPLUS

CN Carbamic acid, [4-[(4-chloro-2-naphthalenyl)sulfonyl]-1-(4-pyridinyl)-4-piperidinyl]-, phenylmethyl ester  
(9CI) (CA INDEX NAME)

RN 239074-62-5 HCAPLUS

CN Piperazinone, 4-[(4-chloro-2-naphthalenyl)sulfonyl]-1-[(4-hydroxy-1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



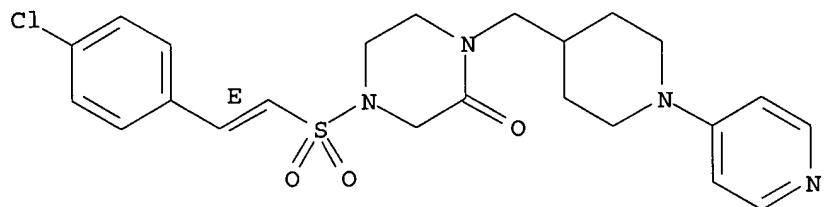
IT 229646-35-9P 239073-05-3P 239073-27-9P  
 239073-28-0P 239073-46-2P 239073-50-8P  
 239073-69-9P 239074-19-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of sulfonamides as inhibitors of activated blood coagulation factor X)

RN 229646-35-9 HCPLUS

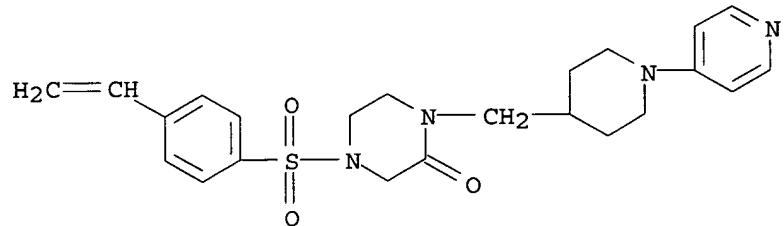
CN Piperazinone, 4-[[[1E]-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



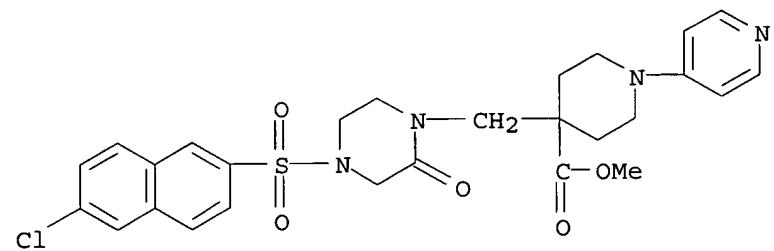
RN 239073-05-3 HCPLUS

CN Piperazinone, 4-[(4-ethenylphenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



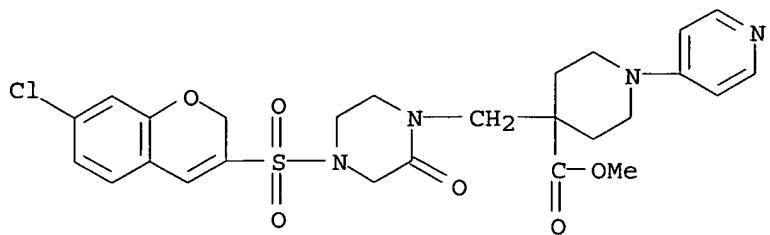
RN 239073-27-9 HCPLUS

CN 4-Piperidinecarboxylic acid, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 239073-28-0 HCPLUS

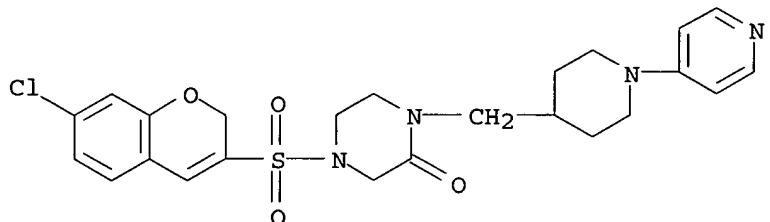
CN 4-Piperidinecarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

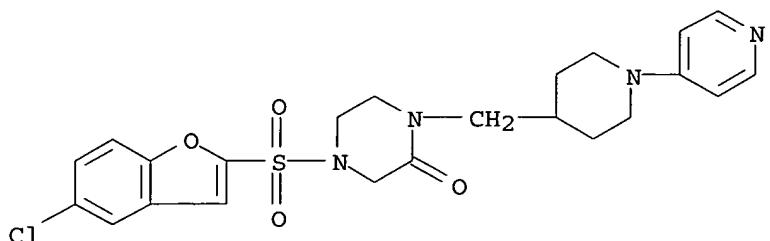
RN 239073-46-2 HCPLUS

CN Piperazinone, 4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239073-50-8 HCPLUS

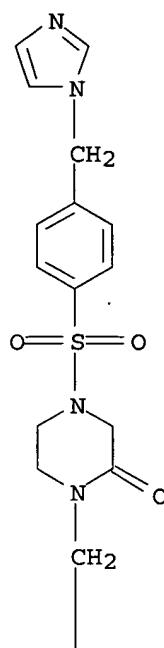
CN Piperazinone, 4-[(5-chloro-2-benzofuranyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



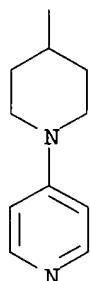
RN 239073-69-9 HCPLUS

CN Piperazinone, 4-[[4-(1H-imidazol-1-ylmethyl)phenyl]sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

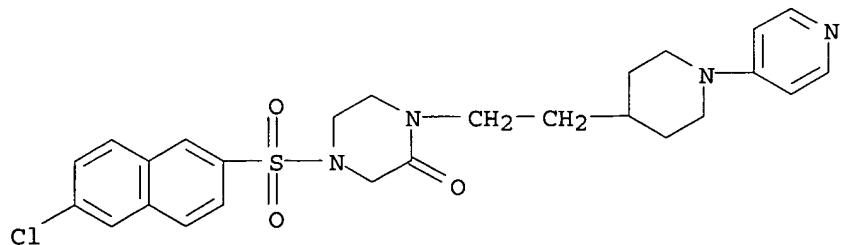


PAGE 2-A



RN 239074-19-2 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[2-[1-(4-pyridinyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:460402 HCAPLUS

DOCUMENT NUMBER: 131:87833

TITLE: Preparation of aromatic compounds having cyclic amino or salts thereof as FXa inhibitors

INVENTOR(S): Nishida, Hidemitsu; Hosaka, Yoshitaka; Miyazaki, Yutaka; Matsusue, Tomokazu; Mukaihira, Takafumi

PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 218 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

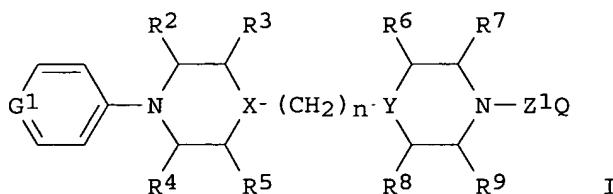
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933805	A1	19990708	WO 1998-JP6002	19981228
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318351	AA	19990708	CA 1998-2318351	19981228
AU 9916923	A1	19990719	AU 1999-16923	19981228
EP 1048652	A1	20001102	EP 1998-961642	19981228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			JP 1997-367538	A 19971226
			JP 1998-311491	A 19981030
			WO 1998-JP6002	W 19981228

OTHER SOURCE(S): MARPAT 131:87833

GI



AB Title Compds. [I; G1, X and Y represent each CH or N; Z1 represents -SO<sub>2</sub>CH:CH- or -SO<sub>2</sub>-; Q represents aryl or heteroaryl; and R2 to R9 represent each hydrogen or a substituent; n = 0-1] and salts thereof which specifically inhibit FXa, exert a potent anticoagulant effect and thus are useful as medicinal compns. are prepared. Title compound I (G1 = N; X = N; Y = CH; n = 0; R2 = H; R3 = H; R4 = H; R5 = H; R6 = H; R7 = H; R8 = H; R9 = H;

Z1 = (E)-SO<sub>2</sub>CH:CH; Q = 4-ClC<sub>6</sub>H<sub>4</sub>) was prepared in two steps.

IT 229646-14-4P 229646-15-5P 229646-16-6P  
 229646-17-7P 229646-18-8P 229646-19-9P  
 229646-20-2P 229646-21-3P 229646-22-4P  
 229646-23-5P 229646-24-6P 229646-25-7P  
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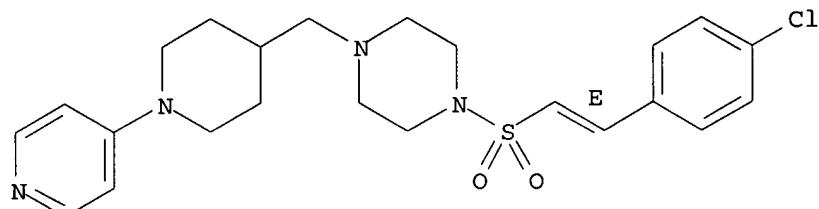
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of aromatic compds. having cyclic amino or salts thereof as FXa inhibitors)

RN 229646-14-4 HCPLUS

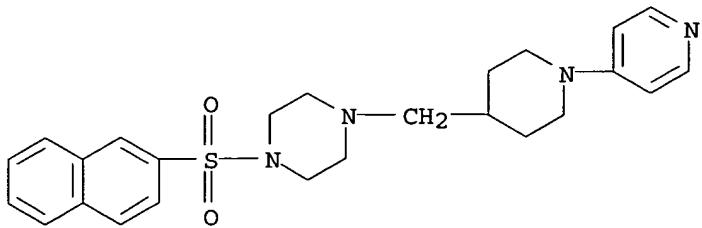
CN Piperazine, 1-[[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



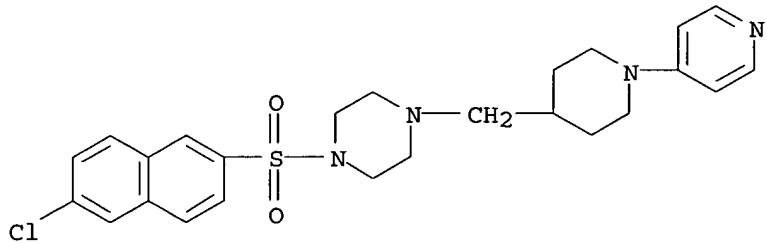
RN 229646-15-5 HCPLUS

CN Piperazine, 1-(2-naphthalenylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



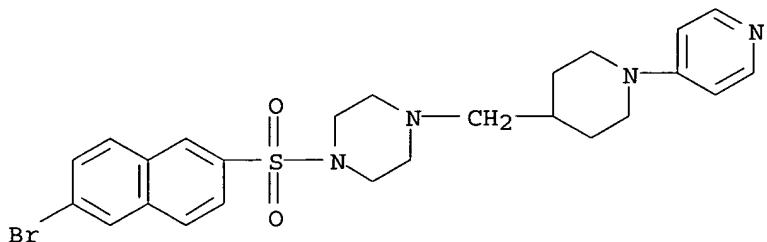
RN 229646-16-6 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



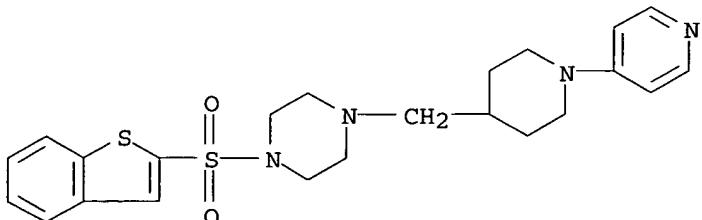
RN 229646-17-7 HCAPLUS

CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 229646-18-8 HCAPLUS

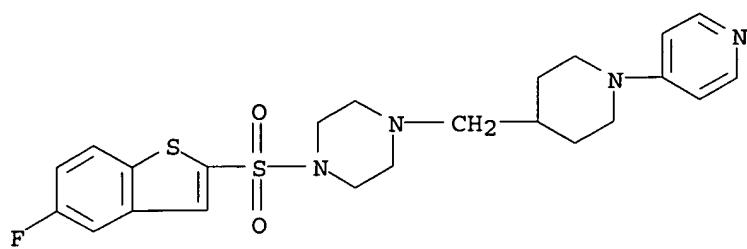
CN Piperazine, 1-(benzo[b]thien-2-ylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 229646-19-9 HCAPLUS

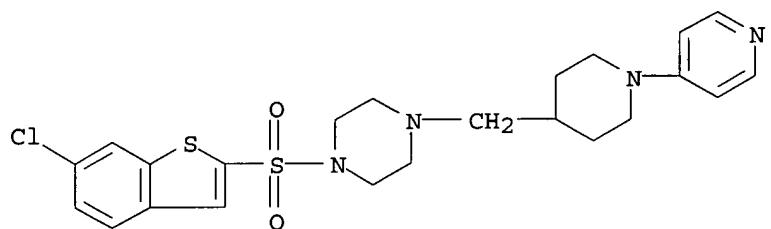
CN Piperazine, 1-[(5-fluorobenzo[b]thien-2-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-

piperidinyl]methyl]- (9CI) (CA INDEX NAME)



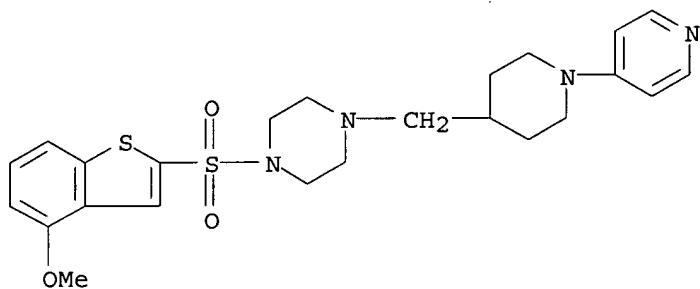
RN 229646-20-2 HCPLUS

CN Piperazine, 1-[(6-chlorobenzo[b]thien-2-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



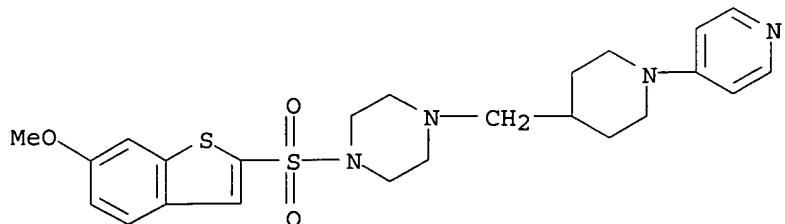
RN 229646-21-3 HCPLUS

CN Piperazine, 1-[(4-methoxybenzo[b]thien-2-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



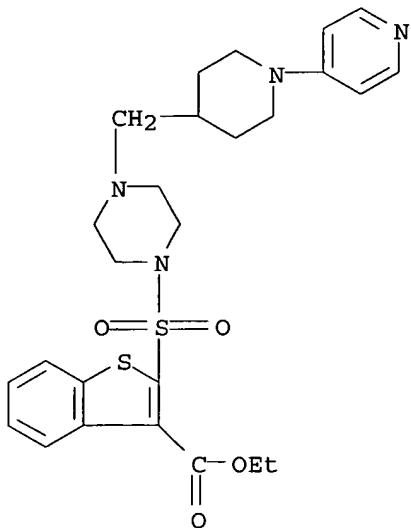
RN 229646-22-4 HCPLUS

CN Piperazine, 1-[(6-methoxybenzo[b]thien-2-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



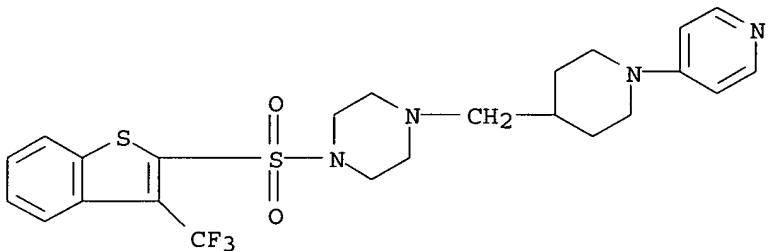
RN 229646-23-5 HCAPLUS

CN Benzo[b]thiophene-3-carboxylic acid, 2-[[4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-1-piperazinyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



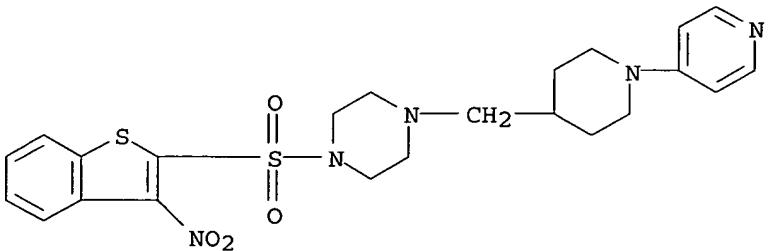
RN 229646-24-6 HCAPLUS

CN Piperazine, 1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-4-[[3-(trifluoromethyl)benzo[b]thien-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)



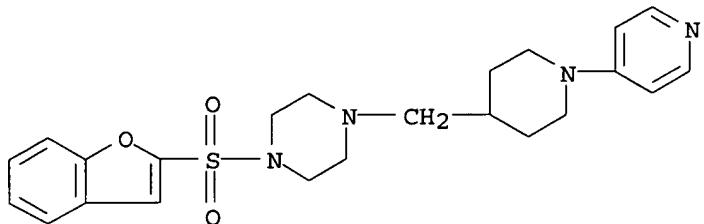
RN 229646-25-7 HCAPLUS

CN Piperazine, 1-[(3-nitrobenzo[b]thien-2-yl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



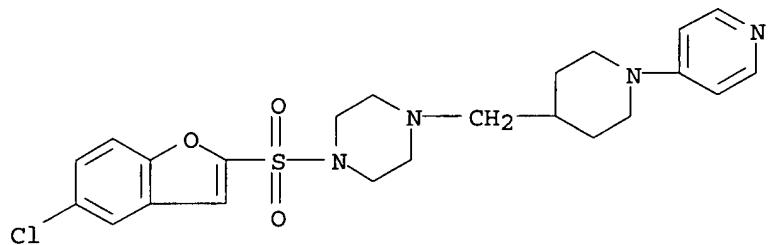
RN 229646-26-8 HCAPLUS

CN Piperazine, 1-[(2-benzofuranyl sulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



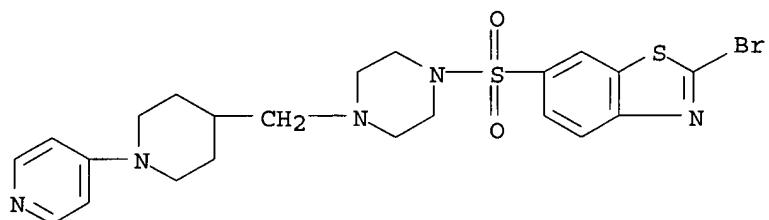
RN 229646-27-9 HCAPLUS

CN Piperazine, 1-[(5-chloro-2-benzofuranyl sulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



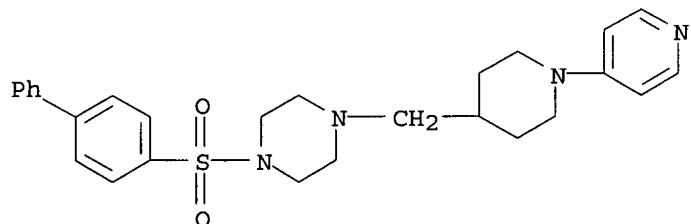
RN 229646-28-0 HCAPLUS

CN Piperazine, 1-[(2-bromo-6-benzothiazolyl sulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



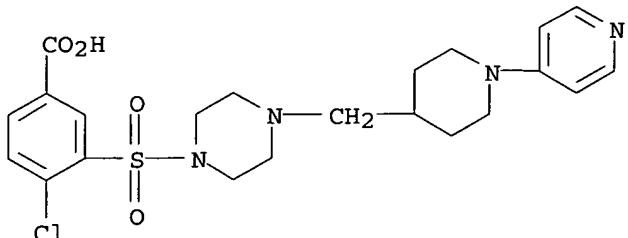
RN 229646-29-1 HCAPLUS

CN Piperazine, 1-[(1,1'-biphenyl)-4-ylsulfonyl)-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



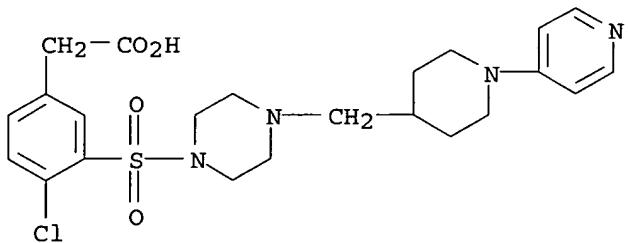
RN 229646-30-4 HCAPLUS

CN Benzoic acid, 4-chloro-3-[[4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)



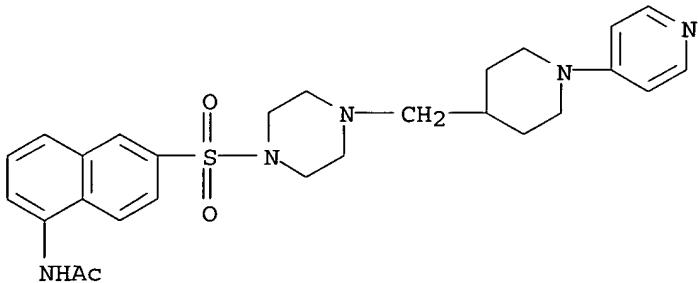
RN 229646-32-6 HCAPLUS

CN Benzeneacetic acid, 4-chloro-3-[[4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)



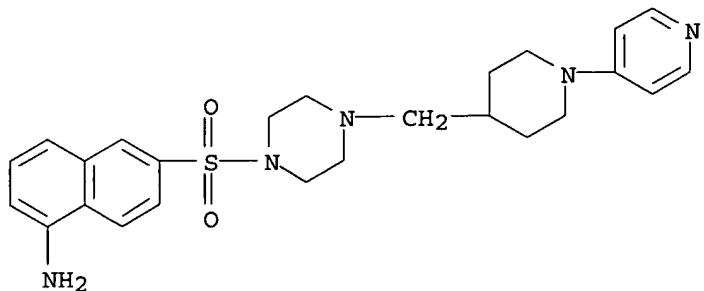
RN 229646-33-7 HCAPLUS

CN Acetamide, N-[6-[[4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-1-piperazinyl]sulfonyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 229646-34-8 HCAPLUS

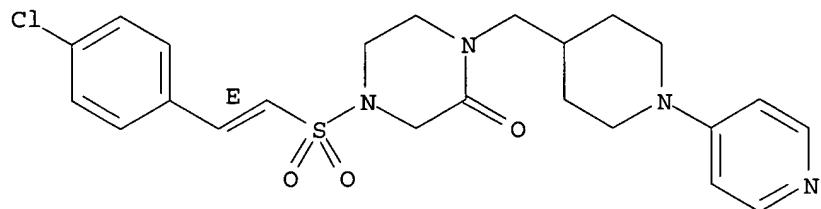
CN Piperazine, 1-[(5-amino-2-naphthalenyl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 229646-35-9 HCAPLUS

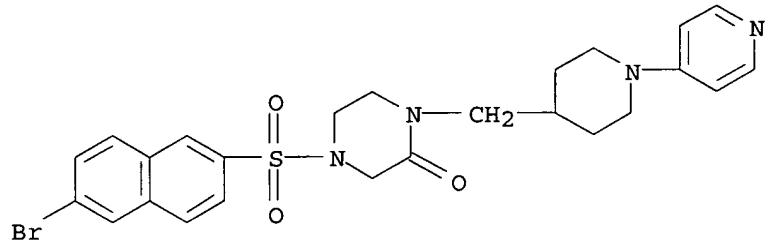
CN Piperazinone, 4-[[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



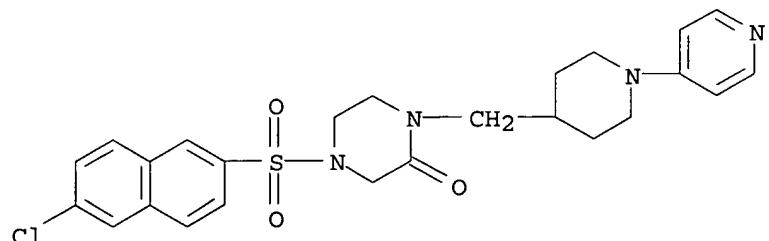
RN 229646-36-0 HCAPLUS

CN Piperazinone, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



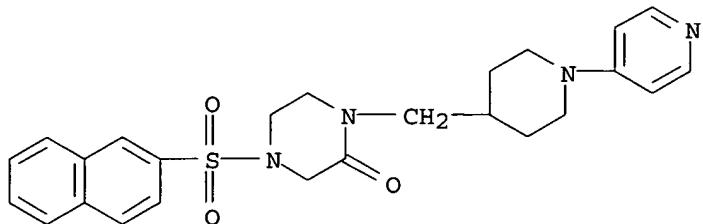
RN 229646-37-1 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



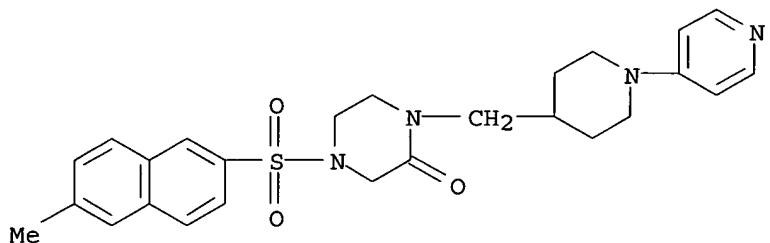
RN 229646-38-2 HCAPLUS

CN Piperazinone, 4-[(2-naphthalenylsulfonyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



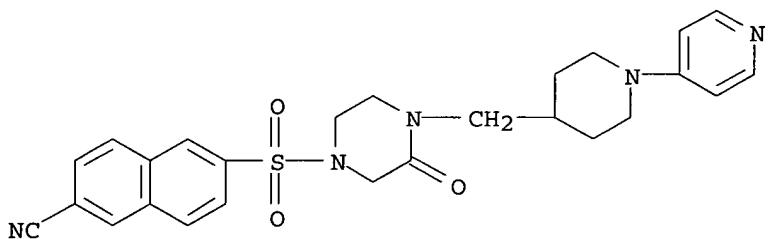
RN 229646-39-3 HCAPLUS

CN Piperazinone, 4-[(6-methyl-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



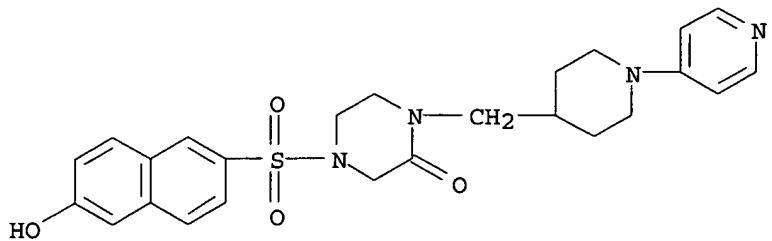
RN 229646-40-6 HCAPLUS

CN Piperazinone, 4-[(6-cyano-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



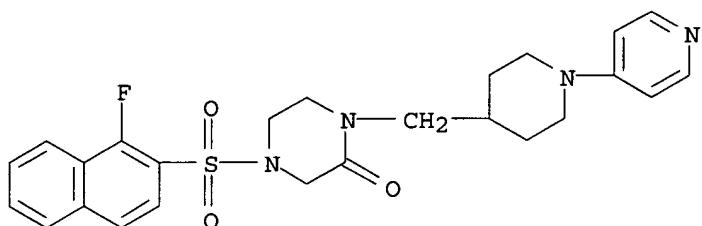
RN 229646-41-7 HCAPLUS

CN Piperazinone, 4-[(6-hydroxy-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



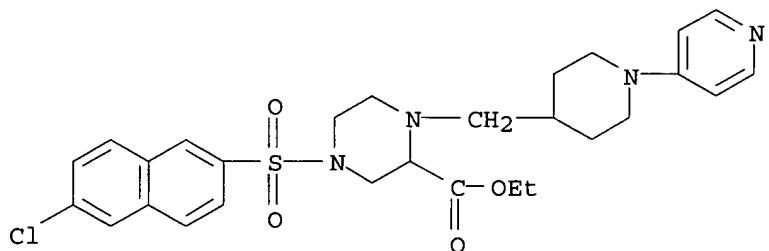
RN 229646-42-8 HCAPLUS

CN Piperazinone, 4-[(1-fluoro-2-naphthalenyl)sulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



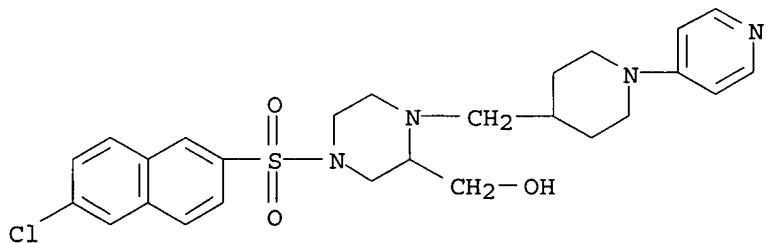
RN 229646-43-9 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



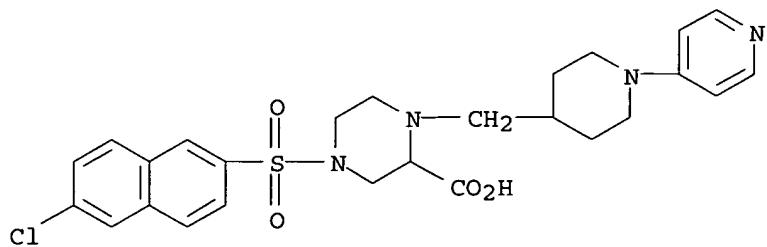
RN 229646-44-0 HCAPLUS

CN 2-Piperazinemethanol, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



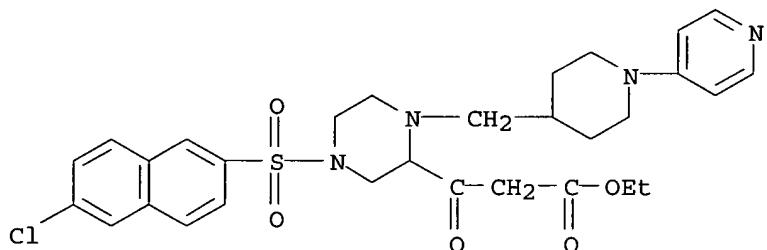
RN 229646-45-1 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



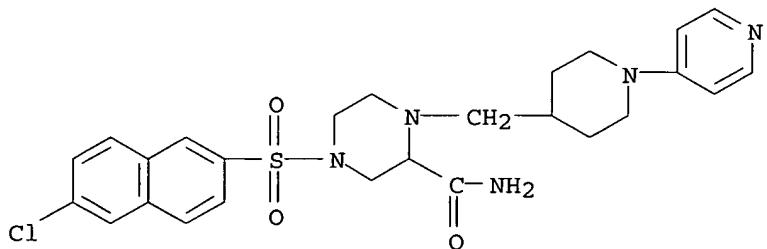
RN 229646-46-2 HCAPLUS

CN 2-Piperazinepropanoic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-β-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



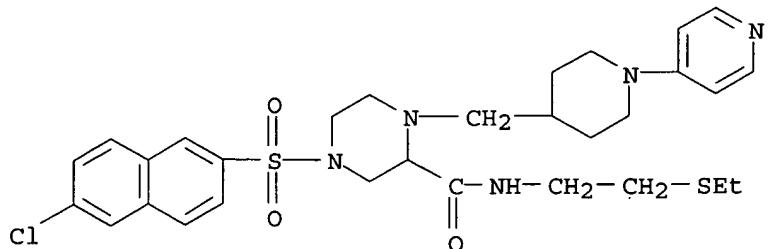
RN 229646-47-3 HCAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



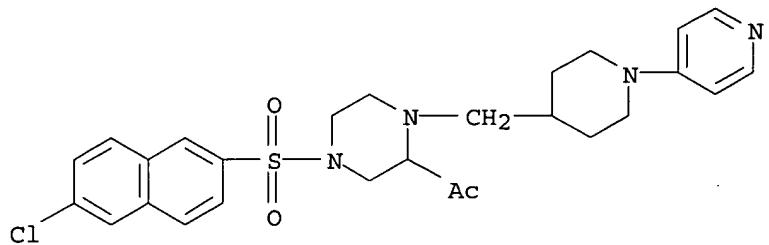
RN 229646-48-4 HCAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-N-[2-(ethylthio)ethyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



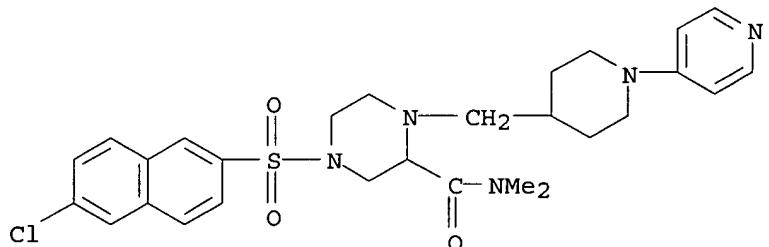
RN 229646-49-5 HCAPLUS

CN Piperazine, 2-acetyl-4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



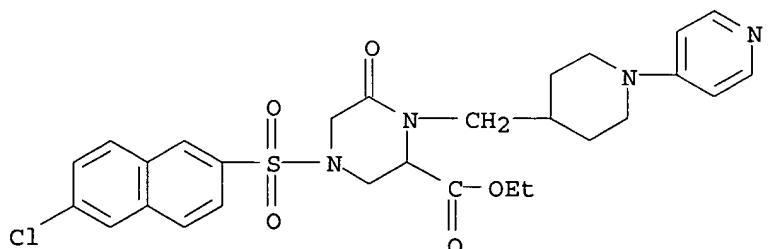
RN 229646-50-8 HCAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-N,N-dimethyl-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

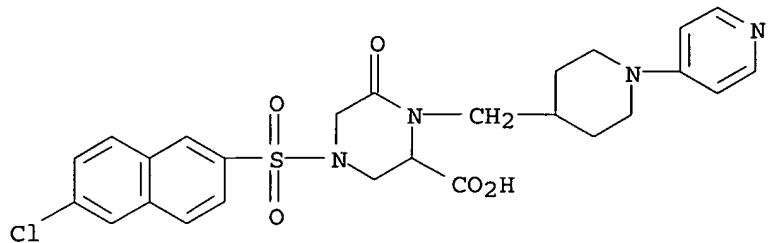


RN 229646-51-9 HCAPLUS

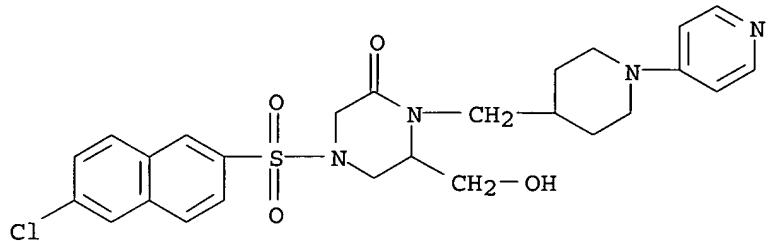
CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



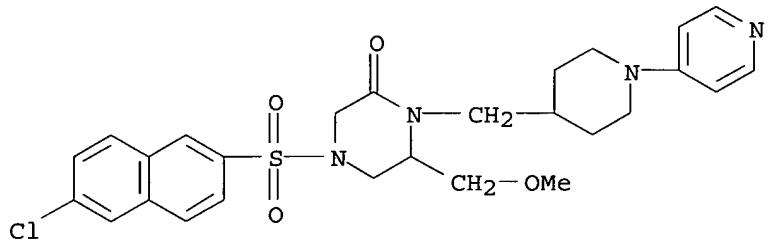
RN 229646-52-0 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-  
[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 229646-53-1 HCAPLUS

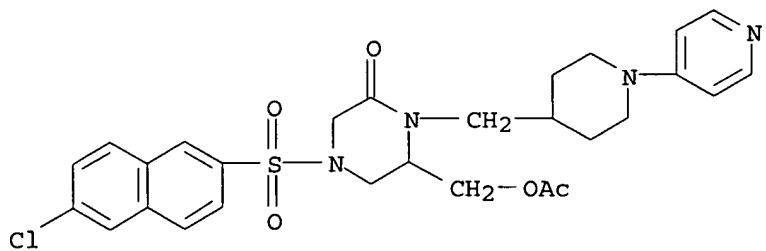
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(hydroxymethyl)-1-  
[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 229646-54-2 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(methoxymethyl)-1-  
[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 229646-55-3 HCAPLUS

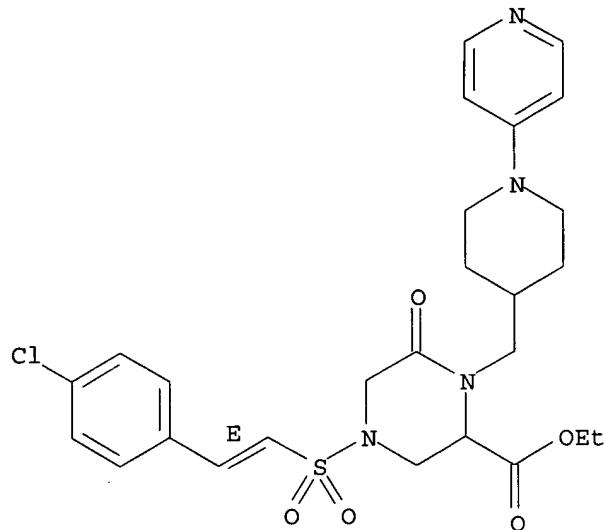
CN Piperazinone, 6-[(acetyloxy)methyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-  
1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 229646-56-4 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

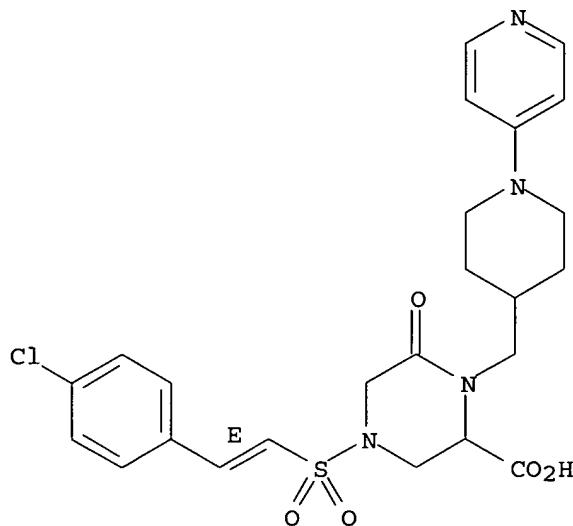
Double bond geometry as shown.



RN 229646-57-5 HCPLUS

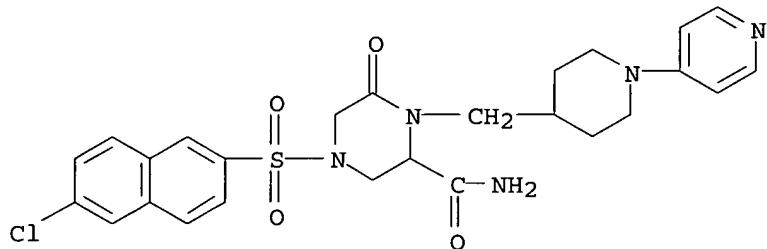
CN 2-Piperazinecarboxylic acid, 4-[[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



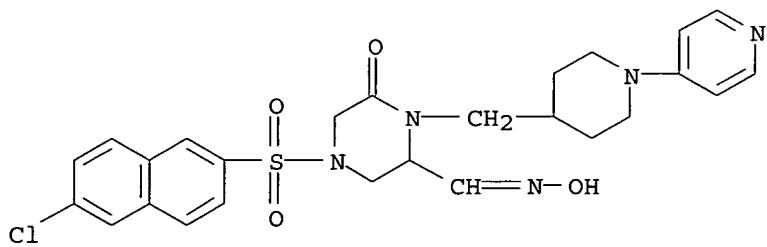
RN 229646-58-6 HCAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



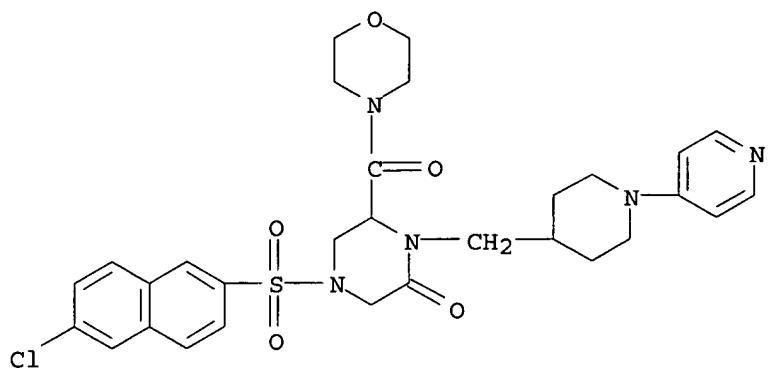
RN 229646-59-7 HCAPLUS

CN 2-Piperazinecarboxaldehyde, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, 2-oxime (9CI) (CA INDEX NAME)



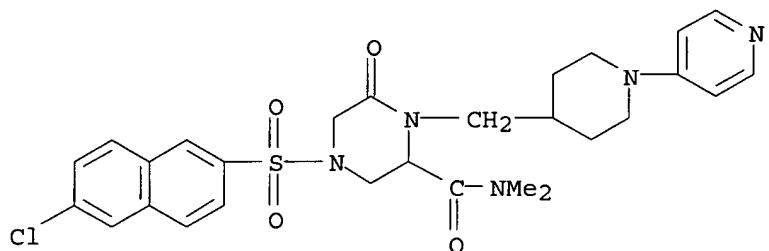
RN 229646-60-0 HCAPLUS

CN Morpholine, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-2-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



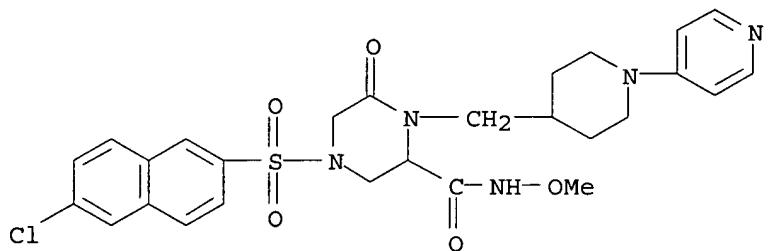
RN 229646-61-1 HCAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-N,N-dimethyl-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



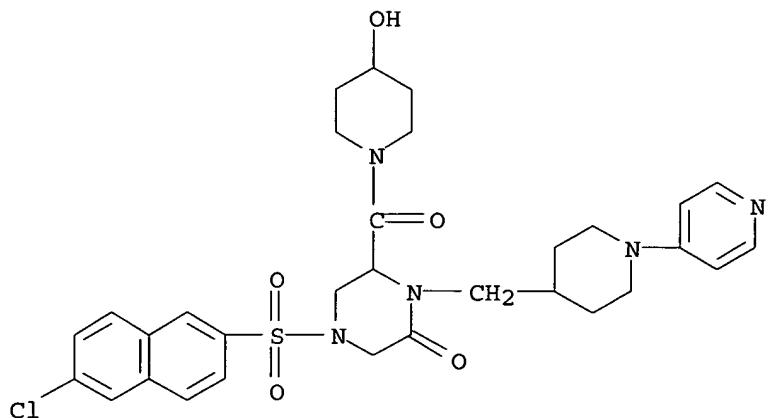
RN 229646-62-2 HCAPLUS

CN 2-Piperazinecarboxamide, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-N-methoxy-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



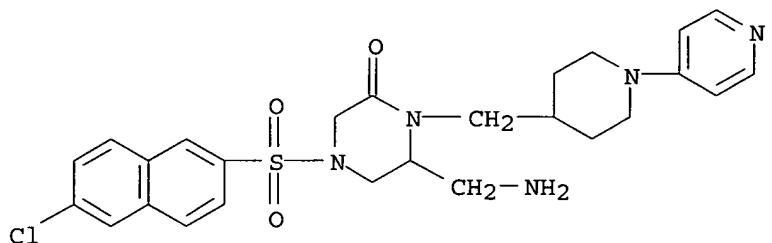
RN 229646-63-3 HCAPLUS

CN 4-Piperidinol, 1-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-2-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



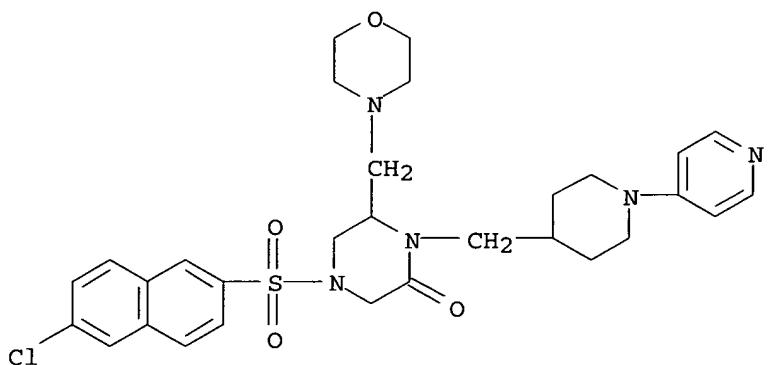
RN 229646-64-4 HCAPLUS

CN Piperazinone, 6-(aminomethyl)-4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



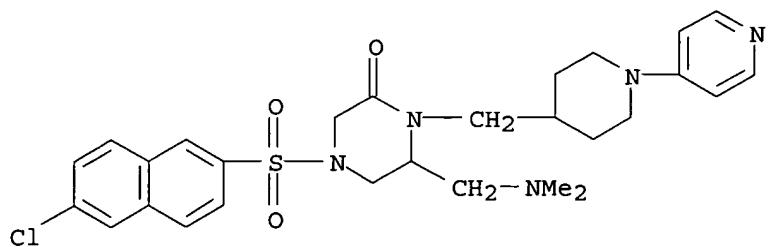
RN 229646-65-5 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(4-morpholinylmethyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



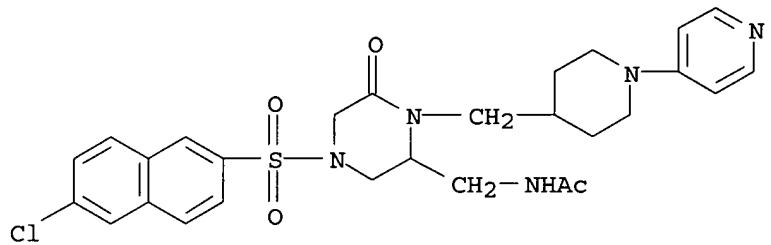
RN 229646-66-6 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-[(dimethylamino)methyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



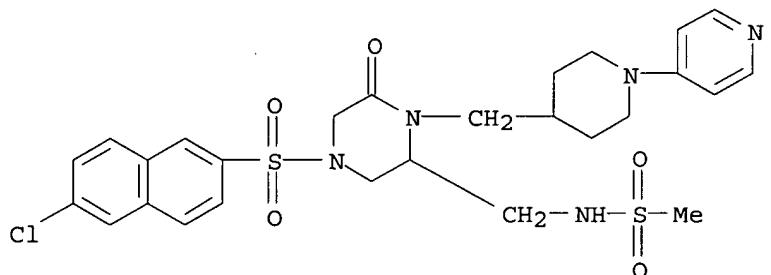
RN 229646-67-7 HCAPLUS

CN Acetamide, N-[(4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[(4-pyridinyl)-4-piperidinyl]methyl]-2-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



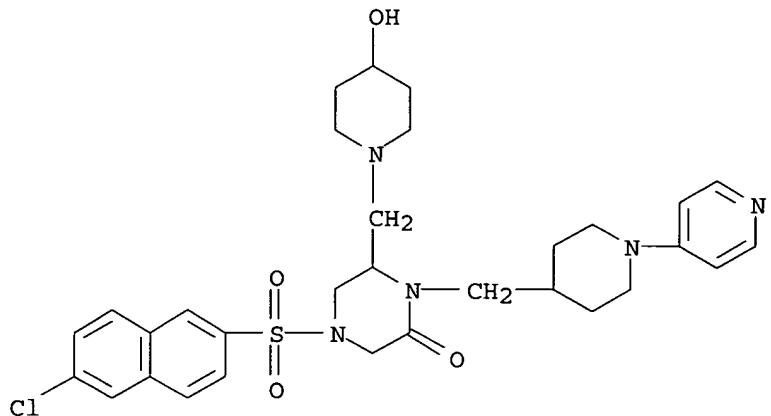
RN 229646-68-8 HCAPLUS

CN Methanesulfonamide, N-[(4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[(4-pyridinyl)-4-piperidinyl]methyl]-2-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



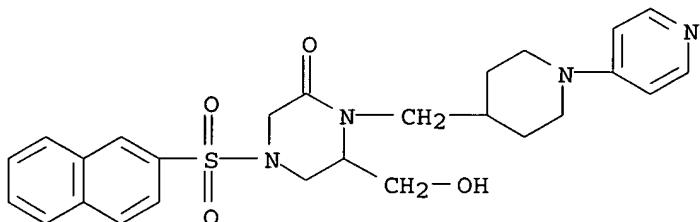
RN 229646-69-9 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-[(4-hydroxy-1-piperidinyl)methyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



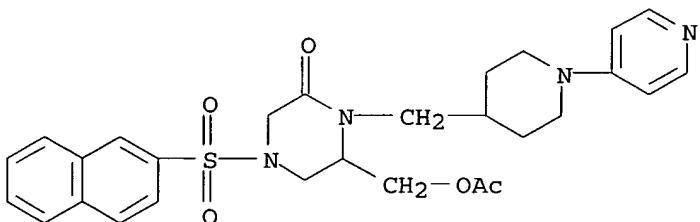
RN 229646-70-2 HCPLUS

CN Piperazinone, 6-(hydroxymethyl)-4-(2-naphthalenylsulfonyl)-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 229646-71-3 HCPLUS

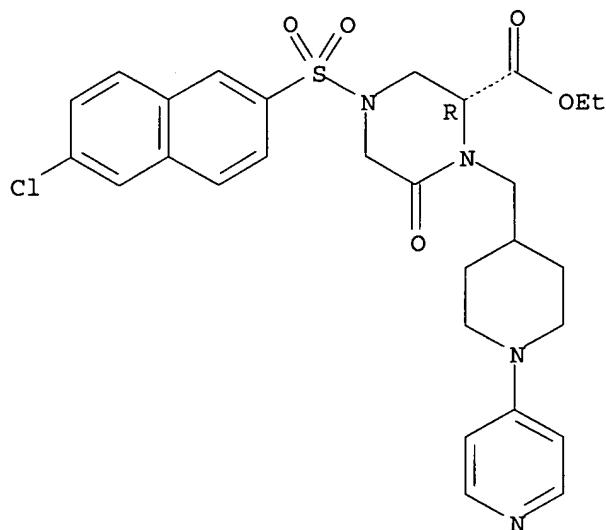
CN Piperazinone, 6-[(acetyloxy)methyl]-4-(2-naphthalenylsulfonyl)-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 229646-72-4 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

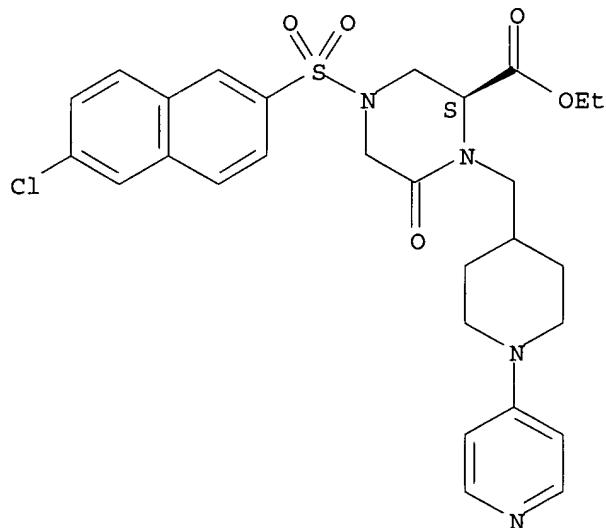
Absolute stereochemistry. Rotation (-).



RN 229646-73-5 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

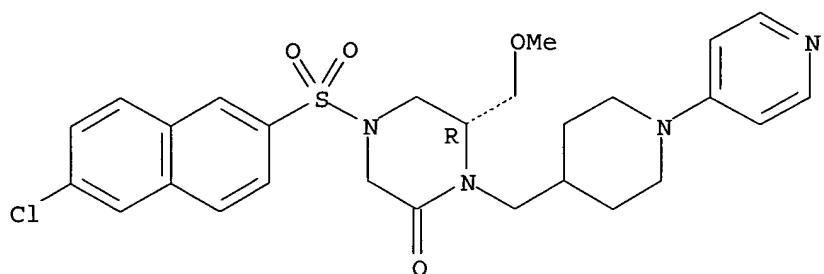
Absolute stereochemistry. Rotation (+).



RN 229646-74-6 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(methoxymethyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (6R)- (9CI) (CA INDEX NAME)

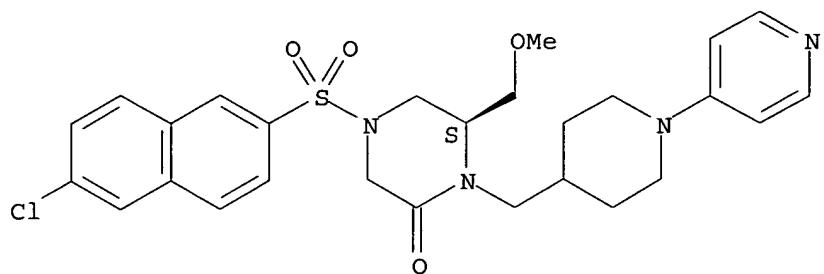
Absolute stereochemistry.



RN 229646-75-7 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(methoxymethyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (6S)- (9CI) (CA INDEX NAME)

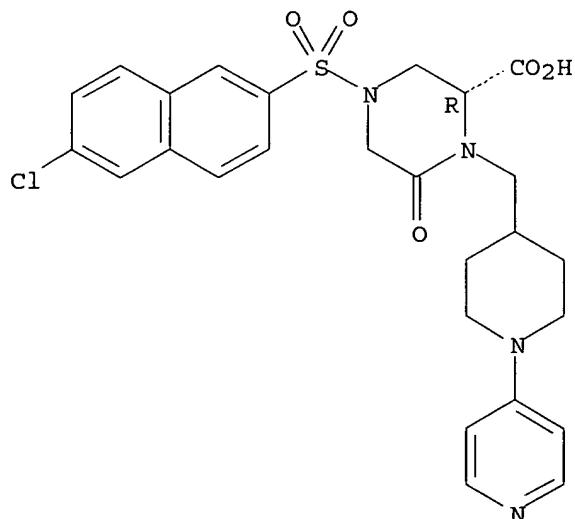
Absolute stereochemistry.



RN 229646-76-8 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

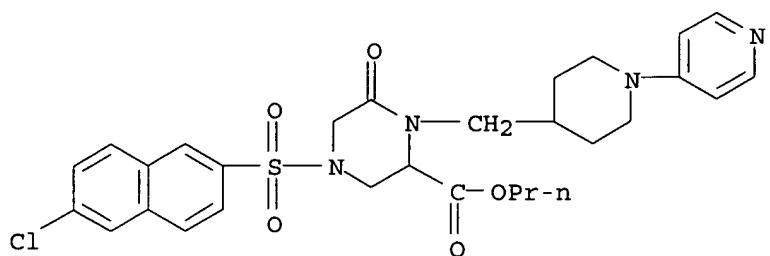
Absolute stereochemistry. Rotation (-).



RN 229646-77-9 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-

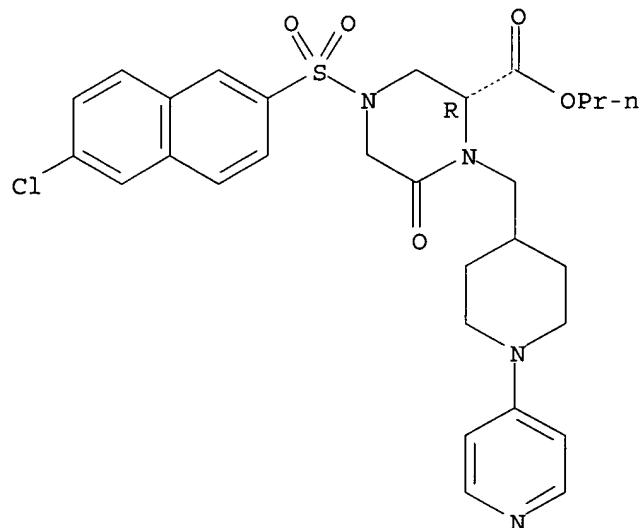
[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, propyl ester (9CI) (CA INDEX NAME)



RN 229646-78-0 HCAPLUS

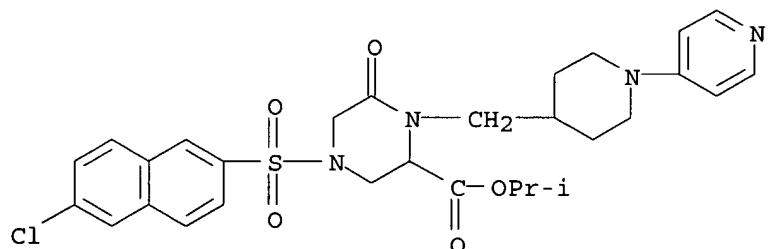
CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, propyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229646-79-1 HCAPLUS

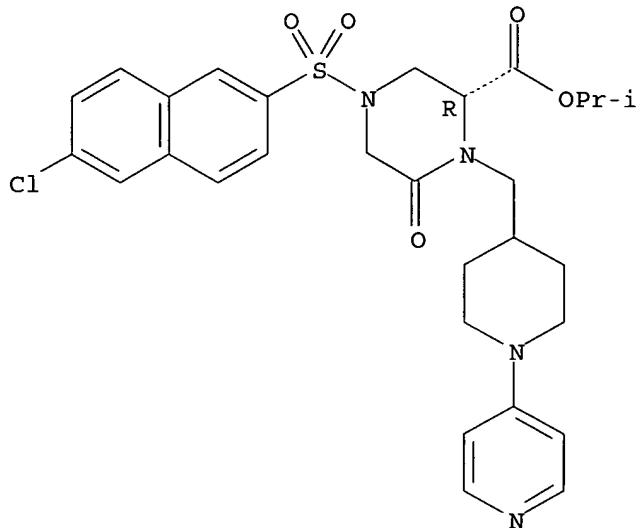
CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



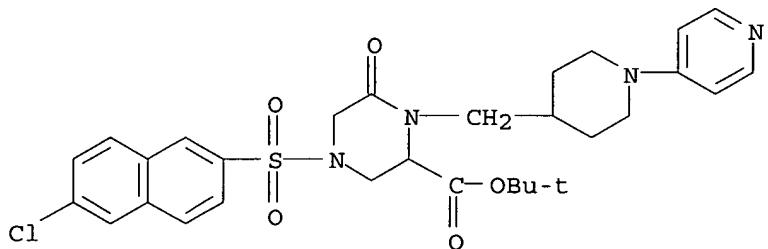
RN 229646-80-4 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-  
[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, 1-methylethyl ester, (2R)- (9CI)  
(CA INDEX NAME)

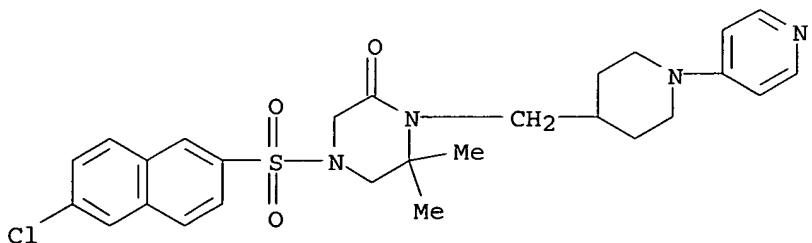
Absolute stereochemistry.



RN 229646-81-5 HCAPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-  
[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

RN 229646-82-6 HCAPLUS

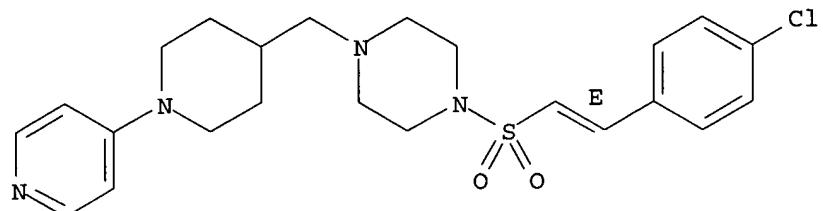
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6,6-dimethyl-1-[[1-(4-  
pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 229646-83-7 HCPLUS  
 CN Piperazine, 1-[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

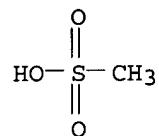
CRN 229646-14-4  
 CMF C23 H29 Cl N4 O2 S

Double bond geometry as shown.



CM 2

CRN 75-75-2  
 CMF C H4 O3 S

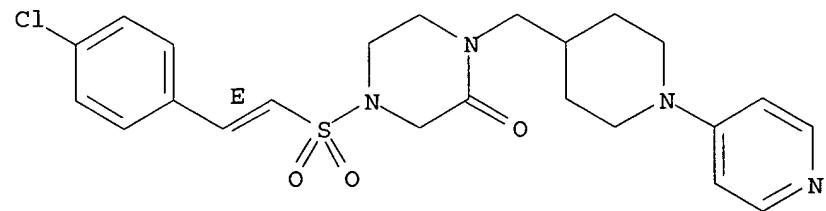


RN 229646-84-8 HCPLUS  
 CN Piperazinone, 4-[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

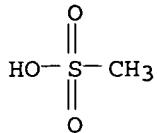
CRN 229646-35-9  
 CMF C23 H27 Cl N4 O3 S

Double bond geometry as shown.



CM 2

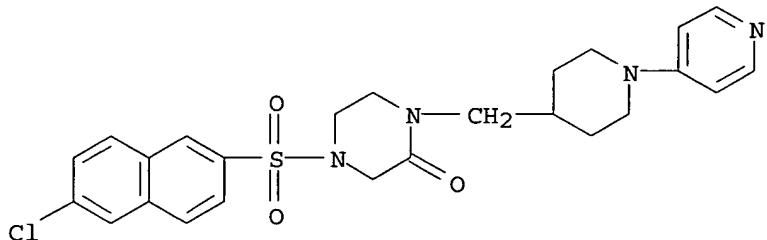
CRN 75-75-2  
 CMF C H4 O3 S



RN 229646-85-9 HCPLUS  
 CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

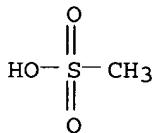
CM 1

CRN 229646-37-1  
 CMF C25 H27 Cl N4 O3 S



CM 2

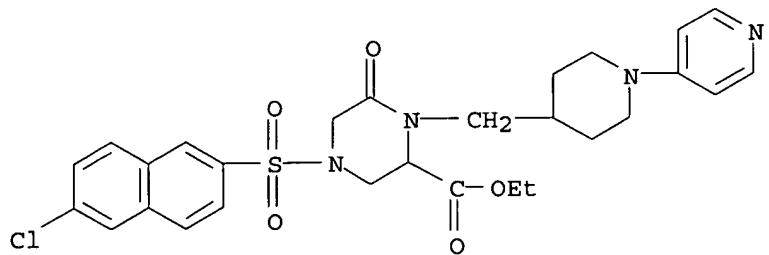
CRN 75-75-2  
 CMF C H4 O3 S



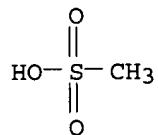
RN 229646-86-0 HCPLUS  
 CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 229646-51-9  
 CMF C28 H31 Cl N4 O5 S

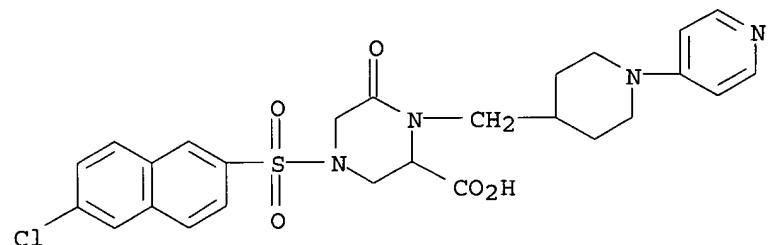


CM 2

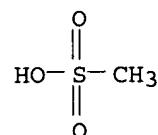
CRN 75-75-2  
CMF C H4 O3 S

RN 229646-87-1 HCPLUS  
 CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 229646-52-0  
CMF C26 H27 Cl N4 O5 S

CM 2

CRN 75-75-2  
CMF C H4 O3 S

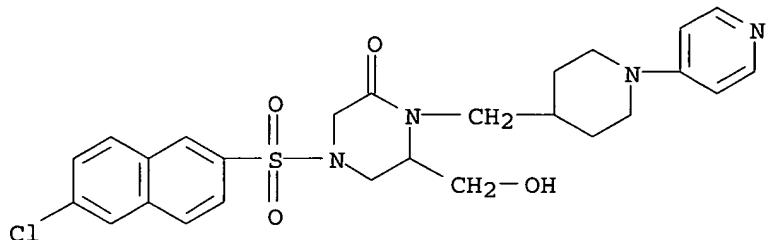
RN 229646-88-2 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(hydroxymethyl)-1-  
[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (salt)  
(9CI) (CA INDEX NAME)

CM 1

CRN 229646-53-1

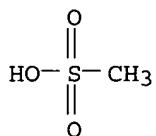
CMF C26 H29 Cl N4 O4 S



CM 2

CRN 75-75-2

CMF C H4 O3 S



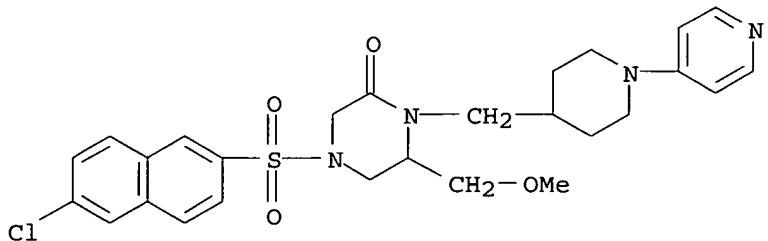
RN 229646-89-3 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(methoxymethyl)-1-  
[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (9CI) (CA  
INDEX NAME)

CM 1

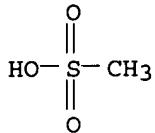
CRN 229646-54-2

CMF C27 H31 Cl N4 O4 S



CM 2

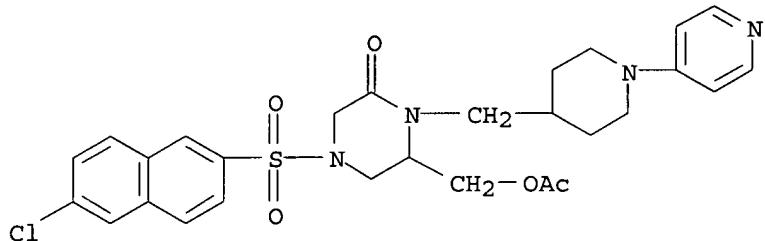
CRN 75-75-2  
 CMF C H4 O3 S



RN 229646-90-6 HCAPLUS  
 CN Piperazinone, 6-[(acetyloxy)methyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

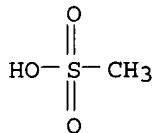
CM 1

CRN 229646-55-3  
 CMF C28 H31 Cl N4 O5 S



CM 2

CRN 75-75-2  
 CMF C H4 O3 S

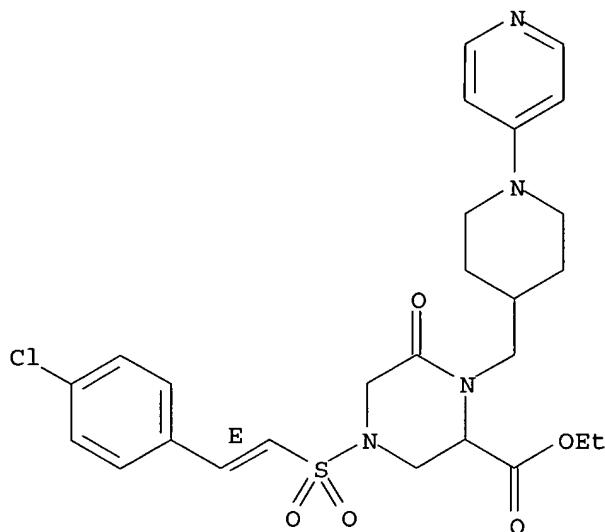


RN 229646-91-7 HCAPLUS  
 CN 2-Piperazinecarboxylic acid, 4-[[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

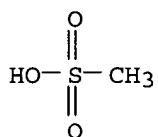
CRN 229646-56-4  
 CMF C26 H31 Cl N4 O5 S

Double bond geometry as shown.



CM 2

CRN 75-75-2  
CMF C H4 O3 S

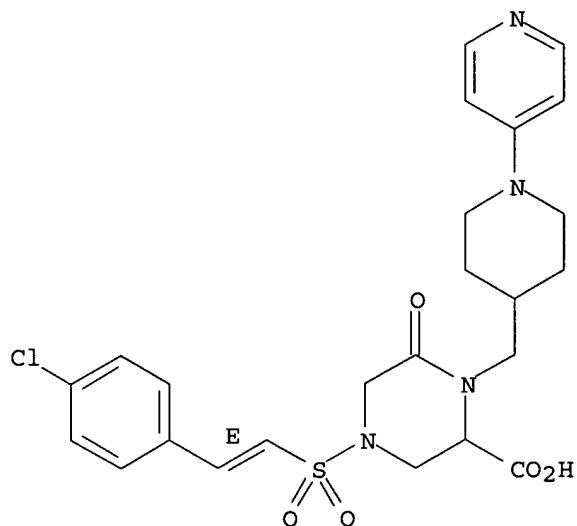


RN 229646-92-8 HCPLUS  
CN 2-Piperazinecarboxylic acid, 4-[(1E)-2-(4-chlorophenyl)ethenylsulfonyl]-6-oxo-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

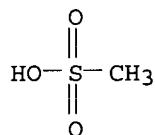
CM 1

CRN 229646-57-5  
CMF C24 H27 Cl N4 O5 S

Double bond geometry as shown.

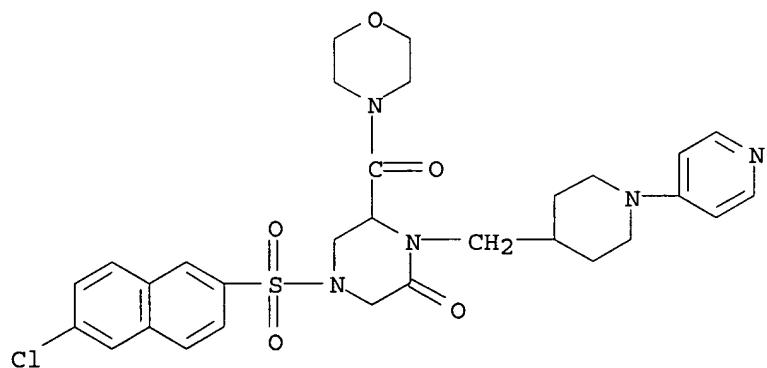


CM 2

CRN 75-75-2  
CMF C H4 O3 S

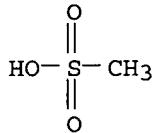
RN 229646-93-9 HCAPLUS  
 CN Morpholine, 4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-2-piperazinyl]carbonyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 229646-60-0  
CMF C30 H34 Cl N5 O5 S

CM 2

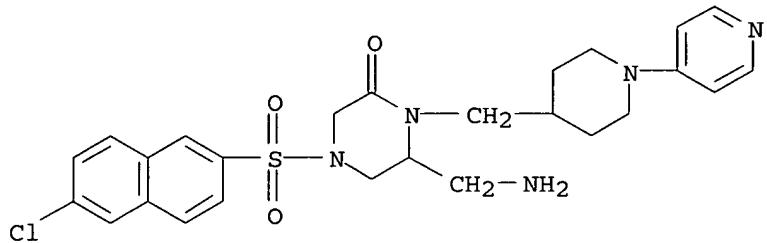
CRN 75-75-2  
 CMF C H4 O3 S



RN 229646-94-0 HCAPLUS  
 CN Piperazinone, 6-(aminomethyl)-4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

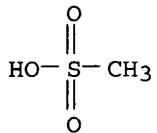
CM 1

CRN 229646-64-4  
 CMF C26 H30 Cl N5 O3 S



CM 2

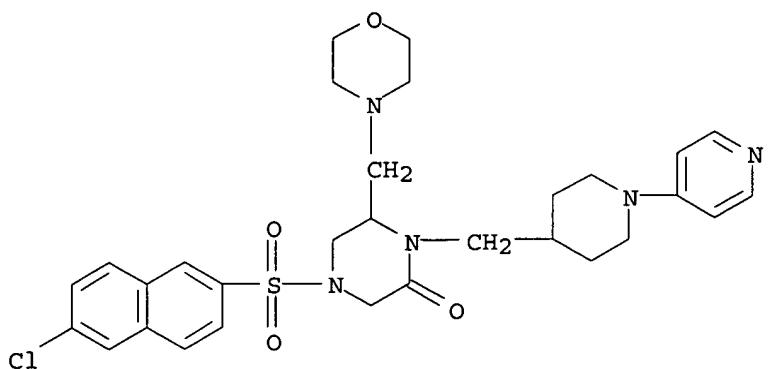
CRN 75-75-2  
 CMF C H4 O3 S



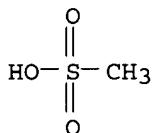
RN 229646-95-1 HCAPLUS  
 CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(4-morpholinylmethyl)-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

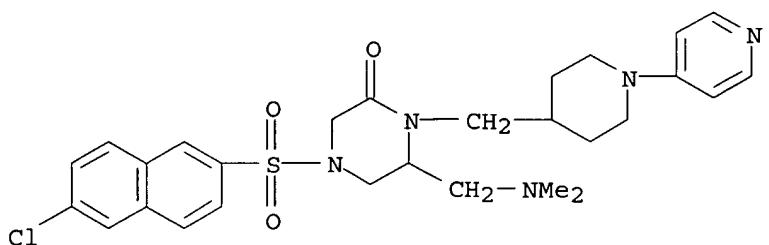
CRN 229646-65-5  
 CMF C30 H36 Cl N5 O4 S



CM 2

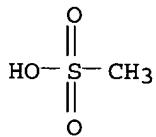
CRN 75-75-2  
CMF C H4 O3 SRN 229646-96-2 HCAPLUS  
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-[(dimethylamino)methyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 229646-66-6  
CMF C28 H34 Cl N5 O3 S

CM 2

CRN 75-75-2  
CMF C H4 O3 S



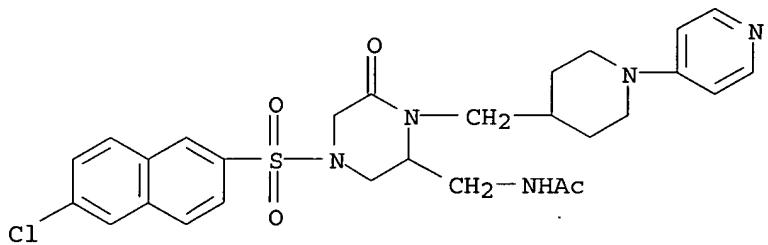
RN 229646-97-3 HCPLUS

CN Acetamide, N-[4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-2-piperazinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 229646-67-7

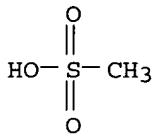
CMF C28 H32 Cl N5 O4 S



CM 2

CRN 75-75-2

CMF C H4 O3 S



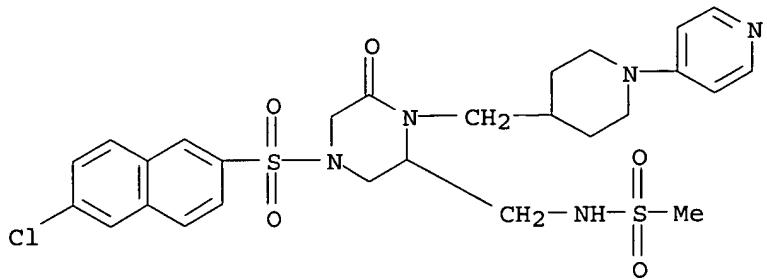
RN 229646-98-4 HCPLUS

CN Methanesulfonamide, N-[4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-2-piperazinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

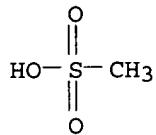
CM 1

CRN 229646-68-8

CMF C27 H32 Cl N5 O5 S2



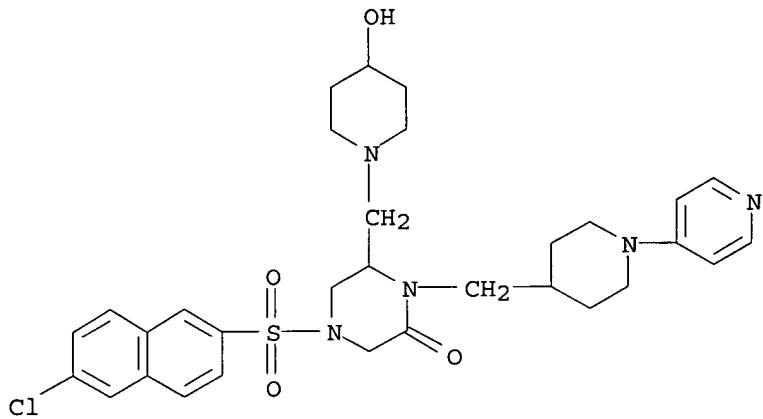
CM 2

CRN 75-75-2  
CMF C H4 O3 S

RN 229646-99-5 HCPLUS

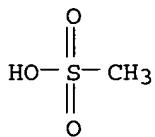
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-[(4-hydroxy-1-piperidinyl)methyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229646-69-9  
CMF C31 H38 Cl N5 O4 S

CM 2

CRN 75-75-2  
CMF C H4 O3 S



RN 229647-00-1 HCPLUS

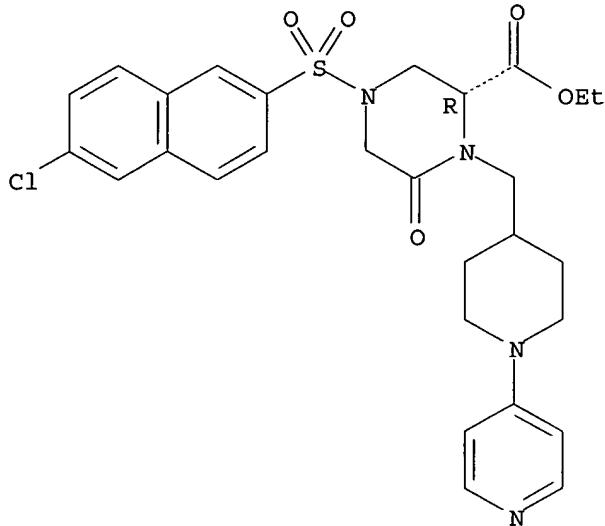
CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-  
[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2R)-,  
monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 229646-72-4

CMF C28 H31 Cl N4 O5 S

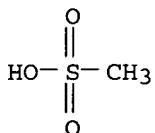
Absolute stereochemistry. Rotation (-).



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 229647-01-2 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-  
[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, ethyl ester, (2S)-,

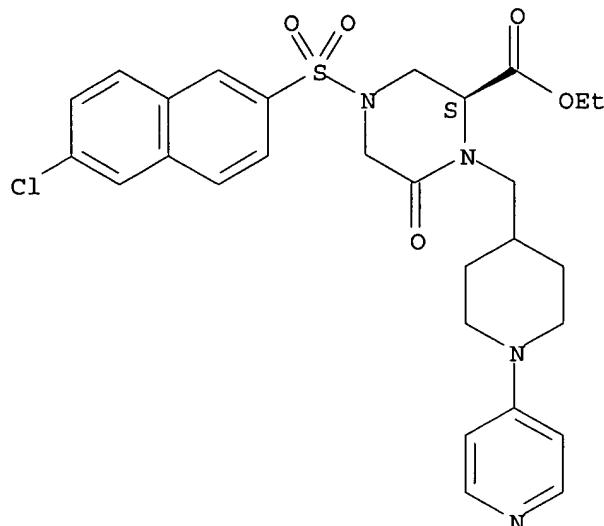
monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 229646-73-5

CMF C28 H31 Cl N4 O5 S

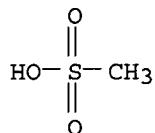
Absolute stereochemistry. Rotation (+).



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 229647-02-3 HCAPLUS

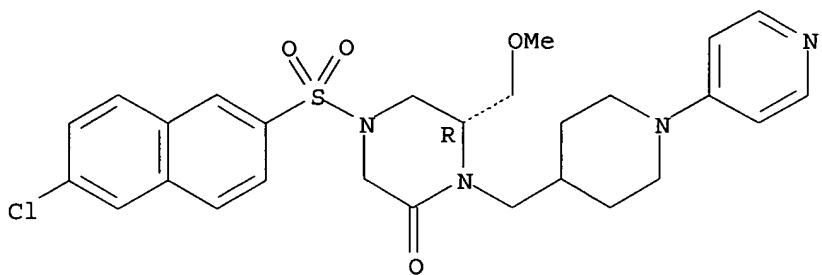
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(methoxymethyl)-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]-, (6R)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

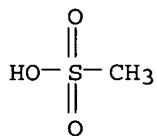
CRN 229646-74-6

CMF C27 H31 Cl N4 O4 S

Absolute stereochemistry.



CM 2

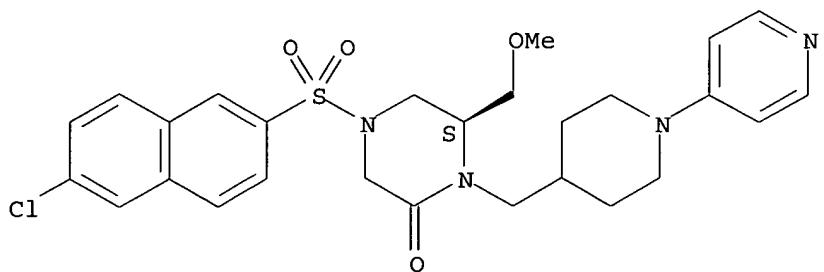
CRN 75-75-2  
CMF C H4 O3 S

RN 229647-03-4 HCPLUS  
 CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-(methoxymethyl)-1-  
 [[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (6S)-, monomethanesulfonate  
 (9CI) (CA INDEX NAME)

CM 1

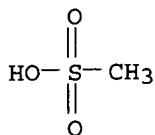
CRN 229646-75-7  
CMF C27 H31 Cl N4 O4 S

Absolute stereochemistry.



CM 2

CRN 75-75-2  
CMF C H4 O3 S



RN 229647-04-5 HCPLUS

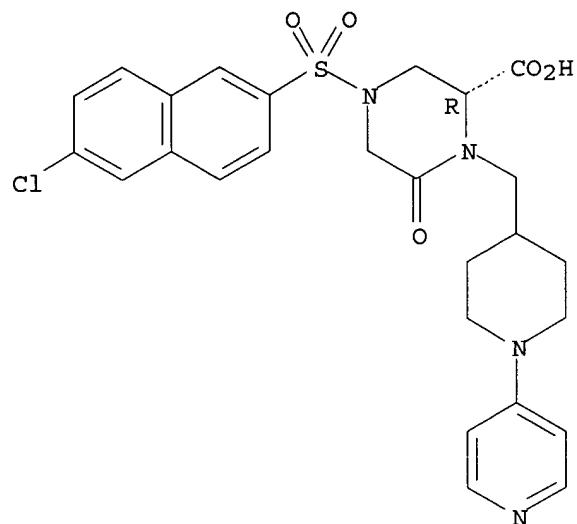
CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (2R)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 229646-76-8

CMF C26 H27 Cl N4 O5 S

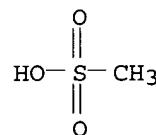
Absolute stereochemistry. Rotation (-).



CM 2

CRN 75-75-2

CMF C H4 O3 S



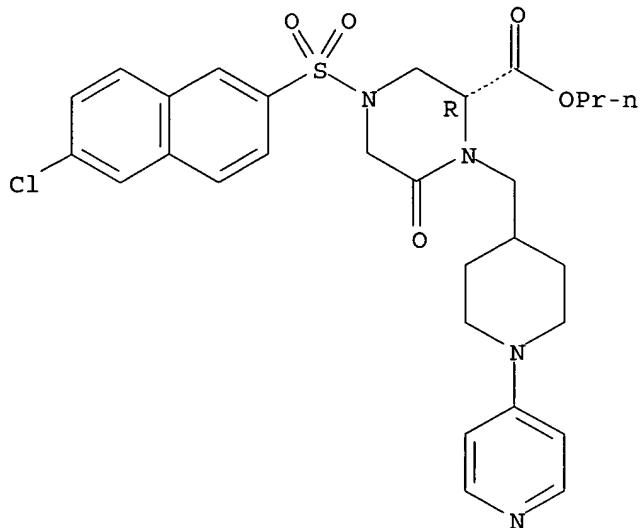
RN 229647-05-6 HCPLUS

CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, propyl ester, (2R)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

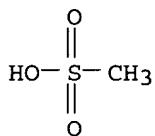
CRN 229646-78-0  
 CMF C29 H33 Cl N4 O5 S

Absolute stereochemistry.



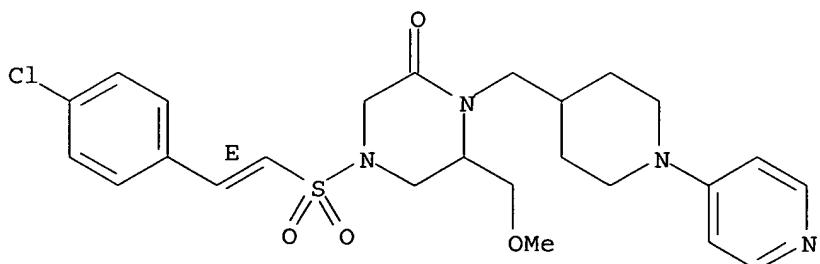
CM 2

CRN 75-75-2  
 CMF C H4 O3 S



RN 229955-03-7 HCPLUS  
 CN Piperazinone, 4-[(1E)-2-(4-chlorophenyl)ethenylsulfonyl]-6-(methoxymethyl)-1-[(1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



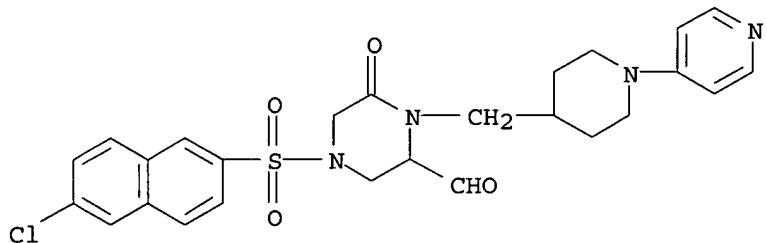
IT 229647-18-1P 229955-04-8P 229955-05-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aromatic compds. having cyclic amino or salts thereof as FXa inhibitors)

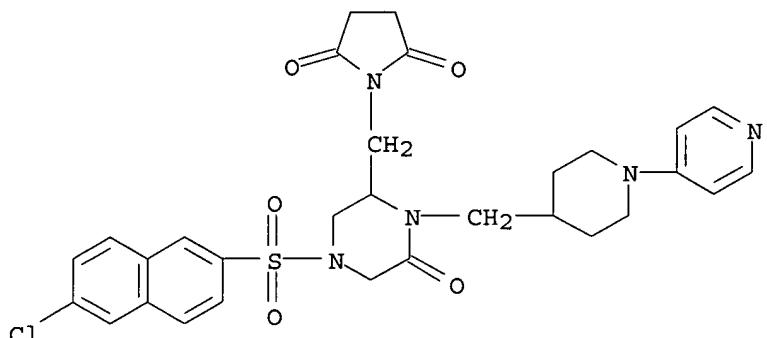
RN 229647-18-1 HCAPLUS

CN 2-Piperazinecarboxaldehyde, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-oxo-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



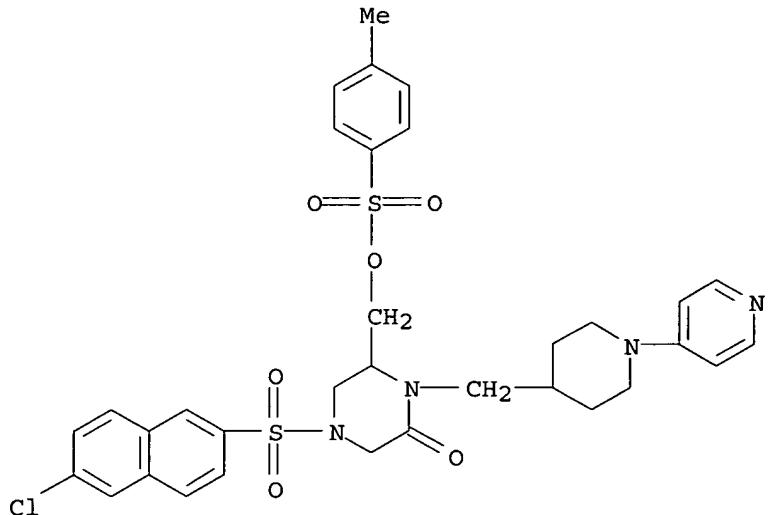
RN 229955-04-8 HCAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-[(2,5-dioxo-1-pyrrolidinyl)methyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 229955-05-9 HCAPLUS

222995-03-3 (CA INDEX NAME)  
CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-6-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-1-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 14 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:113671 HCPLUS

DOCUMENT NUMBER: 130:168388

TITLE: Pyridyl- and pyrimidyl-heterocyclic compounds inhibiting oxidosqualene cyclase

INVENTOR(S): Newcombe, Nicholas John; Johnson, Michael Clyde

PATENT ASSIGNEE(S): Zeneca Limited, UK

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

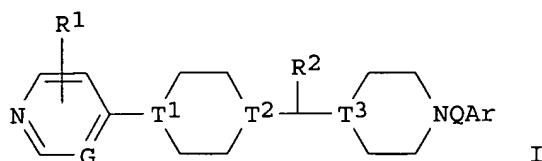
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906395	A1	19990211	WO 1998-GB2196	19980723
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885471	A1	19990222	AU 1998-85471	19980723
EP 1000057	A1	20000517	EP 1998-936494	19980723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001512118	T2	20010821	JP 2000-505153	19980723
US 6335341	B1	20020101	US 2000-463326	20000124
PRIORITY APPLN. INFO.:			GB 1997-15892	A 19970729
			WO 1998-GB2196	W 19980723

OTHER SOURCE(S): MARPAT 130:168388

GI



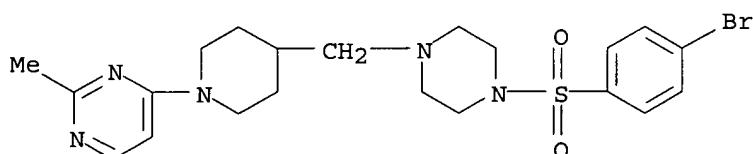
**AB** The title compds. I [G = CH, N; R1 = H, halo, (1-6C)alkyl, halo(1-6C)alkyl, cyano, nitro, (1-6C)alkoxycarbonyl, NR3R4 (R3, R4 = H, (1-6C)alkyl), up to 3 R1 groups may be present; T1 = CH, N; T2, T3 = N, CR (R = H, hydroxyl, (C1-4)alkyl); either ring containing T2 or T3 is optionally substituted with an oxo group; R2 = H, (1-4C)alkyl; Q = SO2, CO, CH2; Ar = five or six-membered heterocycle containing up to 3 heteroatoms selected from nitrogen, oxygen and sulfur, Ph, phenyl(2-6C)alkenyl, naphthyl in which any Ar group is optionally substituted by one or more substituents selected from (1-6C)alkyl, halo, halo(1-6C)alkyl, (1-6C)alkoxy, (1-6C)alkoxycarbonyl, cyano, (1-6C)alkylamido, nitro, NR3R4 (R3, R4 = H, (1-4C)alkyl) both T2 and T3 are not N and when T2 is CR then T1 is not CH], useful in inhibiting oxidosqualene cyclase (no data), were prepared E.g., 1-(4-chlorophenylsulfonyl)-4-[1-(2-methylpyrimidin-4-yl)piperazin-4-ylmethyl]piperidine was prepared

**IT** 220358-83-8P 220358-84-9P 220358-85-0P  
220358-86-1P 220358-87-2P 220358-88-3P  
220358-89-4P 220358-90-7P 220358-91-8P  
220358-92-9P 220358-93-0P 220358-94-1P  
220358-95-2P 220358-96-3P 220358-99-6P  
220359-01-3P 220359-03-5P 220359-04-6P  
220359-05-7P 220359-06-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyridyl- and pyrimidyl-heterocyclic compds. as inhibitors of oxidosqualene cyclase)

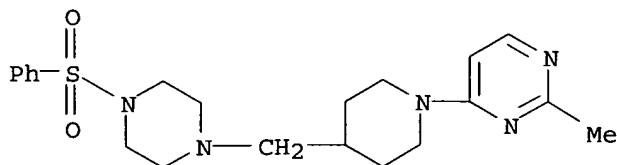
**RN** 220358-83-8 HCPLUS

**CN** Piperazine, 1-[(4-bromophenyl)sulfonyl]-4-[[1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

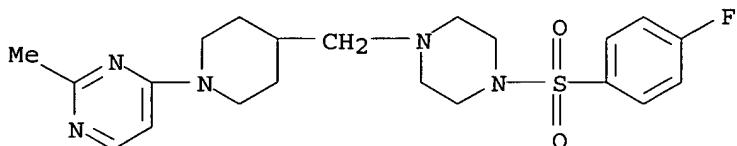


**RN** 220358-84-9 HCPLUS

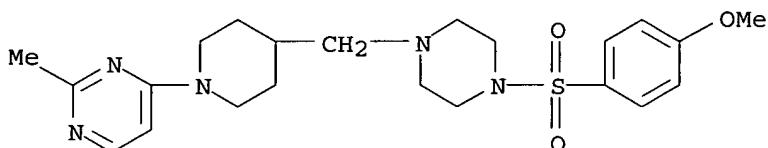
**CN** Piperazine, 1-[[1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



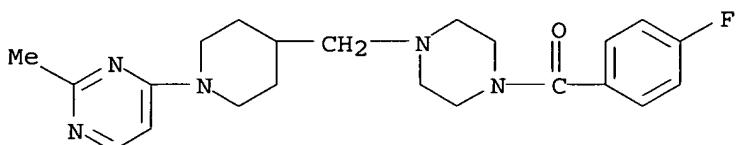
RN 220358-85-0 HCAPLUS  
 CN Piperazine, 1-[(4-fluorophenyl)sulfonyl]-4-[[1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



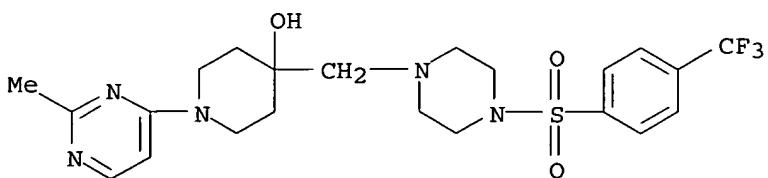
RN 220358-86-1 HCAPLUS  
 CN Piperazine, 1-[(4-methoxyphenyl)sulfonyl]-4-[[1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 220358-87-2 HCAPLUS  
 CN Piperazine, 1-[(4-fluorobenzoyl)sulfonyl]-4-[[1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

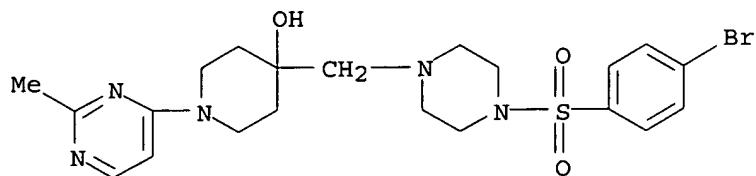


RN 220358-88-3 HCAPLUS  
 CN Piperazine, 1-[[4-hydroxy-1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]-4-[[4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



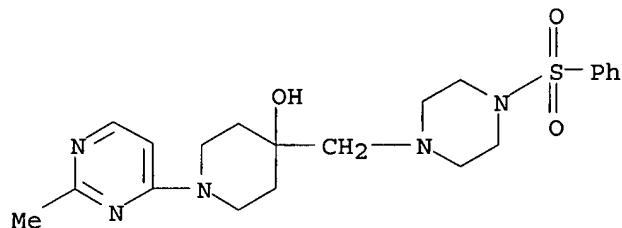
RN 220358-89-4 HCAPLUS

CN Piperazine, 1-[ (4-bromophenyl)sulfonyl]-4-[[4-hydroxy-1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



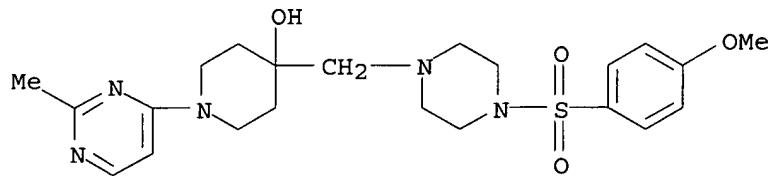
RN 220358-90-7 HCAPLUS

CN Piperazine, 1-[ [4-hydroxy-1- (2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



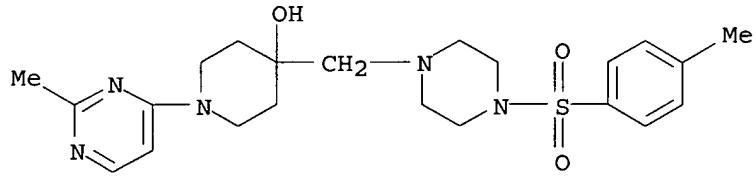
RN 220358-91-8 HCAPLUS

CN Piperazine, 1-[ [4-hydroxy-1- (2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]-4-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



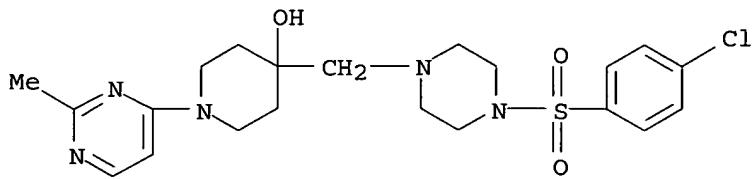
RN 220358-92-9 HCAPLUS

CN Piperazine, 1-[ [4-hydroxy-1- (2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]-4-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

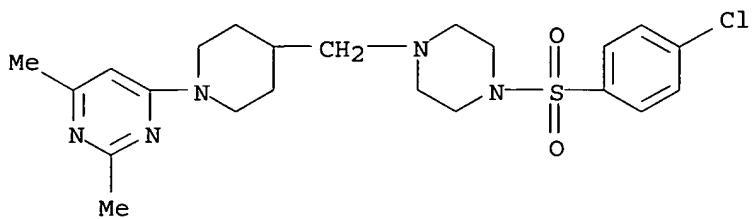


RN 220358-93-0 HCAPLUS

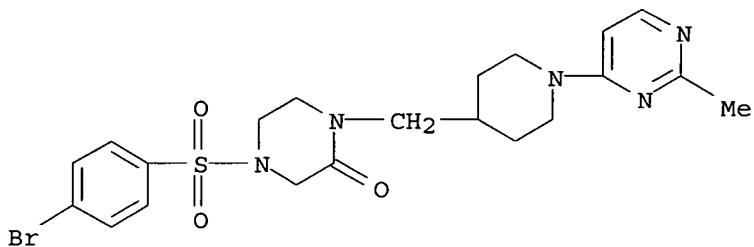
CN Piperazine, 1-[ (4-chlorophenyl)sulfonyl]-4-[[4-hydroxy-1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



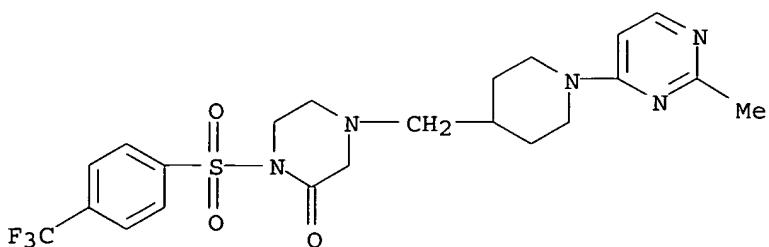
RN 220358-94-1 HCAPLUS  
 CN Piperazine, 1-[(4-chlorophenyl)sulfonyl]-4-[[1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



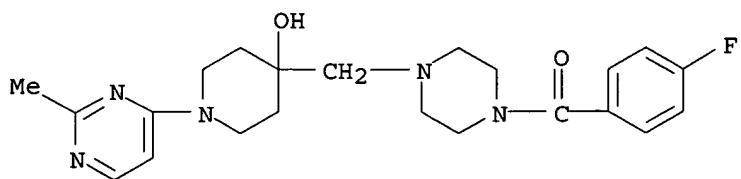
RN 220358-95-2 HCAPLUS  
 CN Piperazinone, 4-[(4-bromophenyl)sulfonyl]-1-[[1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



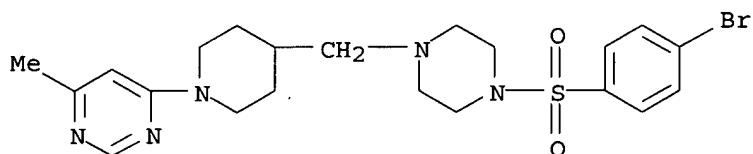
RN 220358-96-3 HCAPLUS  
 CN Piperazinone, 4-[[1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]-1-[(4-trifluoromethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



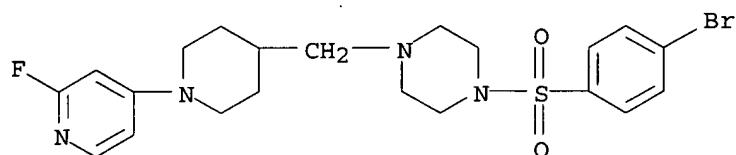
RN 220358-99-6 HCAPLUS  
 CN Piperazine, 1-(4-fluorobenzoyl)-4-[[4-hydroxy-1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



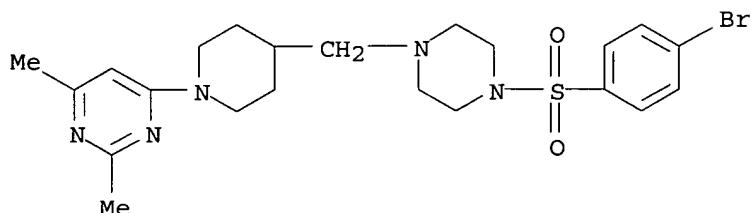
RN 220359-01-3 HCAPLUS  
 CN Piperazine, 1-[(4-bromophenyl)sulfonyl]-4-[[1-(6-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



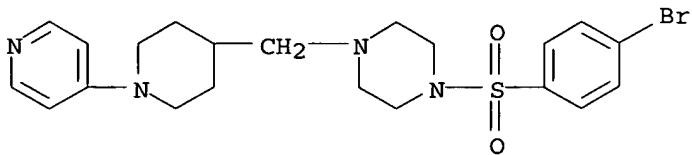
RN 220359-03-5 HCAPLUS  
 CN Piperazine, 1-[(4-bromophenyl)sulfonyl]-4-[[1-(2-fluoro-4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 220359-04-6 HCAPLUS  
 CN Piperazine, 1-[(4-bromophenyl)sulfonyl]-4-[[1-(2,6-dimethyl-4-pyrimidinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

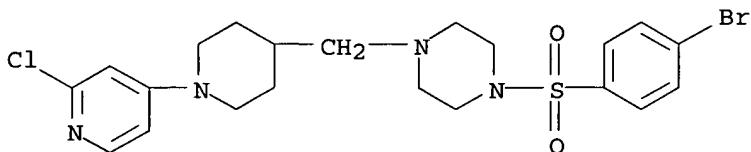


RN 220359-05-7 HCAPLUS  
 CN Piperazine, 1-[(4-bromophenyl)sulfonyl]-4-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 220359-06-8 HCAPLUS

CN Piperazine, 1-[(4-bromophenyl)sulfonyl]-4-[[1-(2-chloro-4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

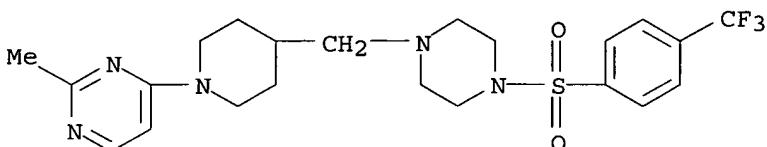


IT 220359-13-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyridyl- and pyrimidyl-heterocyclic compds. as inhibitors of  
 oxidosqualene cyclase)

RN 220359-13-7 HCAPLUS

CN Piperazine, 1-[[1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]methyl]-4-[(trifluoromethyl)phenylsulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:134523 HCAPLUS

DOCUMENT NUMBER: 120:134523

TITLE: 1,4-Dialkylpiperazine derivatives, method for obtaining them, and pharmaceutical compositions containing them

INVENTOR(S): Buzas, Andre; Ollivier, Roland; El Ahmad, Youssef; Laurent, Elisabeth

PATENT ASSIGNEE(S): Laboratoires Meram, Fr.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

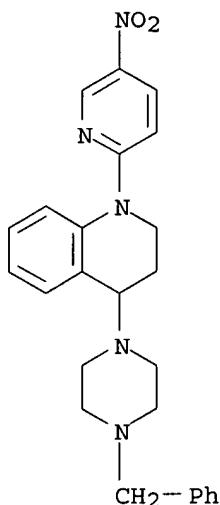
PATENT NO.

KIND

DATE

APPLICATION NO.

DATE



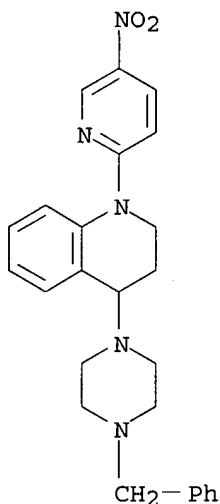
RN 152938-35-7 HCAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-1-(5-nitro-2-pyridinyl)-4-[4-(phenylmethyl)-1-piperazinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 152937-98-9

CMF C25 H27 N5 O2



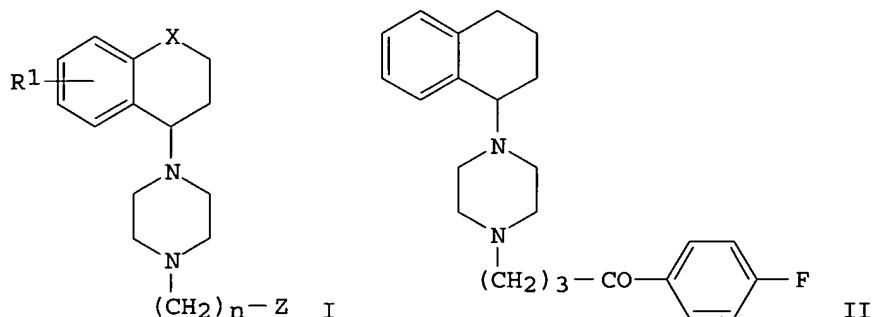
CM 2

CRN 110-16-7  
CMF C4 H4 O4

Double bond geometry as shown.

WO 9316057	A1	19930819	WO 1993-FR158	19930217
W: AU, BG, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RO, RU, SK, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,				
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
FR 2687401	A1	19930820	FR 1992-1843	19920218
FR 2687401	B1	19940520		
AU 9336356	A1	19930903	AU 1993-36356	19930217
ORITY APPLN. INFO.:			FR 1992-1843	A 19920218
			WO 1993-FR158	A 19930217

OTHER SOURCE(S) : MARPAT 120:134523  
GI



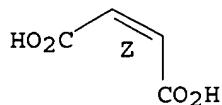
AB Title compds. I [X = O, S, CH<sub>2</sub>, NR (R = H, Ph, SO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Me-p, nitropyridyl); n = 0-3; Z = CH<sub>2</sub>, phthalimido, C<sub>6</sub>H<sub>3</sub>R<sub>2</sub>R<sub>3</sub>, AC<sub>6</sub>H<sub>3</sub>R<sub>2</sub>R<sub>3</sub>; A = O, CO, CH(OH), CH:CH, CH:CPh; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> = H, halo, alkyl, alkoxy, CF<sub>3</sub>; or R<sub>2</sub>R<sub>3</sub> = alkylene dioxy] and salts were prepared as antidepressants, neuroleptics, anxiolytics, antihistaminic, and/or spasmolytic agents. For example, coupling of 1-chlorotetralin with N-[4-(4-fluorophenyl)-4-oxobutyl]piperazine using K<sub>2</sub>CO<sub>3</sub> and NaI in refluxing MEK gave, after chromatog., 68% II, isolated as its di-HCl salt (III). In a test for 5-HT<sub>1A</sub> receptor binding by displacement of [<sup>3</sup>H]-8-OH DPAT, III had IC<sub>50</sub> of 14.8 nM. A list of 29 I and results for 12 compds. in a variety of relevant biol. assays are given.

IT 152937-98-9P 152938-35-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as drug)

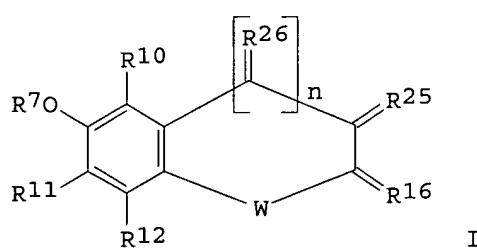
RN 152937-98-9 HCAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-1-(5-nitro-2-pyridinyl)-4-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



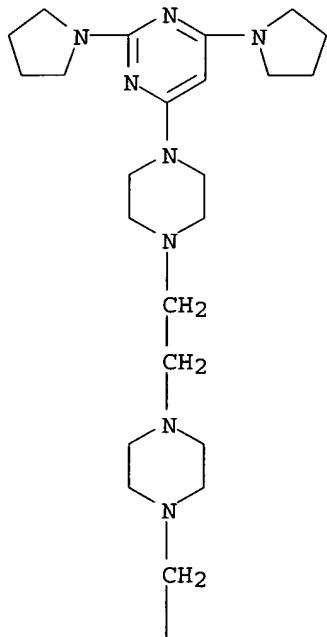
L13 ANSWER 16 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1989:478020 HCPLUS  
 DOCUMENT NUMBER: 111:78020  
 TITLE: Preparation of pharmaceutically active heterocyclic amines and their use for treating head injury, spinal trauma, stroke, etc.  
 INVENTOR(S): McCall, John M.; Ayer, Donald E.; Jacobsen, E. Jon; Van Doornik, Frederick J.; Palmer, John R.  
 PATENT ASSIGNEE(S): Upjohn Co., USA  
 SOURCE: PCT Int. Appl., 173 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8808424	A1	19881103	WO 1988-US1212	19880420
W: AU, DK, FI, JP, KR, NO, US RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
CA 1338012	A1	19960130	CA 1988-564335	19880415
EP 293078	A1	19881130	EP 1988-303576	19880420
R: ES, GR				
AU 8817098	A1	19881202	AU 1988-17098	19880420
AU 624788	B2	19920625		
EP 358676	A1	19900321	EP 1988-904101	19880420
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02503198	T2	19901004	JP 1988-503777	19880420
JP 07103118	B4	19951108		
EP 487510	A1	19920527	EP 1992-200013	19880420
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5120843	A	19920609	US 1989-425726	19891023
DK 8905335	A	19891026	DK 1989-5335	19891026
PRIORITY APPLN. INFO.:			US 1987-43274	A2 19870427
			WO 1988-US1212	A 19880420
OTHER SOURCE(S): GI	MARPAT 111:78020			

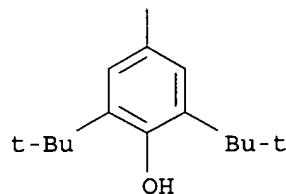


- AB The aromatic amines, alkylamines, bicyclic amines, cycloalkylamines, aromatic bicyclic amines, hydroquinoneamines, amino ethers, and bicyclic amino ethers, which are individually represented by Markush formula, e.g. bicyclic amines I [W = O, S, NH, C1-3 alkylimino; n = 0, 1, or 2; R7 = H, C1-4 alkyl, C1-4 alkyl, C1-4 alkylcarbonyl, PhCO, prodrug (e.g. PO2O-, COCH2CONHCH2SO2O-, or COCH:CHCO2-); R10 - R12 = H, Me; when R25 = R26 = H, R16 =  $\alpha$ -R17: $\beta$ -R18 where one of R17 and R18 = H, Me, Et, or Ph and the other is COM (M = substituted NH2, heterocyclic amino; or C:CQN:NCQ:CH where Q = 2-pyridinyl), (CH2)pCOM (p = 1-6), (CH2)qM (q = 1-6) or CO2(CH2)rM (r = 2-6); when n = 0, R16 = R19:R20 where one of R19 and R20 taken together with R25 forms a second bond between the C atoms to which R16 and R25 are attached and the other = M-substituted groups described for R16; when n = 1, R25R26 = bond between the C atoms to which R25 and R26 are attached; the original Markush definition was not completed.], useful as pharmaceuticals for treatment of head injury, spinal trauma, stroke and a number of other related injuries and conditions (no data), are prepared A mixture of 6-bromohexanol, 2,6-bis(1-pyrrolidinyl)-4-(1-piperazinyl)-1,3,5-triazine, K2CO3, and NaI in MeCN was refluxed to give 4-[4,6-bis(1-pyrrolidinyl)-1,3,5-triazin-2-yl]-1-piperazinehexanol.
- IT 122002-55-5P 122004-11-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, for treatment of head injury and spinal trauma and stroke)
- RN 122002-55-5 HCPLUS  
 CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[[4-[2-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]ethyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

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RN 122004-11-9 HCAPLUS

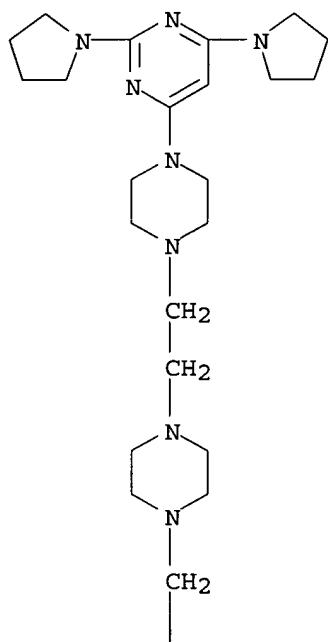
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[[4-[2-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]ethyl]-1-piperazinyl]methyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

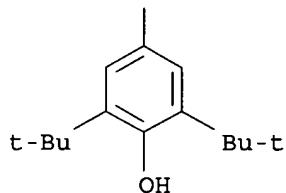
CRN 122002-55-5

CMF C37 H60 N8 O

PAGE 1-A



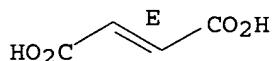
PAGE 2-A



CM 2

CRN 110-17-8  
CMF C4 H4 O4

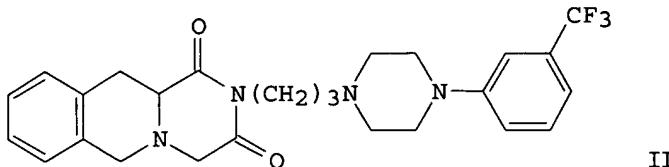
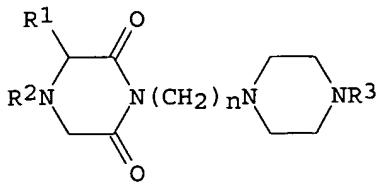
Double bond geometry as shown.



L13 ANSWER 17 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1989:173256 HCPLUS  
 DOCUMENT NUMBER: 110:173256  
 TITLE: (Piperazinylalkyl)piperazinedione derivatives as anxiolytics and antipsychotics, their preparation, and formulations containing them  
 INVENTOR(S): Lavielle, Gilbert; Poignant, Jean Claude  
 PATENT ASSIGNEE(S): ADIR, Fr.  
 SOURCE: Eur. Pat. Appl., 19 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 296048	A1	19881221	EP 1988-401458	19880614
EP 296048	B1	19911121		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2616433	A1	19881216	FR 1987-8263	19870615
FR 2616433	B1	19890901		
US 4877788	A	19891031	US 1988-206512	19880614
AT 69611	E	19911215	AT 1988-401458	19880614
US 4943577	A	19900724	US 1989-382252	19890719
PRIORITY APPLN. INFO.:			FR 1987-8263	A 19870615
			EP 1988-401458	A 19880614
			US 1988-206512	A3 19880614

OTHER SOURCE(S): CASREACT 110:173256; MARPAT 110:173256  
 GI



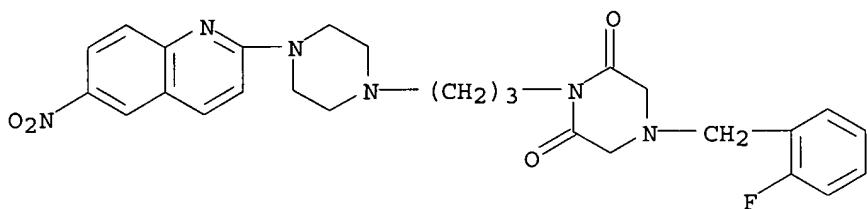
**AB** The title compds. [I; R1 = H; R2 = (substituted) PhCH2; R1, R2, and the piperazinedione moiety may form a hexahydropyrazinoisoquinolinedione or hexahydropyrazino-β-carbolinedione moiety; R3 = (substituted) quinolyl, indolyl, etc.; n = 2-4], useful as anxiolytics and antipsychotics, were prepared Alkylation of 11,11a-dihydro-2H-pyrazino[1,2-b]isoquinoline-1,3(4H,6H)-dione (preparation given) with BrCH2CH2CH2Cl, followed by reaction with 1-[3-(trifluoromethyl)phenyl]piperazine and acidification, gave pyrazinoisoquinoline II.2HCl. In an antipsychotic test of inhibition of conditioned response in rats, II.2HCl at 20 mg/kg i.p. achieved inhibition of 11%. A tablet formulation contd. II.2HCl 2, starch 120, Mg stearate 15, and talc 20 g.

**IT** 120061-77-0P 120061-85-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as anxiolytic and antipsychotic)

**RN** 120061-77-0 HCPLUS

**CN** 2,6-Piperazinedione, 4-[(2-fluorophenyl)methyl]-1-[3-[4-(6-nitro-2-quinolinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



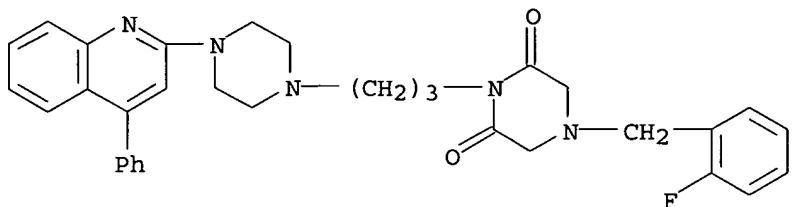
**RN** 120061-85-0 HCPLUS

**CN** 2,6-Piperazinedione, 4-[(2-fluorophenyl)methyl]-1-[3-[4-(4-phenyl-2-quinolinyl)-1-piperazinyl]propyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

**CM** 1

**CRN** 120061-84-9

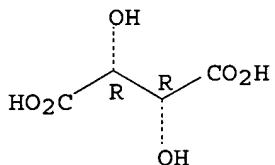
**CMF** C33 H34 F N5 O2



CM 2

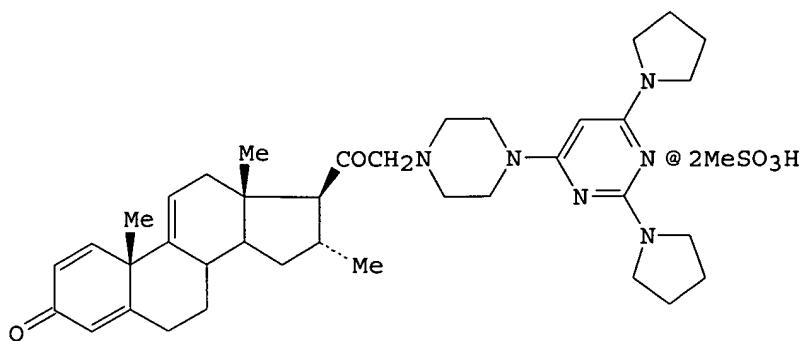
CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



L13 ANSWER 18 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1988:631361 HCPLUS  
 DOCUMENT NUMBER: 109:231361  
 TITLE: Amino steroids useful for treating a variety of conditions, and a process for their preparation  
 INVENTOR(S): McCall, John M.; Ayer, Donald E.; Jacobsen, E. Jon; Van Doorick, Frederick J.; Palmer, John R.; Karnes, Harold A.  
 PATENT ASSIGNEE(S): Upjohn Co., USA  
 SOURCE: Eur. Pat. Appl., 90 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 263213	A1	19880413	EP 1986-307808	19861009
EP 263213	B1	19950906		
R: AT, ES, GR ES 2078890	T3	19960101	ES 1986-307808 EP 1986-307808	19861009 A 19861009
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):	CASREACT 109:231361; MARPAT 109:231361			
GI				



AB Various amino-substituted steroids were prepared for use in the treatment of a wide variety of conditions. Aminolysis of 21-iodo-16 $\alpha$ -methylpregna-1,4,9(11)-triene-3,20-dione by 1-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)piperazine in MeCN containing K<sub>2</sub>CO<sub>3</sub> at 60°, followed by chromatog. and salification with MeSO<sub>3</sub>H, gave the amino steroid dimethanesulfonate I. In the in vivo mouse head injury test of Hall, 3 mg I/kg increases 1-h post-injury grip test scores by 134.5%.

IT 111667-94-8P 1116895-02-4P

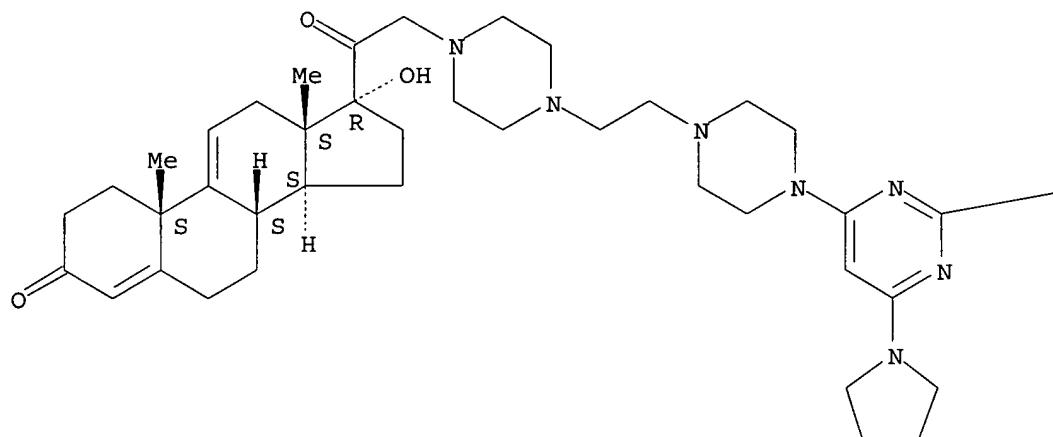
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as drug)

RN 111667-94-8 HCPLUS

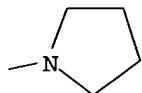
CN Pregna-4,9(11)-diene-3,20-dione, 21-[4-[2-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]ethyl]-1-piperazinyl]-17-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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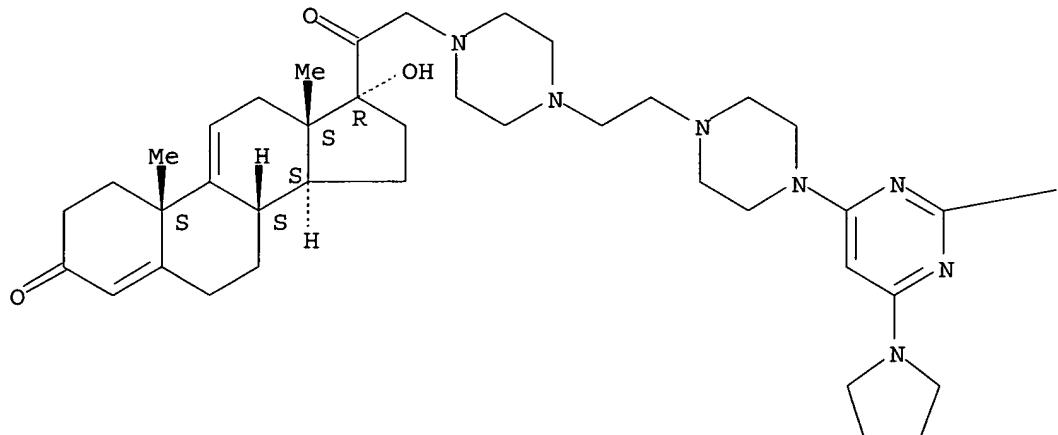


RN 116895-02-4 HCPLUS

CN Pregna-4,9(11)-diene-3,20-dione, 21-[4-[2-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]ethyl]-1-piperazinyl]-17-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

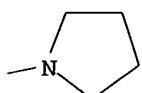
Absolute stereochemistry.

PAGE 1-A



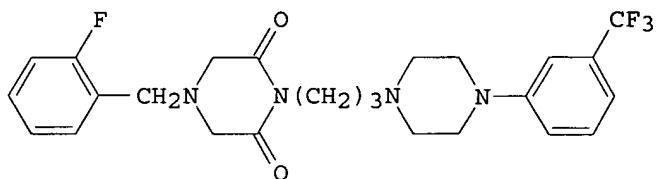
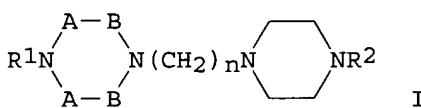
●x HCl

PAGE 1-B

L13 ANSWER 19 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1988:510458 HCPLUS

DOCUMENT NUMBER: 109:110458  
 TITLE: Preparation of (piperazinylalkyl)-2,6-piperazinediones  
 as anxiolytic antiaggressive and antipsychotic agents  
 INVENTOR(S): Lavielle, Gilbert; Poignant, Jean Claude  
 PATENT ASSIGNEE(S): Adir et Cie., Fr.  
 SOURCE: Fr. Demande, 42 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2601364	A1	19880115	FR 1986-9977	19860709
FR 2601364	B1	19881021		
AU 8775327	A1	19880114	AU 1987-75327	19870708
AU 592655	B2	19900118		
JP 63023874	A2	19880201	JP 1987-170843	19870708
ZA 8704970	A	19881228	ZA 1987-4970	19870708
US 4820707	A	19890411	US 1987-70967	19870708
DK 8703562	A	19880110	DK 1987-3562	19870709
EP 262993	A1	19880406	EP 1987-401613	19870709
EP 262993	B1	19900912		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 2010225	A6	19891101	ES 1987-2017	19870709
AT 56442	E	19900915	AT 1987-401613	19870709
PRIORITY APPLN. INFO.:			FR 1986-9977	A 19860709
			EP 1987-401613	A 19870709
OTHER SOURCE(S): GI	CASREACT 109:110458; MARPAT 109:110458			



AB The title compds. [I; either A = CO, B = CH<sub>2</sub> or A = CH<sub>2</sub>, B = CO; R<sub>1</sub> = cyclohexyl, pyridinylmethyl, halo-(un)substituted Ph<sub>2</sub>CH, alkoxy- or halo-(un)substituted PhCH<sub>2</sub>; R<sub>2</sub> = (un)substituted Ph, pyrimidinyl; n = 2-4] and their pharmaceutically acceptable salts with organic or mineral acids were prepared as anxiolytic, antipsychotic, or antiaggressive agents. 4-(o-Fluorobenzyl)-2,6-piperazinedione was alkylated with Br(CH<sub>2</sub>)<sub>3</sub>Cl to give 96% 1-(3-chloropropyl)-4-(o-chlorobenzoyl)-2,6-piperazinedione. The latter was refluxed with 1-[3-(trifluoromethyl)phenyl]piperazine in EtCOMe containing Na<sub>2</sub>CO<sub>3</sub> and catalytic NaI to give (piperazinopropyl)piperazinedione II, isolated as its dimandelate salt (III) in 54% yield. III reduced the aggressiveness of mice held in isolation with an ED<sub>50</sub> of 7.64 mg/kg i.p., and was effective as an anxiolytic by several standard pharmacol. tests.

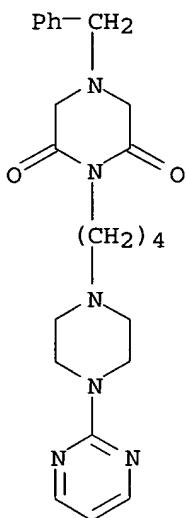
Capsules were prepared each containing III 2, cornstarch 15, lactose 25, and talc 5 mg.

IT 116108-97-5P 116108-98-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as anxiolytic, antidepressant, and antiaggressive agent)

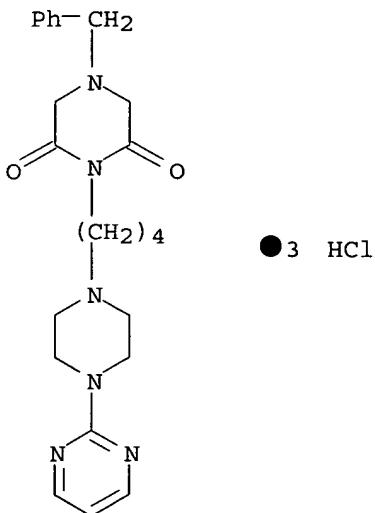
RN 116108-97-5 HCPLUS

CN 2,6-Piperazinedione, 4-(phenylmethyl)-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)



RN 116108-98-6 HCPLUS

CN 2,6-Piperazinedione, 4-(phenylmethyl)-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-, trihydrochloride (9CI) (CA INDEX NAME)

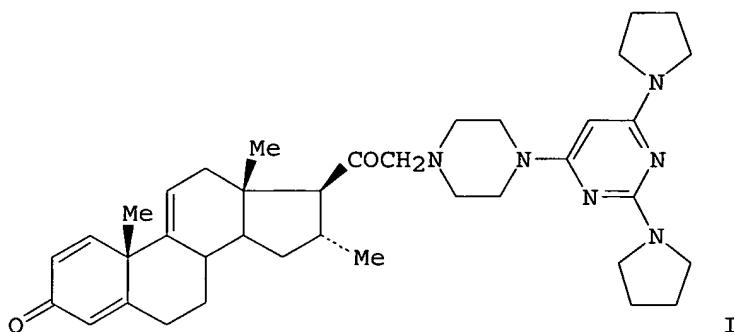


ACCESSION NUMBER: 1988:6287 HCAPLUS  
 DOCUMENT NUMBER: 108:6287  
 TITLE: Amino-substituted steroids having a variety of pharmacological activities, and processes for their preparation  
 INVENTOR(S): McCall, John M.; Jacobsen, E. Jon; Van Doornik, Frederick J.; Palmer, John R.; Karnes, Harold A.  
 PATENT ASSIGNEE(S): Upjohn Co., USA  
 SOURCE: PCT Int. Appl., 169 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8701706	A2	19870326	WO 1986-US1797	19860828
WO 8701706	A3	19870716		
W: AU, DK, FI, JP, KR, NO, SU, US, US, US, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
IL 79702	A1	19920216	IL 1986-79702	19860812
IL 98007	A1	19920216	IL 1986-98007	19860812
ZA 8606097	A	19880330	ZA 1986-6097	19860813
CA 1308707	A1	19921013	CA 1986-516177	19860818
AU 8663356	A1	19870407	AU 1986-63356	19860828
AU 593284	B2	19900208		
EP 238545	A1	19870930	EP 1986-905605	19860828
EP 238545	B1	19951115		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 63500868	T2	19880331	JP 1986-504810	19860828
JP 05035158	B4	19930525		
AT 130307	E	19951215	AT 1986-905605	19860828
CN 86106226	A	19870318	CN 1986-106226	19860912
CN 1030319	B	19951122		
DK 8702375	A	19870511	DK 1987-2375	19870511
DK 175347	B1	20040906		
NO 8701930	A	19870511	NO 1987-1930	19870511
NO 176762	B	19950213		
NO 176762	C	19950531		
FI 8702107	A	19870512	FI 1987-2107	19870512
FI 94417	B	19950531		
FI 94417	C	19950911		
US 5099019	A	19920324	US 1988-229675	19880808
AU 8940806	A1	19891207	AU 1989-40806	19890825
AU 614661	B2	19910905		
AU 8940807	A1	19891207	AU 1989-40807	19890825
AU 614418	B2	19910829		
US 5175281	A	19921229	US 1991-749830	19910826
US 5322943	A	19940621	US 1991-749829	19910826
JP 05112597	A2	19930507	JP 1992-8428	19920121
US 35053	E	19951010	US 1992-959310	19921009
US 5268477	A	19931207	US 1992-977768	19921119
US 5380839	A	19950110	US 1992-983082	19921201
US 5380840	A	19950110	US 1992-983084	19921201
US 5380841	A	19950110	US 1992-984299	19921201
US 5382661	A	19950117	US 1992-984298	19921201
US 5506354	A	19960409	US 1992-984302	19921201
PRIORITY APPLN. INFO.:			US 1985-775204	A 19850912

US 1985-811058	A 19851219
US 1986-877287	A 19860623
US 1986-888231	A 19860729
IL 1986-79702	A 19860812
WO 1986-US1797	A 19860828
US 1987-121822	B2 19870511
US 1988-227812	B2 19880803
US 1988-229675	A3 19880808
US 1991-749829	A3 19910826
US 1991-749830	A3 19910826

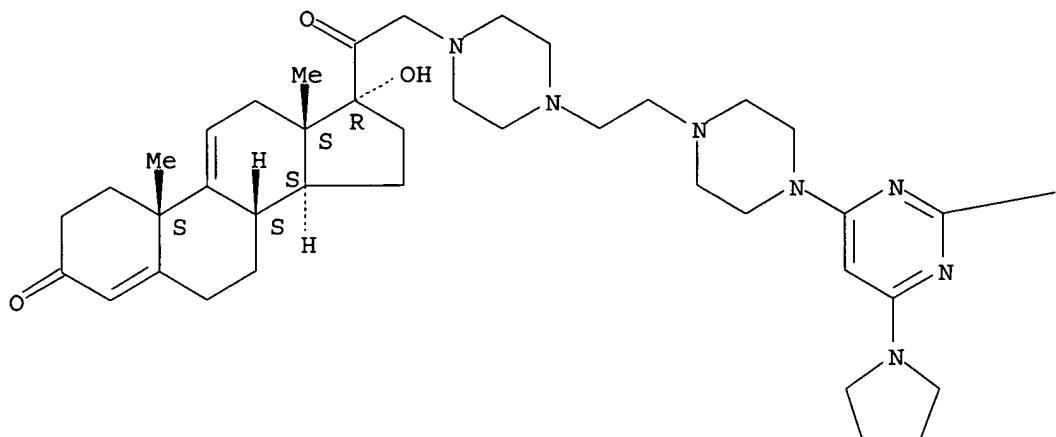
GI



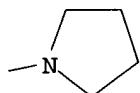
- AB Numerous pregnane derivs. with amino-substituted sidechains were prepared for use as various types of drugs. Aminolysis of 21-iodo-16 $\alpha$ -methylpregna-1,4,9(11)-triene-3,20-dione with 4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)piperazine in MeCN containing K2CO3 at 60° gave [[bis(pyrrolidino)pyrimidinyl]piperazinyl]pregnane derivative I, which was converted to I.2MeSO3H (II). In the interleukin-1-induced T-cell proliferation assay, II gave 62% inhibition at 10-6 M, thereby demonstrating antiarthritic activity.
- IT 111667-94-8P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as drug)
- RN 111667-94-8 HCPLUS
- CN Pregna-4,9(11)-diene-3,20-dione, 21-[4-[2-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]ethyl]-1-piperazinyl]-17-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B



L13 ANSWER 21 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1968:452177 HCPLUS  
 DOCUMENT NUMBER: 69:52177  
 TITLE: Xanthenes  
 INVENTOR(S): Toldy, Lajos; Toth, Istvan; Borsi, Joszef; Polgari, Istvan  
 PATENT ASSIGNEE(S): Egyesult Gyogyszer es Tapszergyar  
 SOURCE: Austrian, 8 pp.  
 CODEN: AUXXAK  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 261611	-----	19680510	-----	-----

PRIORITY APPLN. INFO.: HU 19650702

GI For diagram(s), see printed CA Issue.

AB I were prepared (a) by reacting II (Y = halogen on a V with VI. Thus were prepared the following I (R and m.p. di- or tri-HCl salt given): CO<sub>2</sub>Et, 274-6° (decomposition); PhCH<sub>2</sub>O<sub>2</sub>C, 265-7°; 0-MeC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 256-8°; Et<sub>2</sub>NCO, 272-4° (decomposition); 3-chloro-6-pyridazinyl, 274-6° (decomposition); β-hydroxypropyl, 264-6° (decomposition); β-hydroxypropyl 3,4,5-trimethoxybenzoate, 227-9° (decomposition);

H, 243-6° (decomposition); 3,4,5-(MeO<sub>3</sub>C<sub>6</sub>H<sub>2</sub>CO, 237-8° (decomposition); n-amyl, 282-4° (decomposition); Bu, 278-80° (decomposition) [free base m. 104°; dimethiodide m. 239-41° (decomposition); dimethochloride hydrochloride m. 225-7° (decomposition); diethoiodide m. 216-18° (decomposition)]; iso-Bu, 278-80° (decomposition); Pr, 271-2° (decomposition); iso-Pr, 260-2° (decomposition); allyl, 268-9° (decomposition); isoamyl, 283-5° (decomposition); β-phenylisopropyl, 268-70° (decomposition); α-(2-pyridyl)ethyl, m. 250-2° (decomposition) (tetra-HCl salt). Also prepared was II (Y = CH<sub>2</sub>CH<sub>2</sub>Cl), m. 127-9°.

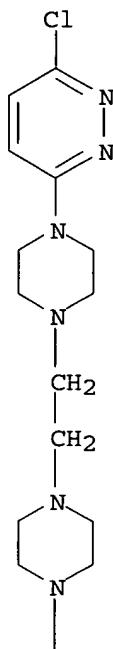
IT 19572-63-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

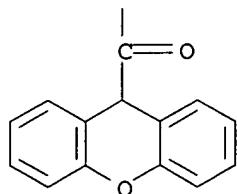
RN 19572-63-5 HCPLUS

CN Piperazine, 4-(6-chloro-3-pyridazinyl)-4'-(xanthen-9-ylcarbonyl)-1,1'-ethylenedi-, hydrochloride (8CI) (CA INDEX NAME)

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PAGE 2-A



●x HCl

L13 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1968:21957 HCAPLUS

DOCUMENT NUMBER: 68:21957

TITLE: Xanthene derivatives

INVENTOR(S): Toldy, Lajos; Toth, Istvan; Borsy, Jozsef; Polgari, Istvan

PATENT ASSIGNEE(S): Gyogyszerkutato Intezet

SOURCE: Hung., 6 pp.

CODEN: HUXXAT

DOCUMENT TYPE: Patent

LANGUAGE: Hungarian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 153318		19661227	HU	19650702

GI For diagram(s), see printed CA Issue.

AB A solution of 33.9 g. xanthene-9-carbonyl chloride in 80 ml. ClCH<sub>2</sub>CH<sub>2</sub>Cl was added dropwise with stirring and cooling to a mixture of 16.6 g. N-(β-hydroxyethyl)piperazine in 120 ml. ClCH<sub>2</sub>CH<sub>2</sub>Cl and 23.5 ml. Et<sub>3</sub>N, the mixture kept overnight, filtered, washed with NaHCO<sub>3</sub> solution and H<sub>2</sub>O, concentrated, and the residue treated in C<sub>6</sub>H<sub>6</sub>-Et<sub>2</sub>O with anhydrous HCl in EtOH

to

give 1-(xanthene-9-carbonyl)-4-(β-hydroxyethyl)piperazine-HCl (I), m. 245-7° (MeOH). I (41.5 g.) was shaken with CHCl<sub>3</sub> and 10% aqueous K<sub>2</sub>CO<sub>3</sub> solution, the organic phase dried and concentrated, and the residue in CHCl<sub>3</sub> treatedwith stirring at boiling temperature with 11.5 ml. SOCl<sub>2</sub> in 20 ml. CHCl<sub>3</sub>.

After

refluxing for 3 hrs., the solvent was partially removed in vacuo, and the residue treated with Me<sub>2</sub>CO, to give 1-(xanthene-9-carbonyl)-4-(β-chloroethyl)piperazine (II)-HCl, II, m. 127-9° (C<sub>6</sub>H<sub>6</sub>-petroleum ether 7:3). A mixture of 12.1 g. II, and 15.5 g. N-ethoxycarbonylpiperazine was stirred at 135° for 3 hrs., cooled, treated with a 10% aqueous K<sub>2</sub>CO<sub>3</sub> solution, and decanted several times. The residue was dissolved in CHCl<sub>3</sub>, dried, concentrated, and the residue in EtOH treated with HCl in EtOH,

to

yield 1-(xanthene-9-carbonyl)-4-[β-[4-(ethoxycarbonyl)piperazin-1-yl]ethyl]piperazine-2HCl (IIIa) (R = CO<sub>2</sub>Et, n = 2) (III), m. 274-6° (decomposition) (after refluxing in MeOH). The following IIIa were prepared analogously (each product was refluxed in the solvent given after the m.p. to remove contaminations) (R, n, and m.p. given): carbobenzylxy, (IV), 2, 265-7° (decomposition) (MeOH); o-methylbenzyl 2, 256-8°

(decomposition) (MeOH); diethylcarbamyl (V), 2,272-4° (decomposition) (MeOH); 3-chloropyridazin-6-yl 3, 274-6° (decomposition) (MeOH-CHCl<sub>3</sub> 1:1); β-hydroxypropyl (VI), 3, 264-6° (decomposition) (MeOH); n-amyl, 3, 282-4° (decomposition) (MeOH); Bu (VII), 3, 278-80° (decomposition) (MeOH); isobutyl 3, 278-80° (decomposition) (MeOH); Pr, 3, 271-2° (decomposition) (MeOH); iso-Pr, 3, 260-2° (decomposition) (MeOH); allyl, 3, 271-2° (decomposition) (MeOH); and Et, 3, 268-9° (decomposition) (MeOH). VII.3HCl was shaken with CHCl<sub>3</sub> and 10% aqueous K<sub>2</sub>CO<sub>3</sub> solution, and the organic phase worked up, to yield VII, m. 104° (Me<sub>2</sub>CO). A mixture of 15 g. VII in 250 ml. Me<sub>2</sub>CO and 14 g. MeI was kept overnight and refluxed for 30 min., to deposit 1-(xanthene-9-carbonyl)-4-[β-(4-butylpiperazin-1-yl)ethyl]piperazine dimethiodide, m. 239-41° (decomposition) (Me<sub>2</sub>CO), which on refluxing with absolute HCl in EtOH gave the dimethochloride hydrochloride, m. 225-7° (decomposition). The diethiodide prepared similarly m. 216-18° (decomposition). A mixture of 7 g. VI in 60 ml. ClCH<sub>2</sub>CH<sub>2</sub>Cl, 7.6 ml. Et<sub>3</sub>N, and 3.2 g. 3,4,5-trimethoxybenzoyl chloride in 20 ml. ClCH<sub>2</sub>CH<sub>2</sub>Cl, the latter being added dropwise, was refluxed for 5 hrs. and worked up to yield 1-(xanthene-9-carbonyl)-4-[β-[4-(β-hydroxypropyl)piperazin-1-yl]ethyl]piperazine 3,4,5-trimethoxybenzoate trihydrochloride, m. 227-9° (MeOH). The reaction of II with piperazine gave 1-(xanthene-9-carbonyl)-4-(β-piperazin-1-ylethyl)piperazine (VIII), trihydrochloride m. 244-6° (decomposition) (MeOH). VII was treated with trimethoxybenzoyl chloride as above to yield 1-(xanthene-9-carbonyl)-4-[β-[4-(3,4,5-trimethoxybenzoyl)piperazin-1-yl]ethyl]piperazine dihydrochloride, m. 237-8° (decomposition) (MeOH). Similarly, the reaction of VIII with ClCO<sub>2</sub>Et, ClCO<sub>2</sub>CH<sub>2</sub>Ph, and Et<sub>2</sub>NCOC<sub>1</sub> resulted III, IV, and V, resp.

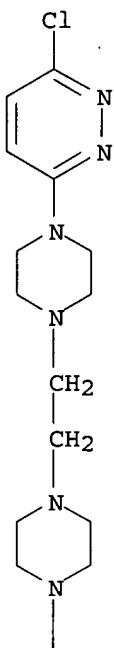
IT 14827-79-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

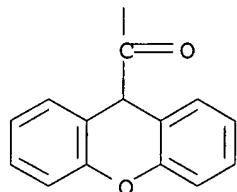
RN 14827-79-3 HCPLUS

CN Piperazine, 4-(6-chloro-3-pyridazinyl)-4'-(xanthen-9-ylcarbonyl)-1,1'-ethylenedi-, trihydrochloride (8CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



● 3 HCl

L13 ANSWER 23 OF 23 HCPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1967:411505 HCPLUS  
 DOCUMENT NUMBER: 67:11505  
 TITLE: Xanthene derivatives  
 PATENT ASSIGNEE(S): Egyesult Gyogyszer es Tapszergyar  
 SOURCE: Neth. Appl., 15 pp.  
 CODEN: NAXXAN  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Dutch  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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NL 6609277

19670103

DE 1670607

DE

FR 5610

FR

GB 1149917

GB

US 3526630

19700000

US

PRIORITY APPLN. INFO.:

HU

19650702

GI For diagram(s), see printed CA Issue.

AB Compds. I are prepared These bases and their salts are useful as antiulcers, anticholinergic, parasympatholytic, and psychotropic agents. Thus, a mixture of 12.1 g. 1-(xanthen-9-ylcarbonyl)-4-( $\beta$ -chloroethyl)piperazine and 15.5 g. N-carbethoxypiperazine is heated with stirring at 135° for 3 hrs. After cooling, the mixture is treated with 10% Na<sub>2</sub>CO<sub>3</sub>, washed with H<sub>2</sub>O, and extracted with CHCl<sub>3</sub>. The CHCl<sub>3</sub> solution is evaporated the residue dissolved in 120 ml. EtOH, and HCl-containing EtOH

added

to give I (R = CO<sub>2</sub>Et) dihydrochloride, m. 274-6° (decomposition).

Similarly prepared are the following I (R, salt, and m.p. salt given):

CO<sub>2</sub>CH<sub>2</sub>Ph, di-HCl, 265-7° (decomposition); (o-MeC<sub>6</sub>H<sub>4</sub>)CH<sub>2</sub>, di-HCl,

256-8°; CONEt<sub>2</sub>, di-HCl, 272-4°; 3-chloro-6-pyridazinyl,

tri-HCl, 274-6° (decomposition); CH<sub>2</sub>CH(OH)Me, tri-HCl, 264-6°

[trimethoxybenzoate m. 227-9° (decomposition) (MeOH)]; H, tri-HCl,

243-6° (decomposition); COC<sub>6</sub>H<sub>2</sub>(OMe)<sub>3</sub>-3,4,5, di-HCl, 237-8°

(decomposition); (MeOH); amyl, HCl, 282-4°; Bu, HCl, 278-80°

[free base m. 104° (Me<sub>2</sub>CO); di-MeI m. 239-41° (decomposition);

di-MeCl, HCl, m. 225-7°; di-EtI decomposed at 216-18°];

iso-Bu, tri-HCl, 278-80° (decomposition); Pr, tri-HCl, 271-2°

(decomposition); iso-Pr, tri-HCl, 260-2° (decomposition); allyl, HCl,

271-2° (decomposition); Et, tri-HCl, 268-9° (decomposition).

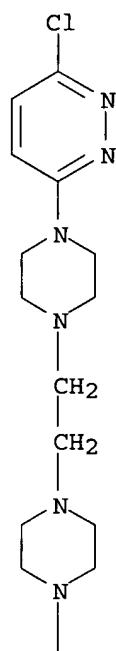
IT 14827-79-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

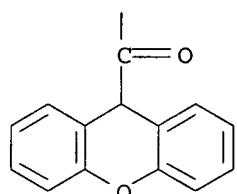
RN 14827-79-3 HCPLUS

CN Piperazine, 4-(6-chloro-3-pyridazinyl)-4'-(xanthen-9-ylcarbonyl)-1,1'-ethylenedi-, trihydrochloride (8CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



● 3 HCl

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